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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	OCT 02	CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	3	OCT 19	BEILSTEIN updated with new compounds
NEWS	4	NOV 15	Derwent Indian patent publication number format enhanced
NEWS	5	NOV 19	WPIX enhanced with XML display format
NEWS	6	NOV 30	ICSD reloaded with enhancements
NEWS	7	DEC 04	LINPADOCDB now available on STN
NEWS	8	DEC 14	BEILSTEIN pricing structure to change
NEWS	9	DEC 17	USPATOLD added to additional database clusters
NEWS	10	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS	11	DEC 17	DGENE now includes more than 10 million sequences
NEWS	12	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	13	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS	14	DEC 17	CA/CAplus enhanced with new custom IPC display formats
NEWS	15	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS	16	JAN 02	STN pricing information for 2008 now available
NEWS	17	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	18	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	19	JAN 28	MARPAT searching enhanced
NEWS	20	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	21	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	22	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	23	FEB 08	STN Express, Version 8.3, now available
NEWS	24	FEB 20	PCI now available as a replacement to DPCI
NEWS	25	FEB 25	IFIREF reloaded with enhancements
NEWS	26	FEB 25	IMSPRODUCT reloaded with enhancements

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 19:28:19 ON 25 FEB 2008

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	0.42

FILE 'REGISTRY' ENTERED AT 19:29:24 ON 25 FEB 2008
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 FEB 2008 HIGHEST RN 1005323-41-0
DICTIONARY FILE UPDATES: 24 FEB 2008 HIGHEST RN 1005323-41-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

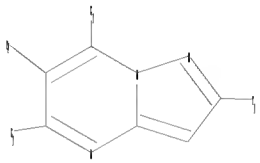
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

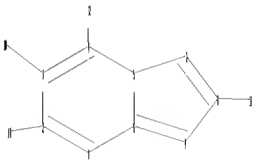
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10540784z.str





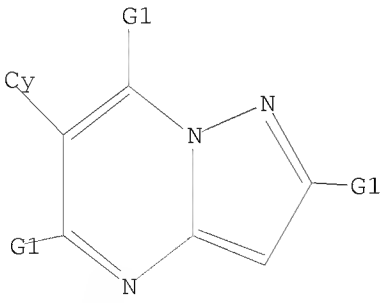
chain nodes :
10 11 12 13
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
2-13 3-10 4-12 8-11
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
1-2 1-6 2-3 2-13 3-4 3-10 4-5 4-12 5-6 5-7 6-9 7-8 8-9 8-11

G1:H,CH3

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,Me

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 19:29:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5425 TO ITERATE

36.9% PROCESSED 2000 ITERATIONS 12 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 104084 TO 112916
PROJECTED ANSWERS: 309 TO 993

L2 12 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 19:29:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 107857 TO ITERATE

100.0% PROCESSED 107857 ITERATIONS 378 ANSWERS
SEARCH TIME: 00.00.02

L3 378 SEA SSS FUL L1

=> fil capls
'CAPLS' IS NOT A VALID FILE NAME
SESSION CONTINUES IN FILE 'REGISTRY'
Enter "HELP FILE NAMES" at an arrow prompt (=>) for a list of files
that are available. If you have requested multiple files, you can
specify a corrected file name or you can enter "IGNORE" to continue
accessing the remaining file names entered.

=> fil capl
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 178.36 178.78

FILE 'CAPLUS' ENTERED AT 19:30:06 ON 25 FEB 2008
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FILE COVERS 1907 - 25 Feb 2008 VOL 148 ISS 9

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FILE LAST UPDATED: 24 Feb 2008  (20080224/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l3
L4          42 L3

=> s l4 (2008/so or 2007/so or 2006/so or 2004/so or 2005/so)
MISSING OPERATOR 'L4 (2008/SO'
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.

=> s l4 not (2008/so or 2007/so or 2006/so or 2004/so or 2005/so)
         93363 2008/SO
        869872 2007/SO
        929784 2006/SO
        848672 2004/SO
        882092 2005/SO
L5          36 L4 NOT (2008/SO OR 2007/SO OR 2006/SO OR 2004/SO OR 2005/SO)

=> d l5 ibib histr abs 1-36
'HISTR' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS --------- GI and AB
ALL --------- BIB, AB, IND, RE
APPS -------- AI, PRAI
BIB --------- AN, plus Bibliographic Data and PI table (default)
CAN --------- List of CA abstract numbers without answer numbers
CBIB -------- AN, plus Compressed Bibliographic Data
CLASS ------- IPC, NCL, ECLA, FTERM
DALL -------- ALL, delimited (end of each field identified)
DMAX -------- MAX, delimited for post-processing
FAM --------- AN, PI and PRAI in table, plus Patent Family data
FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
              e.g., D SCAN or DISPLAY SCAN)
STD --------- BIB, CLASS

IABS -------- ABS, indented with text labels
IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
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L5 ANSWER 1 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1346672 CAPLUS
DOCUMENT NUMBER: 148:183393
TITLE: Pyrazolo[1,5-a]pyrimidine derivatives for enhancing activities of antitumor agents
INVENTOR(S): Ha, Ju Heon; Kim, Hak Su; Hwang, Jin Taek
PATENT ASSIGNEE(S): Kyunhee University, Industry-Academy Cooperation Foundation, S. Korea
SOURCE: Repub. Korean Kongkae Taeho Kongbo, 14pp.
CODEN: KRXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Korean
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

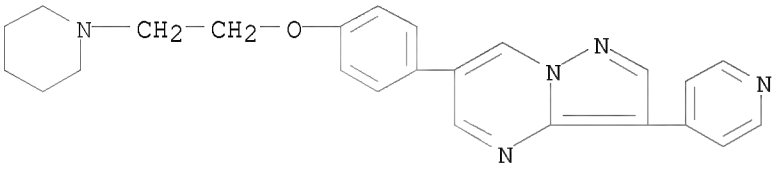
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KR 2007096241	A	20071002	KR 2006-25753	20060321
KR 762931	B1	20071004		

PRIORITY APPLN. INFO.: KR 2006-25753 20060321

IT 866405-64-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrazolo[1,5-a]pyrimidine derivs. for enhancing activities of antitumor agents)

RN 866405-64-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 6-[4-[2-(1-piperidinyl)ethoxy]phenyl]-3-(4-pyridinyl)- (CA INDEX NAME)



AB Pyrazolo[1,5-a]pyrimidine derivs., preferably 6-[4-[2-(1-piperidinyl)ethoxy]phenyl]-3-(4-pyridinyl)pyrazolo[1,5-a]pyrimidine, enhance the antitumor activities of cisplatin, doxorubicin, and etoposide.

L5 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1323654 CAPLUS
DOCUMENT NUMBER: 147:522271
TITLE: Method for preparing 6-[4-[2-(1-piperidinyl)ethoxy]phenyl]-3-(4-pyridinyl)pyrazolo[1,5-a]pyrimidine with high purity and high yield
INVENTOR(S): Lee, Jun Won; Lee, Suk Ho; Kim, Nam Ho; Lee, Nam Kyu; Ha, Joo Heon
PATENT ASSIGNEE(S): Sk Chemicals Co., Ltd., S. Korea
SOURCE: Repub. Korean Kongkae Taeho Kongbo, No pp. given
CODEN: KRXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Korean
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

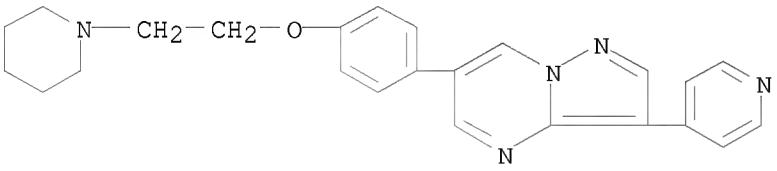
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KR 2007065653	A	20070625	KR 2005-126303	20051220

PRIORITY APPLN. INFO.: KR 2005-126303 20051220

IT 866405-64-3P, 6-[4-[2-(1-Piperidinyl)ethoxy]phenyl]-3-(4-pyridinyl)pyrazolo[1,5-a]pyrimidine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of . [(piperidinyl)ethoxy]phenyl](-pyridinyl)pyrazolo[1,5-a]pyrimidine in high purity and high yield)

RN 866405-64-3 CAPLUS

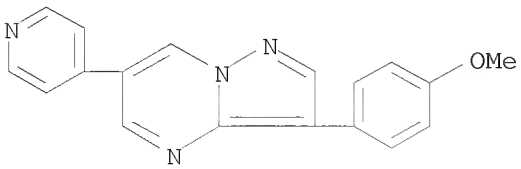
CN Pyrazolo[1,5-a]pyrimidine, 6-[4-[2-(1-piperidinyl)ethoxy]phenyl]-3-(4-pyridinyl)- (CA INDEX NAME)



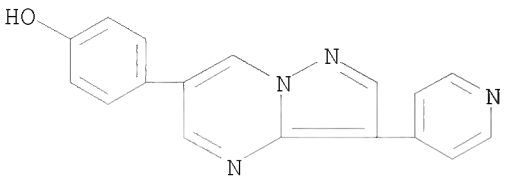
IT 493038-77-0P, 6-(4-Methoxyphenyl)-3-(4-pyridinyl)pyrazolo[1,5-a]pyrimidine 515880-87-2P, 4-[3-(4-Pyridinyl)pyrazolo[1,5-a]pyrimidin-6-yl]phenol
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of . [(piperidinyl)ethoxy]phenyl](-pyridinyl)pyrazolo[1,5-a]pyrimidine in high purity and high yield)

RN 493038-77-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 3-(4-methoxyphenyl)-6-(4-pyridinyl)- (CA INDEX NAME)



RN 515880-87-2 CAPLUS
CN Phenol, 4-[3-(4-pyridinyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



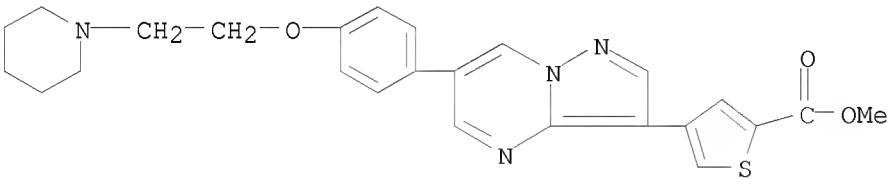
AB A method for the preparation of 6-[4-[2-(1-piperidinyl)ethoxy]phenyl]-3-(4-pyridinyl)pyrazolo[1,5-a]pyrimidine in high purity and high yield is claimed, wherein said method uses starting materials capable of being com. used and optimal reaction condition. The method comprises the reaction of 4-pyridineacetonitrile hydrochloride (as represented by a certain formula; no data) with N,N-dimethylformamide di-Me acetal in the presence of an amine base such as triethylamine, pyridine and piperidine and an aromatic hydrocarbon solvent to provide 3-dimethylamino-2-pyridine-4-yl-acrylonitrile (as represented by a certain formula; no data). Said method comprises treating said compound with hydrazine monohydrate in the presence of an acetic acid catalyst and an alc. solvent to provide 4-pyridyl-3-pyrazolamine (as represented by a certain formula; no data). Said method comprises treating the above-mentioned compound with 2-(4-methoxyphenyl)malondialdehyde (as represented by a certain formula; no data) in the presence of an acetic acid catalyst and an alc. solvent to provide 6-(4-methoxy-phenyl)-3-pyridine-4-yl-pyrazolo[1,5-a]pyrimidine (as represented by a certain formula; no data) and acid-hydrolyzing said compound using a halogenated hydrogen catalyst such as HF, HCl and HBr and an acetic acid solvent to provide 4-(3-pyridine-4-yl-pyrazolo[1,5-1]pyrimidine-6-yl)phenol (as represented by a certain formula; no data). Said method comprises treating said compound with (chloroethyl)piperidine hydrochloride (as represented by a certain formula; no data) in the presence of Cs2CO3 and an alc. solvent with agitation to provide 6-[4-[2-(1-piperidinyl)ethoxy]phenyl]-3-(4-pyridinyl)pyrazolo[1,5-a]pyrimidine. More narrow definitions are indicated; however, specific chemical structures and/or addnl. information are not provided here.

L5 ANSWER 3 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:845689 CAPLUS
DOCUMENT NUMBER: 147:227209
TITLE: Use of pyrazolo[1,5-a]pyrimidine derivatives for the treatment of Alzheimer's disease and related conditions
INVENTOR(S): Churcher, Ian; Hunt, Peter Alan; Stanton, Matthew G.
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK; Merck & Co., Inc.
SOURCE: PCT Int. Appl., 53pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

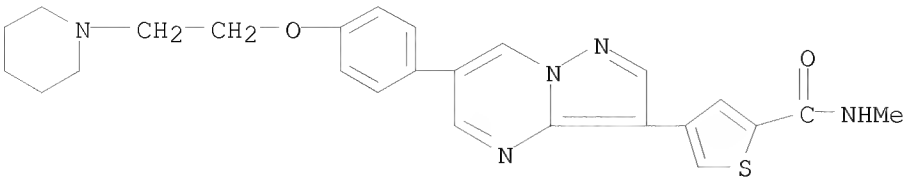
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007085873	A1	20070802	WO 2007-GB50036	20070123

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

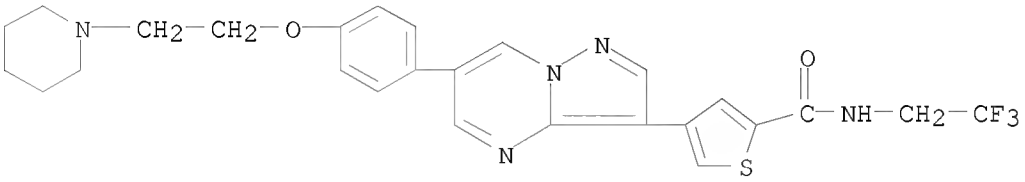
PRIORITY APPLN. INFO.: GB 2006-1638 A 20060127
OTHER SOURCE(S): MARPAT 147:227209
IT 945376-41-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(pyrazolo[1,5-a]pyrimidine derivs. for treatment of Alzheimer's disease and related conditions)
RN 945376-41-0 CAPLUS
CN 2-Thiophenecarboxylic acid, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-, methyl ester (CA INDEX NAME)



IT 945376-42-1
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(pyrazolo[1,5-a]pyrimidine derivs. for treatment of Alzheimer's disease and related conditions)
RN 945376-42-1 CAPLUS
CN 2-Thiophenecarboxamide, N-methyl-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



IT 945376-51-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pyrazolo[1,5-a]pyrimidine derivs. for treatment of Alzheimer's disease and related conditions)
RN 945376-51-2 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)



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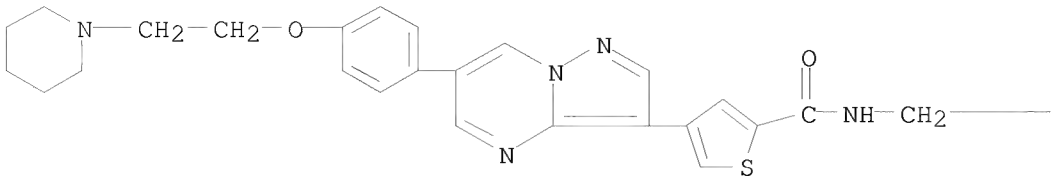
945376-89-6 945376-90-9 945376-91-0
945376-92-1 945376-93-2 945376-94-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrazolo[1,5-a]pyrimidine derivs. for treatment of Alzheimer's disease and related conditions)
RN 945376-43-2 CAPLUS
CN 2-Thiophenecarboxamide, N-(2-hydroxyethyl)-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

— CH_2 — OH

RN 945376-44-3 CAPLUS
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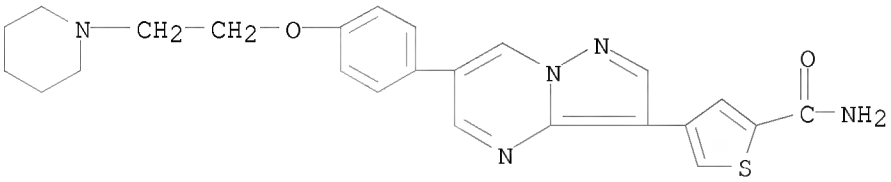

RN 945376-45-4 CAPLUS
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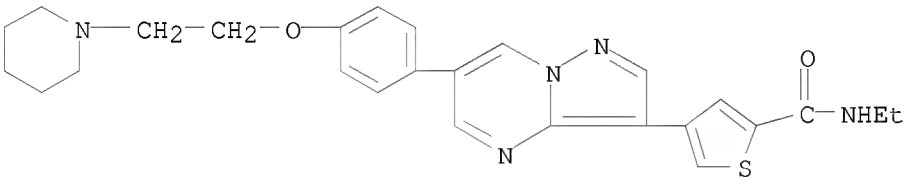
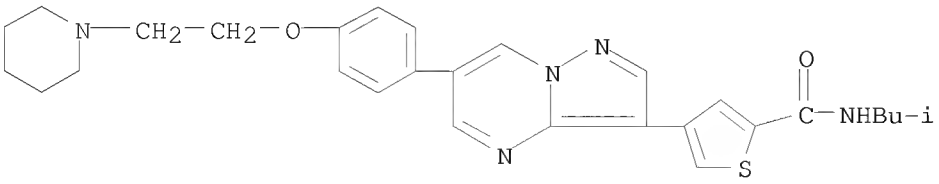
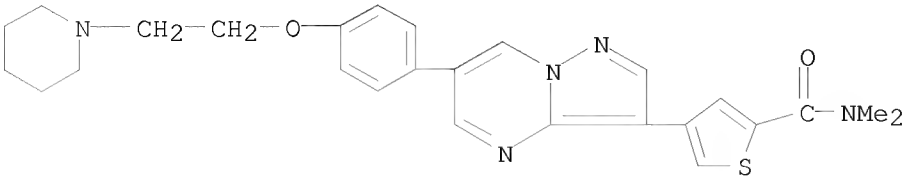


RN 945376-46-5 CAPLUS
CN 2-Thiophenecarboxamide, N-(2-methylpropyl)-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 945376-47-6 CAPLUS
CN 2-Thiophenecarboxamide, N,N-dimethyl-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 945376-48-7 CAPLUS
CN 2-Thiophenecarboxamide, N-(2-aminoethyl)-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)

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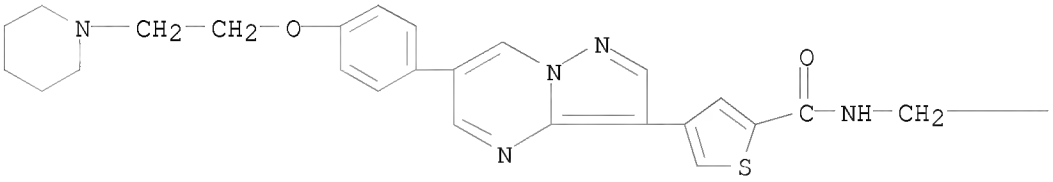

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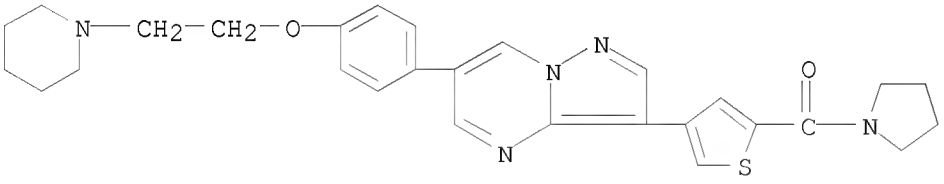
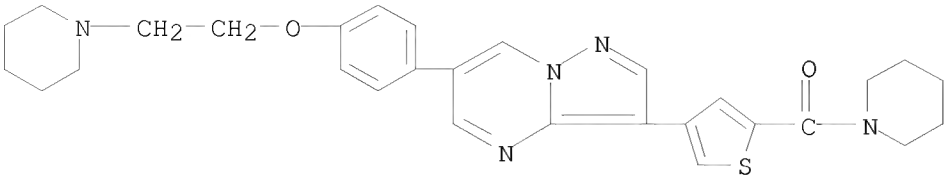
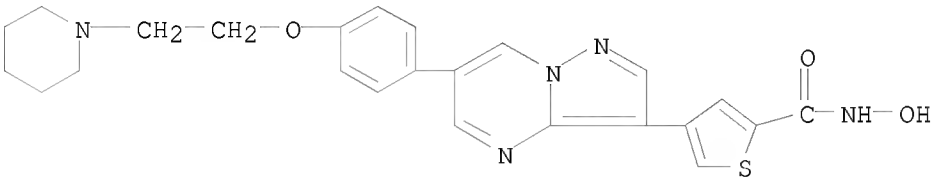
RN 945376-49-8 CAPLUS
CN Methanone, [4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-2-thienyl]-1-pyrrolidinyl- (CA INDEX NAME)



RN 945376-50-1 CAPLUS
CN Methanone, 1-piperidinyl[4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-2-thienyl]- (CA INDEX NAME)



RN 945376-52-3 CAPLUS
CN 2-Thiophenecarboxamide, N-hydroxy-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 945376-53-4 CAPLUS
CN 2-Thiophenecarboxamide, N-[2-(dimethylamino)ethyl]-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)

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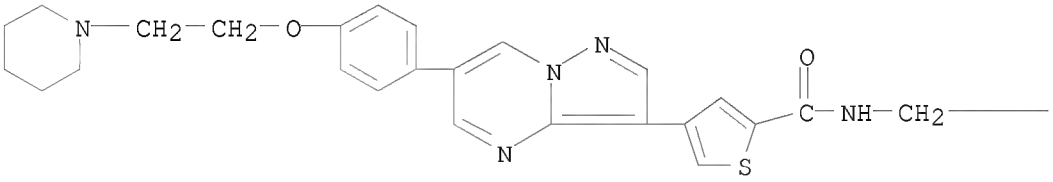

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— CH_2— NMe_2

RN 945376-54-5 CAPLUS
CN 2-Thiophenecarboxamide, N-[2-(dimethylamino)ethyl]-N-methyl-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)

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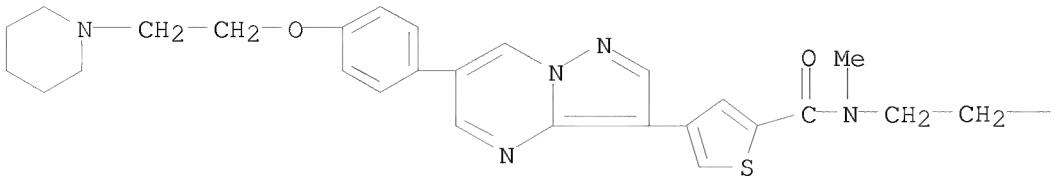

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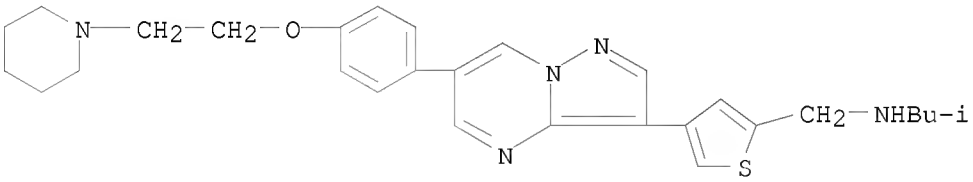
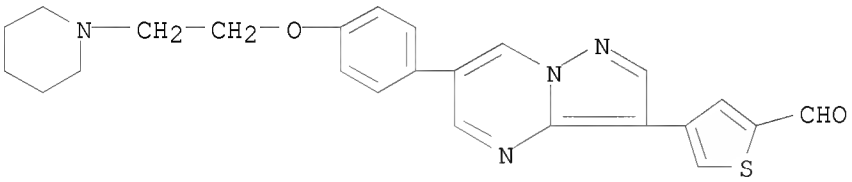
— NMe_2

RN 945376-55-6 CAPLUS
CN 2-Thiophenemethanamine, N-(2-methylpropyl)-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 945376-56-7 CAPLUS
CN 2-Thiophenecarboxaldehyde, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 945376-57-8 CAPLUS
CN Ethanol, 2-[[[4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-2-thienyl]methyl]amino]- (CA INDEX NAME)

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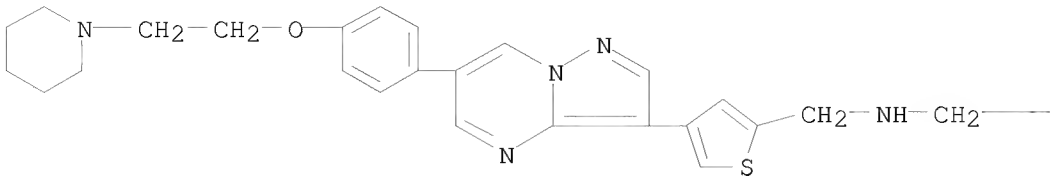

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—CH_2—OH

RN 945376-58-9 CAPLUS
CN 1,2-Ethanediamine, N1,N1-dimethyl-N2-[[4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-2-thienyl]methyl]- (CA INDEX NAME)

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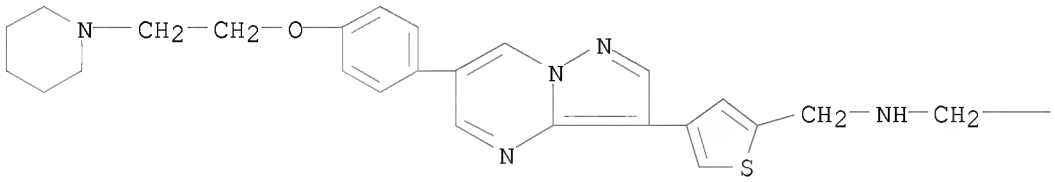

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—CH_2—NMe_2

RN 945376-59-0 CAPLUS
CN 1,2-Ethanediamine, N1,N1,N2-trimethyl-N2-[[4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-2-thienyl]methyl]- (CA INDEX NAME)

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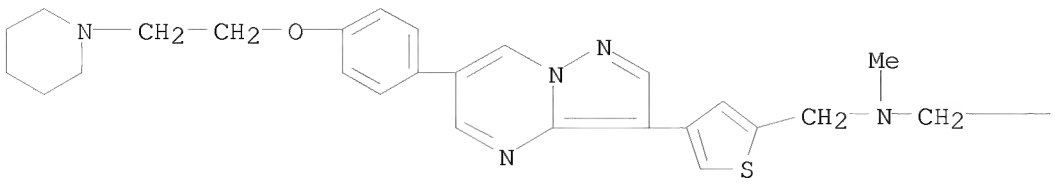

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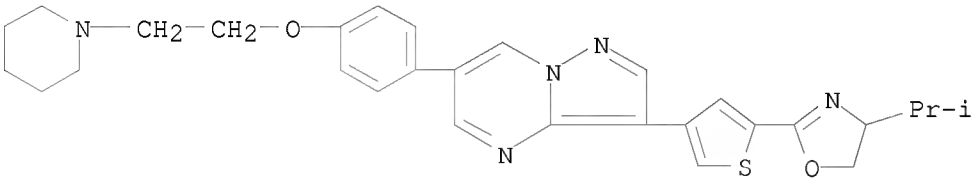
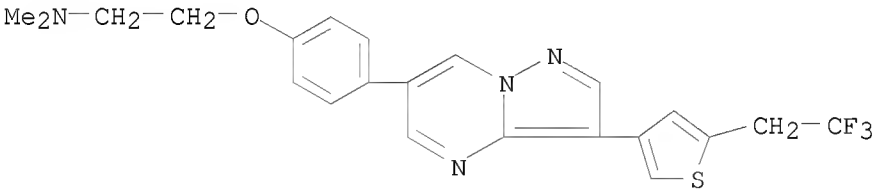
— CH_2 — NMe_2

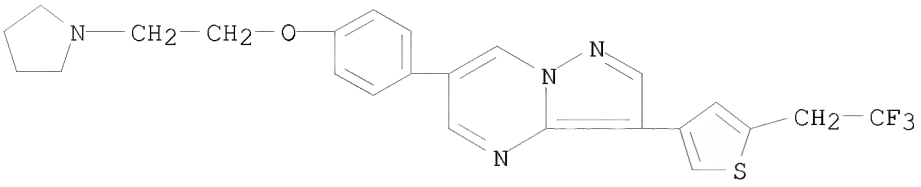
RN 945376-60-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-[5-[4,5-dihydro-4-(1-methylethyl)-2-oxazolyl]-3-thienyl]-6-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



RN 945376-61-4 CAPLUS
CN Ethanamine, N,N-dimethyl-2-[4-[3-[5-(2,2,2-trifluoroethyl)-3-thienyl]pyrazolo[1,5-a]pyrimidin-6-yl]phenoxy]- (CA INDEX NAME)



RN 945376-62-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-3-[5-(2,2,2-trifluoroethyl)-3-thienyl]- (CA INDEX NAME)



RN 945376-63-6 CAPLUS
CN 1,2-Ethanediamine, N1-[[4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-2-thienyl]methyl]- (CA INDEX NAME)

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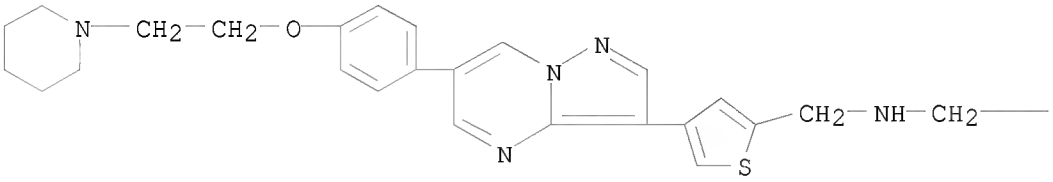

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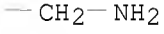

RN 945376-64-7 CAPLUS
CN 2-Thiophenemethanamine, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

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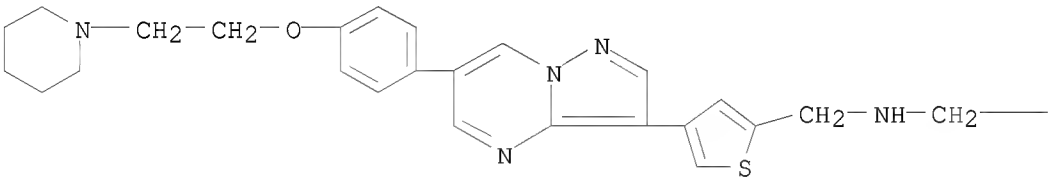

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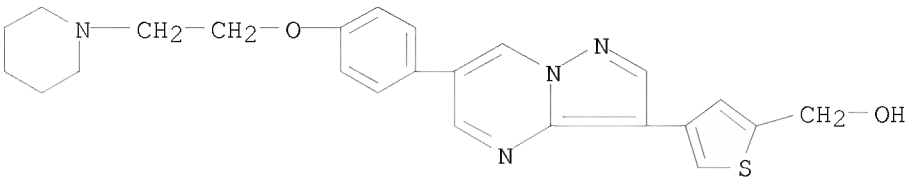
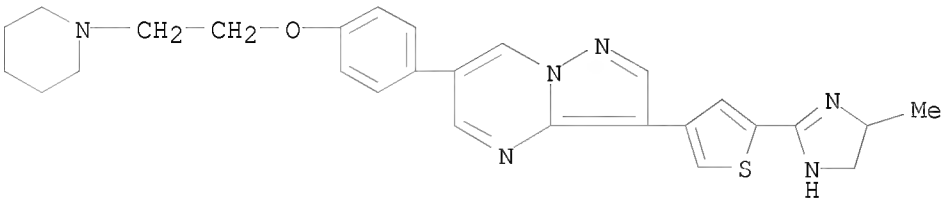
RN 945376-65-8 CAPLUS

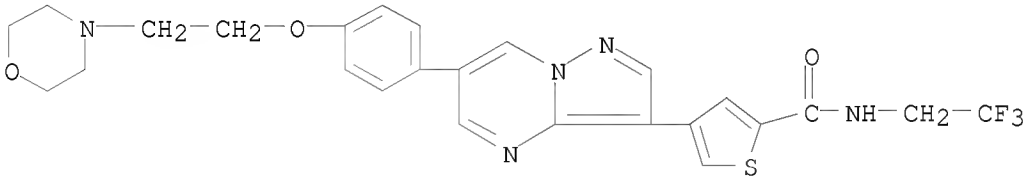
CN 2-Thiophenemethanol, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 945376-66-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-[5-(4,5-dihydro-5-methyl-1H-imidazol-2-yl)-3-thienyl]-6-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



RN 945376-67-0 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(4-morpholinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)



RN 945376-68-1 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(4-methyl-1-piperazinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

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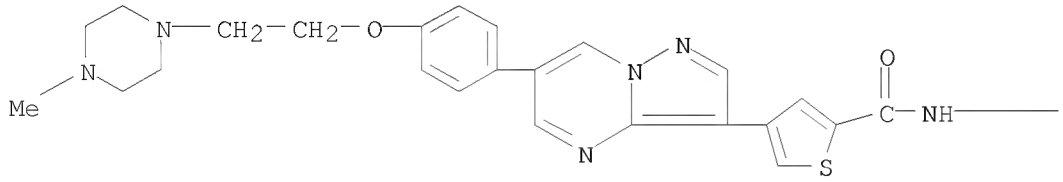

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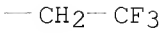

RN 945376-69-2 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-[2,2,2-trifluoro-1-(2-furanyl)ethyl]- (CA INDEX NAME)

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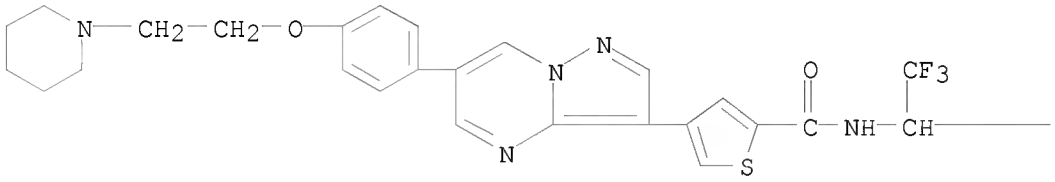

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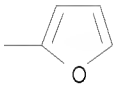

RN 945376-70-5 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-[2,2,2-trifluoro-1-(2-pyridinyl)ethyl]- (CA INDEX NAME)

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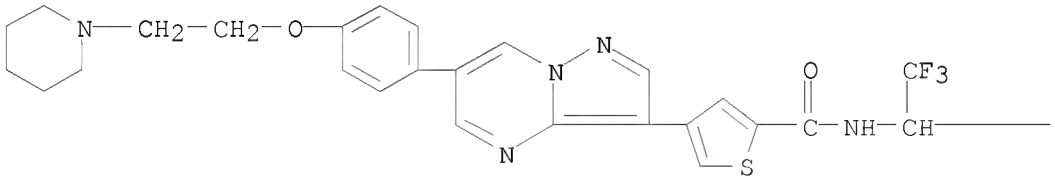

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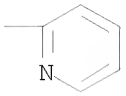

RN 945376-71-6 CAPLUS
CN 2-Furanmethanamine, N-[[4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-2-thienyl]methyl]-α-(trifluoromethyl)- (CA INDEX NAME)

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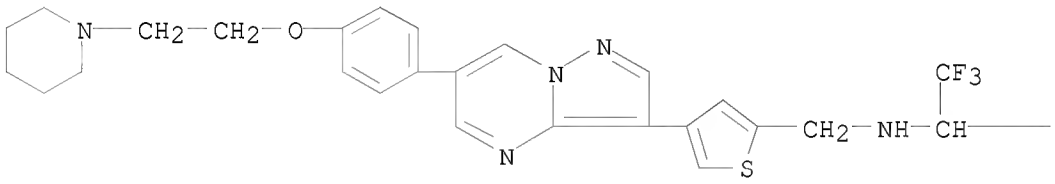

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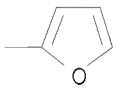

RN 945376-72-7 CAPLUS
CN 2-Pyridinemethanamine, N-[[4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-2-thienyl]methyl]-α-(trifluoromethyl)- (CA INDEX NAME)

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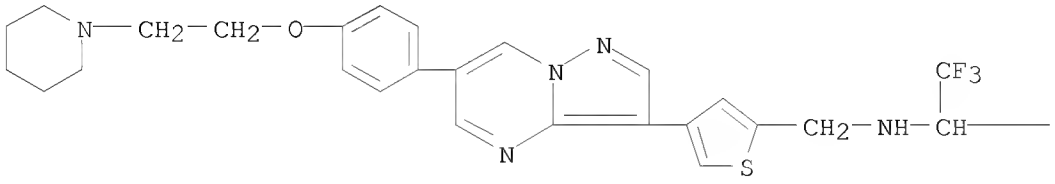
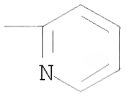
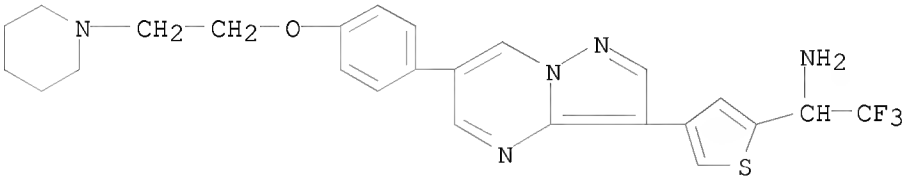
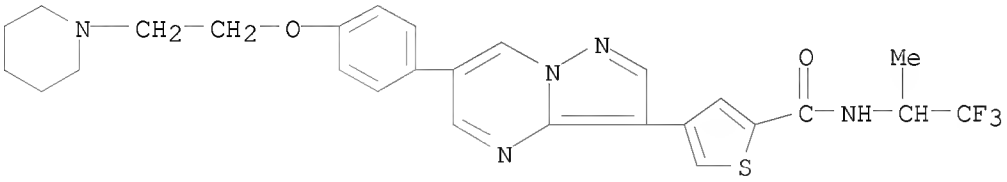




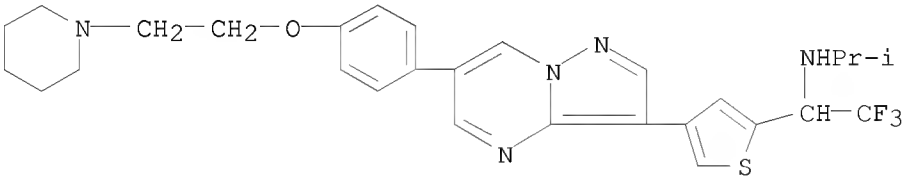
RN 945376-73-8 CAPLUS
CN 2-Thiophenemethanamine, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-α-(trifluoromethyl)- (CA INDEX NAME)



RN 945376-74-9 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoro-1-methylethyl)- (CA INDEX NAME)



RN 945376-75-0 CAPLUS
CN 2-Thiophenemethanamine, N-(1-methylethyl)-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-α-(trifluoromethyl)- (CA INDEX NAME)



RN 945376-76-1 CAPLUS
CN 2-Thiophenemethanamine, N-(cyclopropylmethyl)-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-α-(trifluoromethyl)- (CA INDEX NAME)

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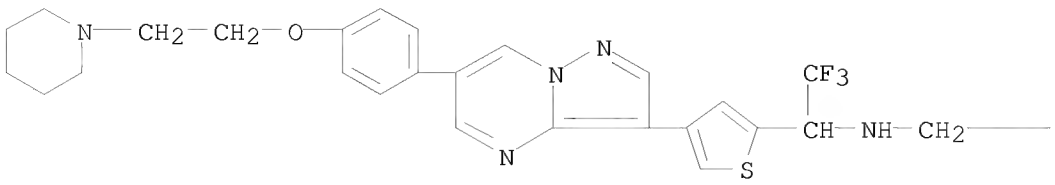

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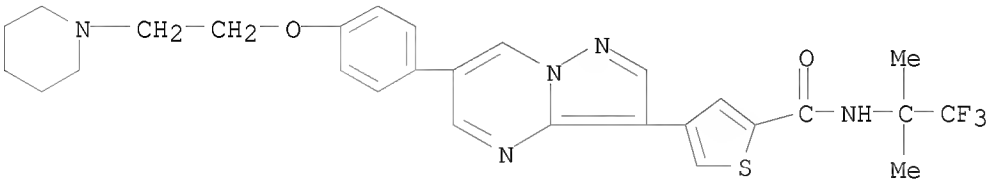
RN 945376-77-2 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoro-1,1-dimethylethyl)- (CA INDEX NAME)



RN 945376-78-3 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(3,3-difluoro-1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

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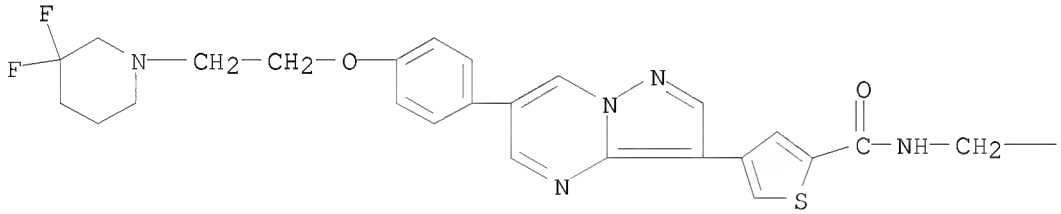

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— CF_3

RN 945376-79-4 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(3-fluoro-1-pyrrolidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

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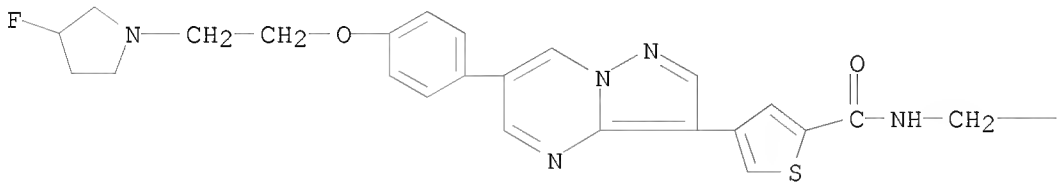

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— CF_3

RN 945376-80-7 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-[2,2,2-trifluoro-1-(3-pyridinyl)ethyl]- (CA INDEX NAME)

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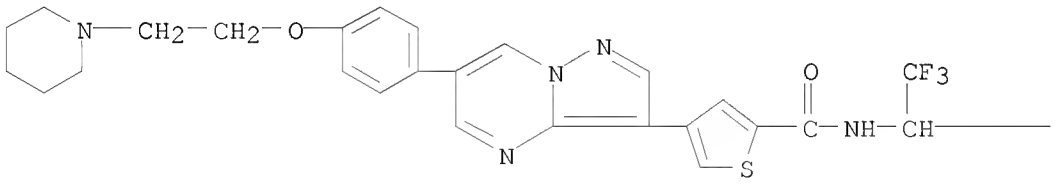

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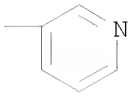

RN 945376-81-8 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(3-fluoro-1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

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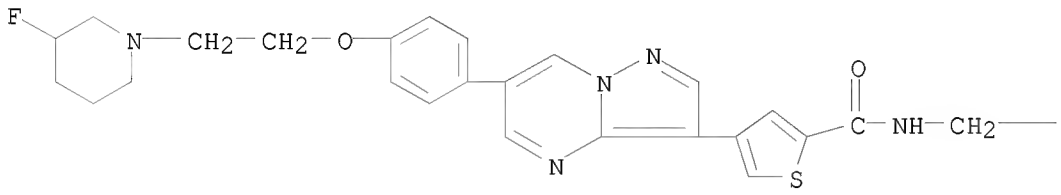

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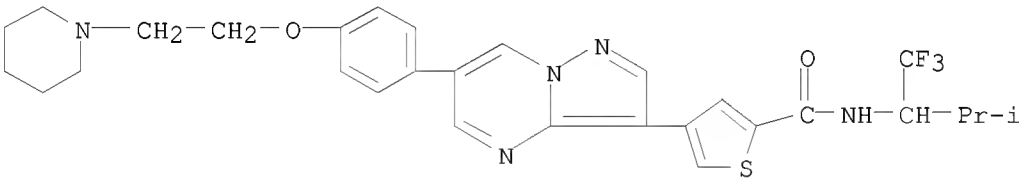
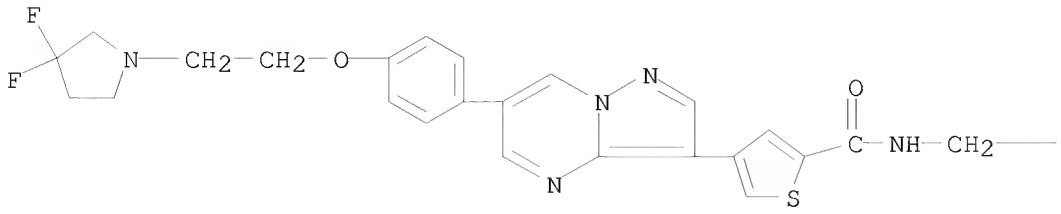
RN 945376-82-9 CAPLUS
CN 2-Thiophenecarboxamide, N-[2-methyl-1-(trifluoromethyl)propyl]-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 945376-83-0 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(3,3-difluoro-1-pyrrolidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

PAGE 1-A



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— CF_3

RN 945376-84-1 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(4,4-difluoro-1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

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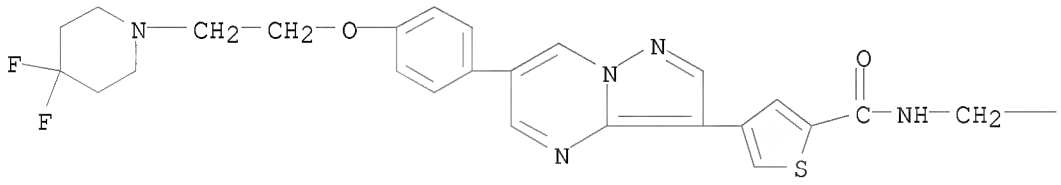

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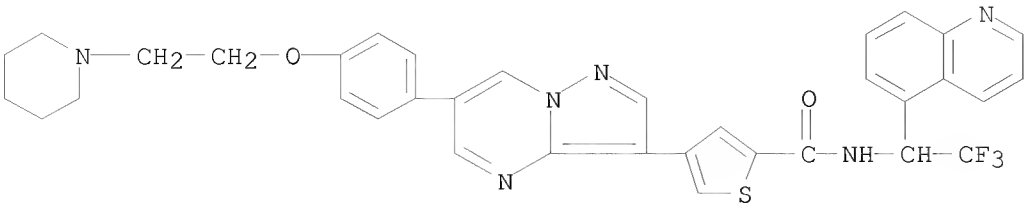
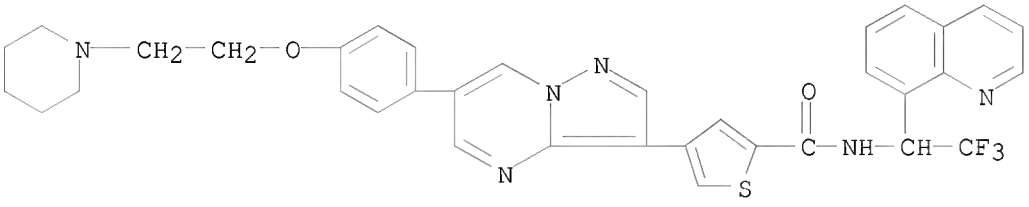
— CF_3

RN 945376-85-2 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-[2,2,2-trifluoro-1-(5-quinolinyl)ethyl]- (CA INDEX NAME)

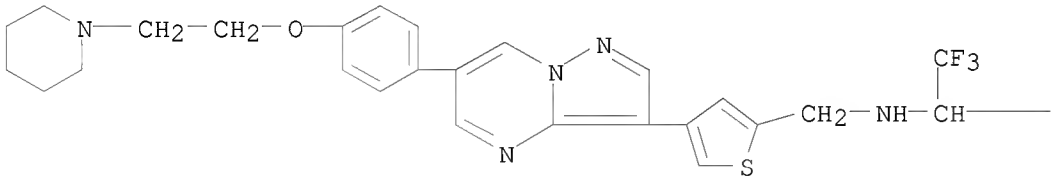


RN 945376-86-3 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-[2,2,2-trifluoro-1-(8-quinolinyl)ethyl]- (CA INDEX NAME)



RN 945376-87-4 CAPLUS
CN 2-Thiophenemethanamine, N-[2-methyl-1-(trifluoromethyl)propyl]-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)

PAGE 1-A



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— Pr-i

RN 945376-88-5 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-[2,2,2-trifluoro-1-(1-methyl-1H-imidazol-2-yl)ethyl]- (CA INDEX NAME)

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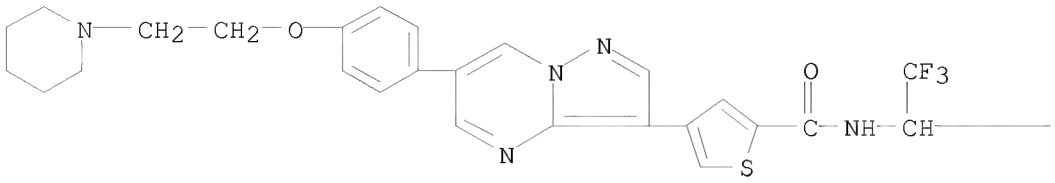

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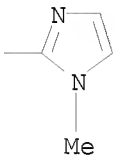

RN 945376-89-6 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-[2,2,2-trifluoro-1-(4-pyridinyl)ethyl]- (CA INDEX NAME)

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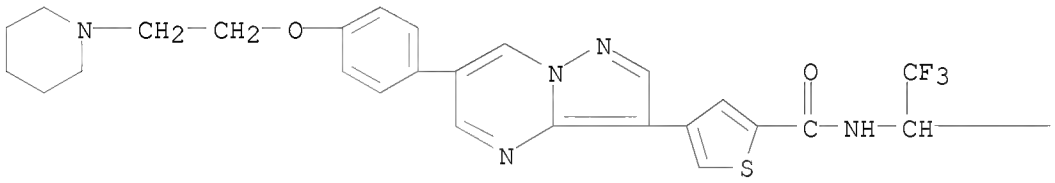

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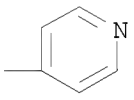
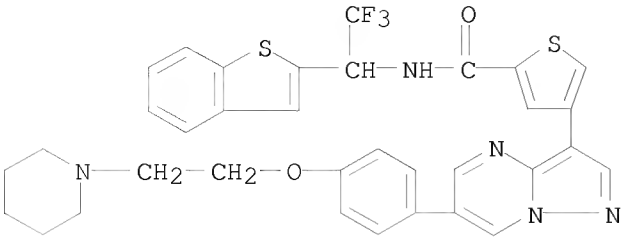
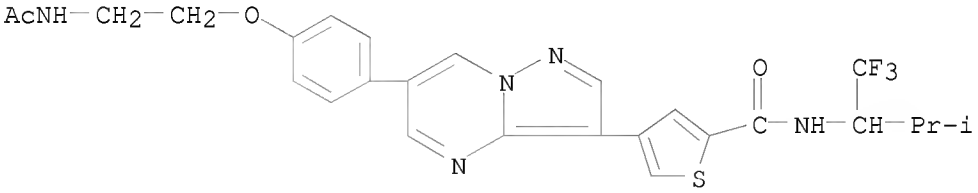


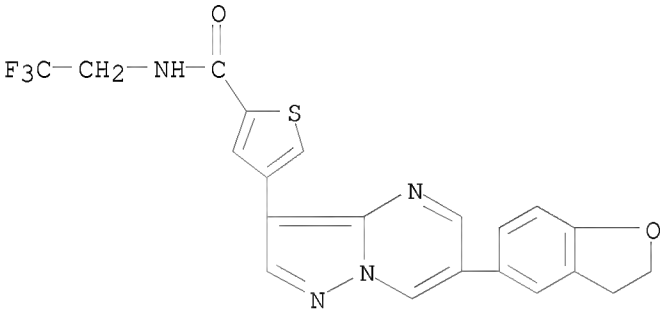
RN 945376-90-9 CAPLUS
CN 2-Thiophenecarboxamide, N-(1-benzo[b]thien-2-yl-2,2,2-trifluoroethyl)-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



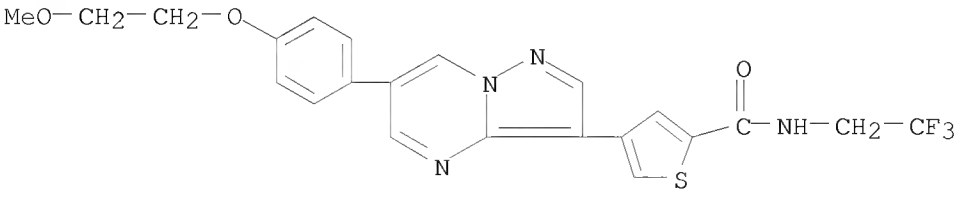
RN 945376-91-0 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(acetylamino)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-[2-methyl-1-(trifluoromethyl)propyl]- (CA INDEX NAME)



RN 945376-92-1 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-(2,3-dihydro-5-benzofuranyl)pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

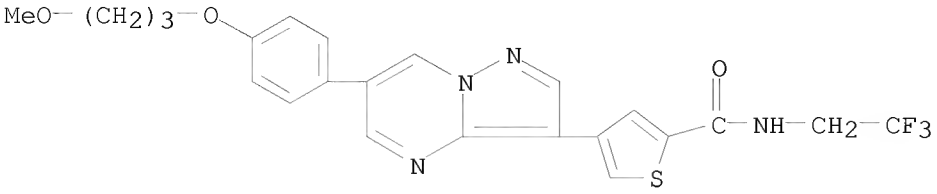


RN 945376-93-2 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-(2-methoxyethoxy)phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

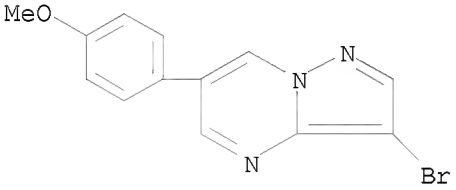


RN 945376-94-3 CAPLUS

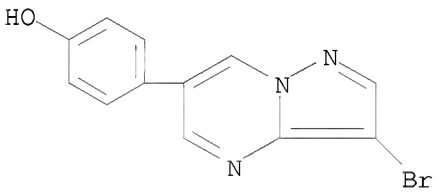
CN 2-Thiophenecarboxamide, 4-[6-[4-(3-methoxypropoxy)phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)



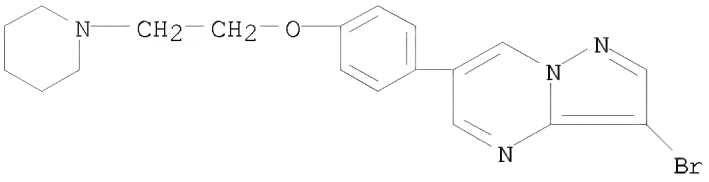
IT 216661-83-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(pyrazolo[1,5-a]pyrimidine derivs. for treatment of Alzheimer's disease and related conditions)
RN 216661-83-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-bromo-6-(4-methoxyphenyl)- (CA INDEX NAME)



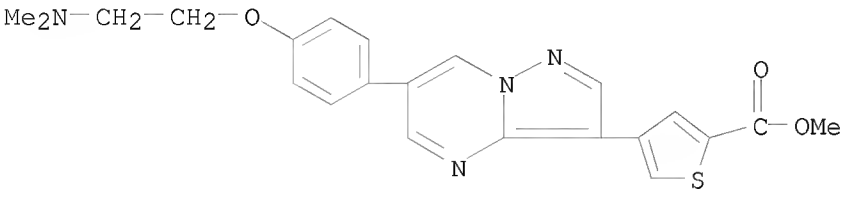
IT 945376-95-4P 945376-96-5P 945376-97-6P
945376-98-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(pyrazolo[1,5-a]pyrimidine derivs. for treatment of Alzheimer's disease and related conditions)
RN 945376-95-4 CAPLUS
CN Phenol, 4-(3-bromopyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



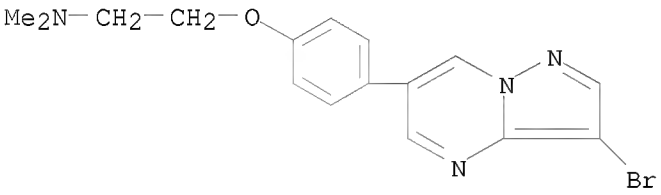
RN 945376-96-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-bromo-6-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



RN 945376-97-6 CAPLUS
CN 2-Thiophenecarboxylic acid, 4-[6-[4-[2-(dimethylamino)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-, methyl ester (CA INDEX NAME)



RN 945376-98-7 CAPLUS
CN Ethanamine, 2-[4-(3-bromopyrazolo[1,5-a]pyrimidin-6-yl)phenoxy]-N,N-dimethyl- (CA INDEX NAME)



IT 945376-99-8P 945377-00-4P 945377-01-5P
945377-02-6P 945377-03-7P 945377-04-8P
945377-05-9P 945377-06-0P 945377-07-1P
945377-08-2P 945377-09-3P 945377-10-6P
945377-11-7P 945377-12-8P 945377-13-9P
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945377-20-8P 945377-21-9P 945377-22-0P
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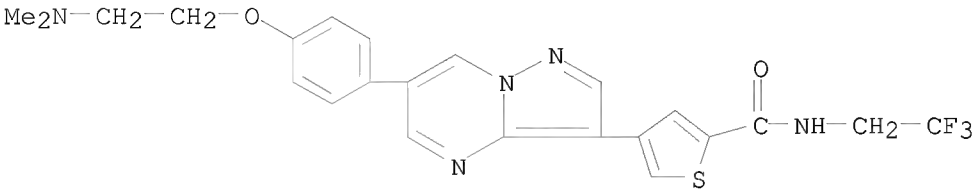
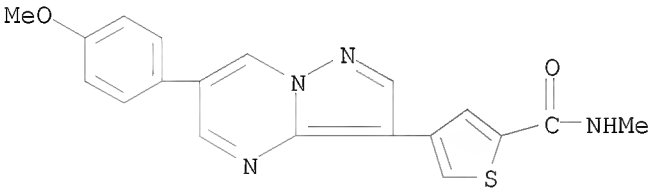
945377-67-3P 945377-69-5P 945377-70-8P

945377-71-9P 945377-72-0P 945377-74-2P
945377-75-3P 945377-76-4P 945377-77-5P
945377-78-6P 945377-79-7P 945377-80-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(pyrazolo[1,5-a]pyrimidine derivs. for treatment of Alzheimer's disease and related conditions)

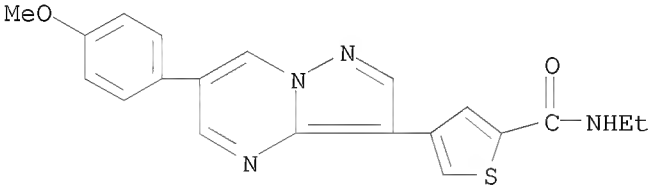
RN 945376-99-8 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(dimethylamino)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)



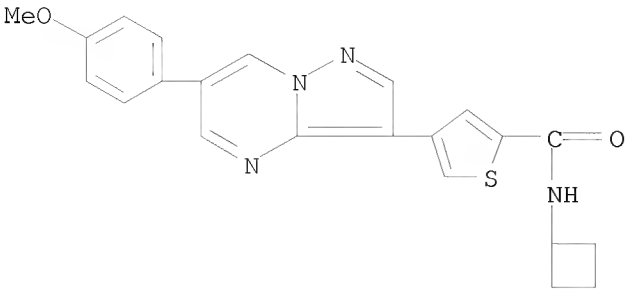
RN 945377-00-4 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]-N-methyl- (CA INDEX NAME)



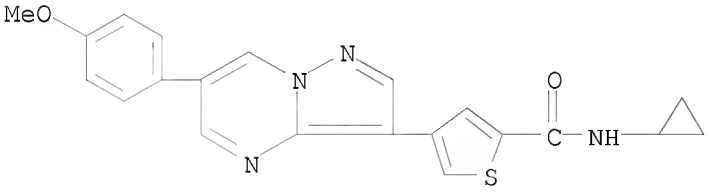
RN 945377-01-5 CAPLUS
CN 2-Thiophenecarboxamide, N-ethyl-4-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



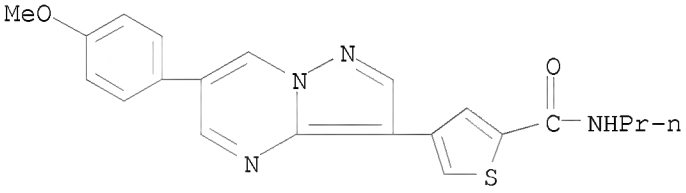
RN 945377-02-6 CAPLUS
CN 2-Thiophenecarboxamide, N-cyclobutyl-4-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



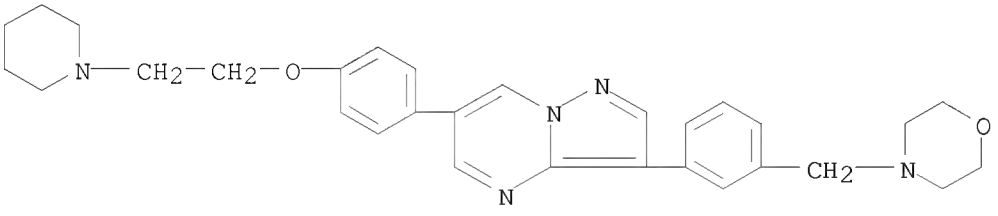
RN 945377-03-7 CAPLUS
CN 2-Thiophenecarboxamide, N-cyclopropyl-4-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



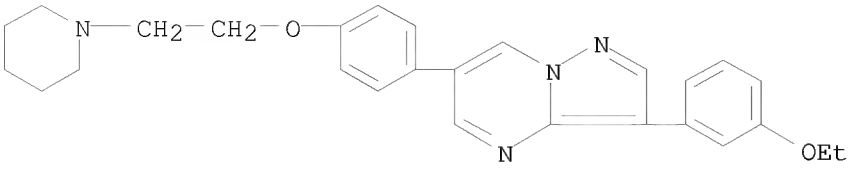
RN 945377-04-8 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]-N-propyl- (CA INDEX NAME)



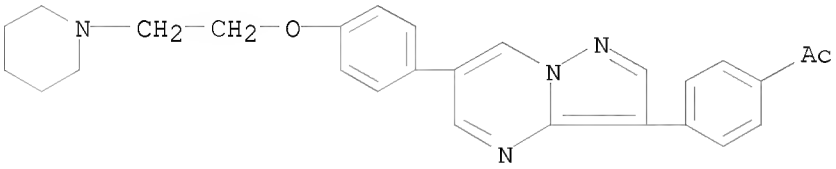
RN 945377-05-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-[3-(4-morpholinylmethyl)phenyl]-6-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



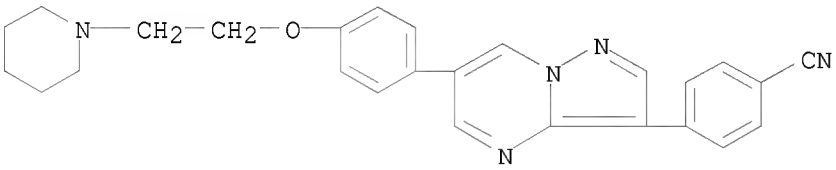
RN 945377-06-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-ethoxyphenyl)-6-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



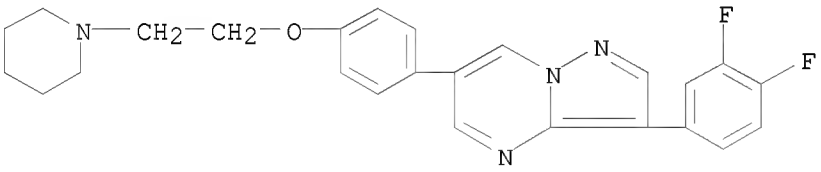
RN 945377-07-1 CAPLUS
CN Ethanone, 1-[4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]phenyl]- (CA INDEX NAME)



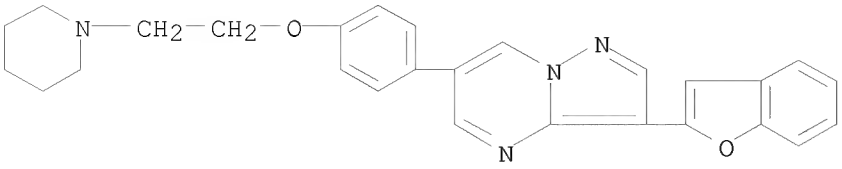
RN 945377-08-2 CAPLUS
CN Benzonitrile, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



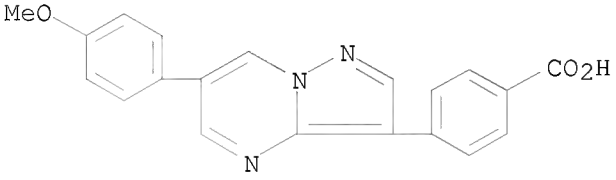
RN 945377-09-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3,4-difluorophenyl)-6-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



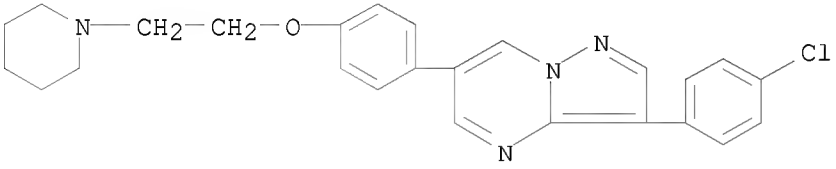
RN 945377-10-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(2-benzofuranyl)-6-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



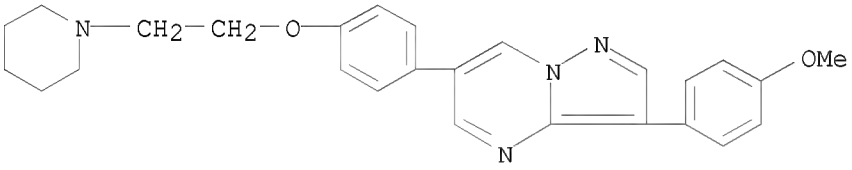
RN 945377-11-7 CAPLUS
CN Benzoic acid, 4-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



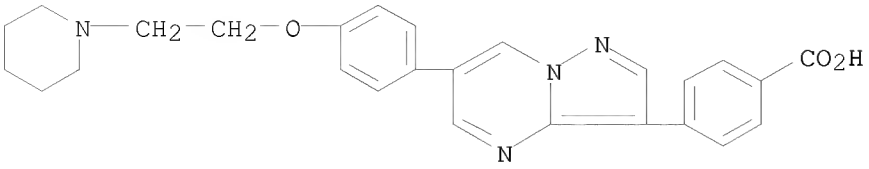
RN 945377-12-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-chlorophenyl)-6-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



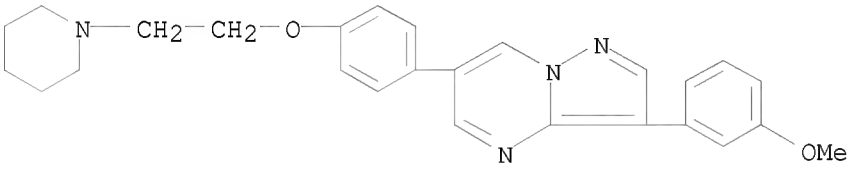
RN 945377-13-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-methoxyphenyl)-6-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



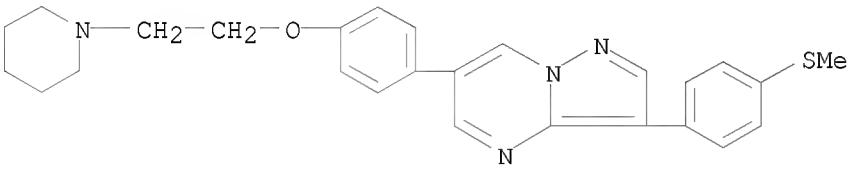
RN 945377-14-0 CAPLUS
CN Benzoic acid, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



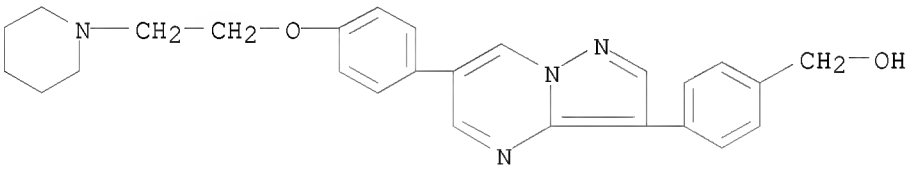
RN 945377-15-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-methoxyphenyl)-6-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



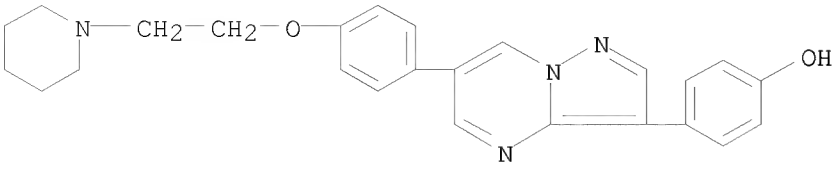
RN 945377-16-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-[4-(methylthio)phenyl]-6-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



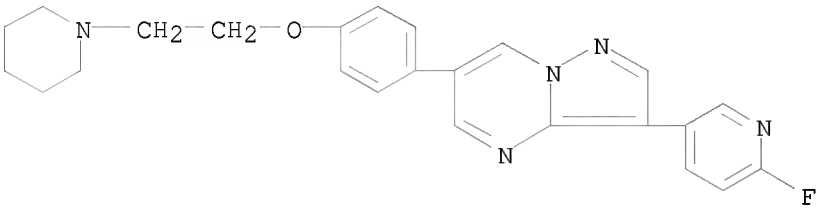
RN 945377-17-3 CAPLUS
CN Benzenemethanol, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



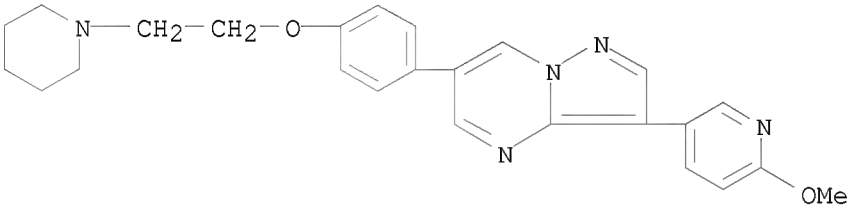
RN 945377-18-4 CAPLUS
CN Phenol, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



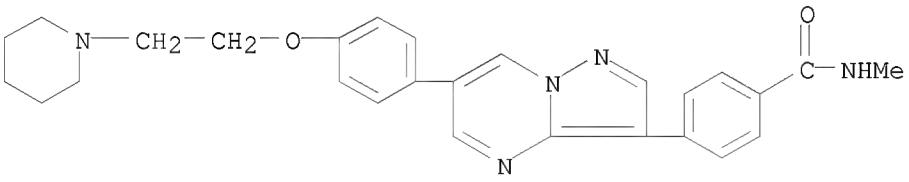
RN 945377-19-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(6-fluoro-3-pyridinyl)-6-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



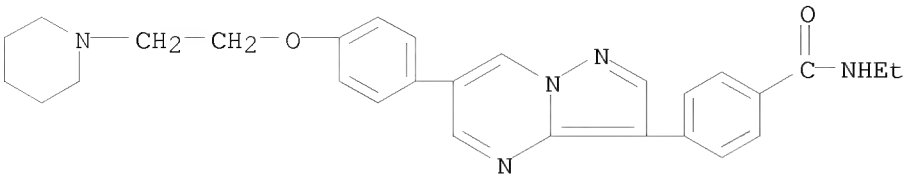
RN 945377-20-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(6-methoxy-3-pyridinyl)-6-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



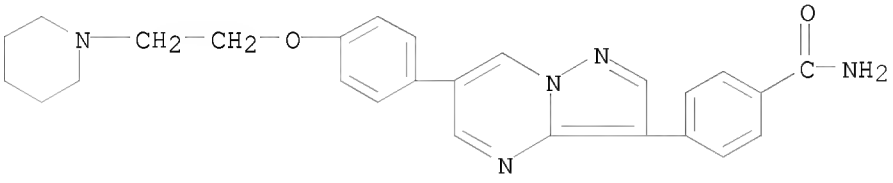
RN 945377-21-9 CAPLUS
CN Benzamide, N-methyl-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 945377-22-0 CAPLUS
CN Benzamide, N-ethyl-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 945377-23-1 CAPLUS
CN Benzamide, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 945377-24-2 CAPLUS
CN Benzamide, N-(2-aminoethyl)-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)

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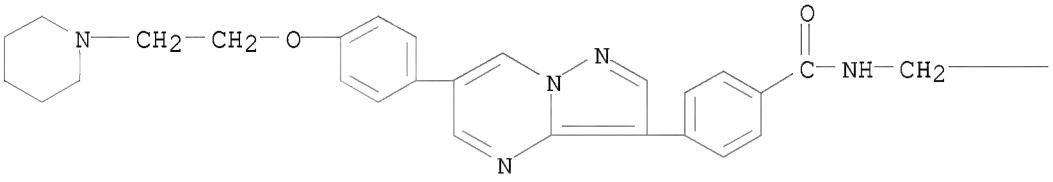

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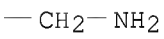
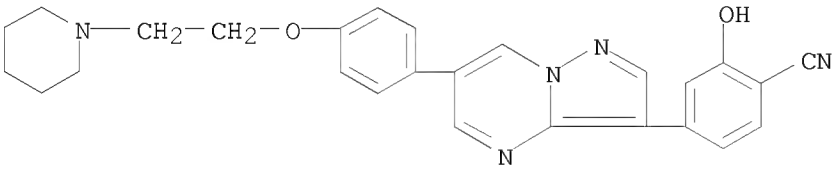
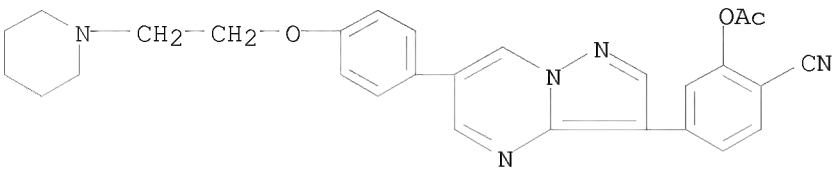


RN 945377-25-3 CAPLUS
CN Benzonitrile, 2-hydroxy-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)

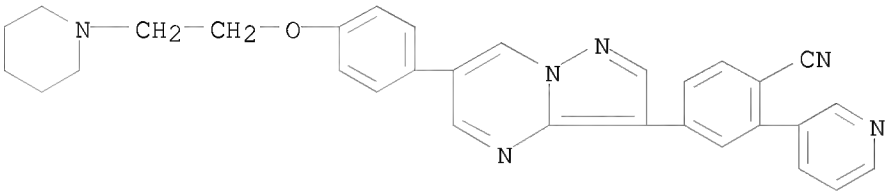


RN 945377-26-4 CAPLUS

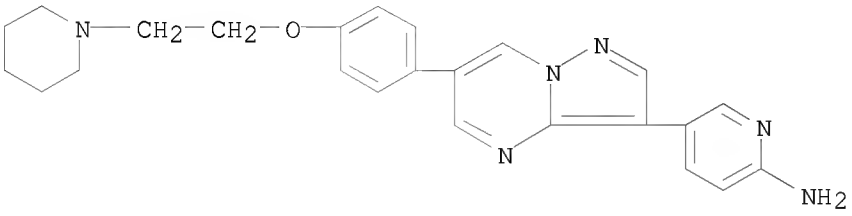
CN Benzonitrile, 2-(acetyloxy)-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazo
lo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



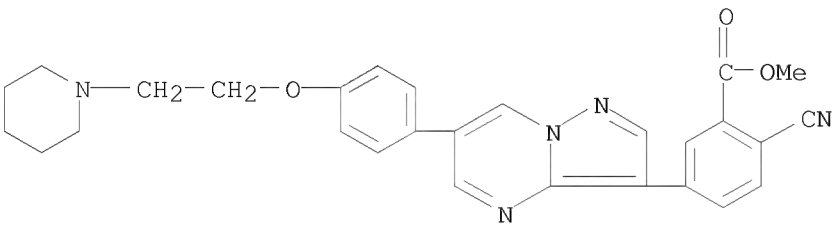
RN 945377-27-5 CAPLUS
CN Benzonitrile, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-
a]pyrimidin-3-yl]-2-(3-pyridinyl)- (CA INDEX NAME)



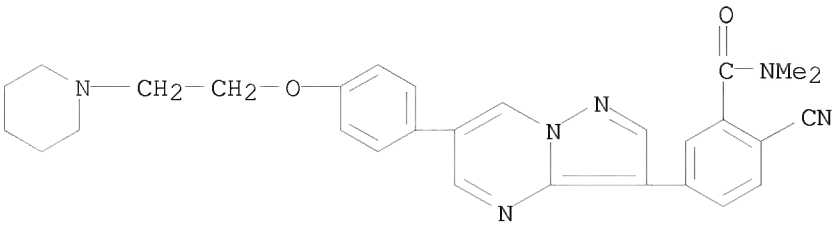
RN 945377-28-6 CAPLUS
CN 2-Pyridinamine, 5-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-
a]pyrimidin-3-yl]- (CA INDEX NAME)



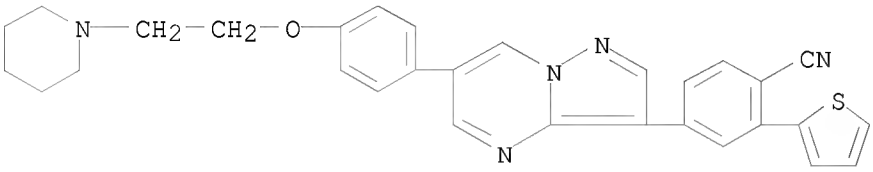
RN 945377-29-7 CAPLUS
CN Benzoic acid, 2-cyano-5-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-
a]pyrimidin-3-yl]-, methyl ester (CA INDEX NAME)



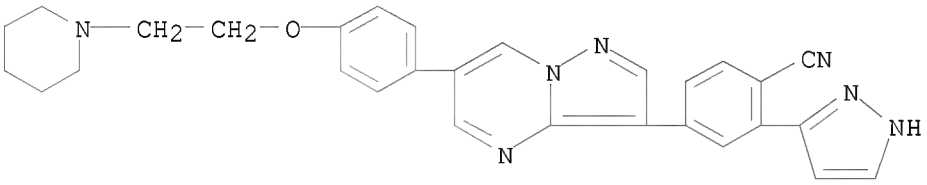
RN 945377-30-0 CAPLUS
CN Benzamide, 2-cyano-N,N-dimethyl-5-[6-[4-[2-(1-
piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



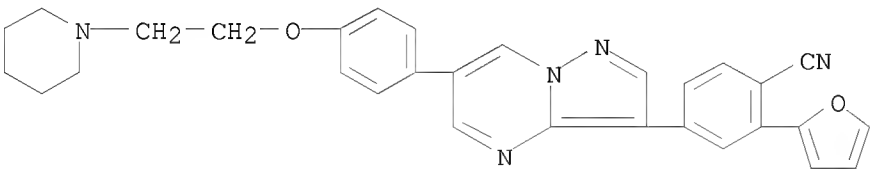
RN 945377-31-1 CAPLUS
CN Benzonitrile, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-2-(2-thienyl)- (CA INDEX NAME)



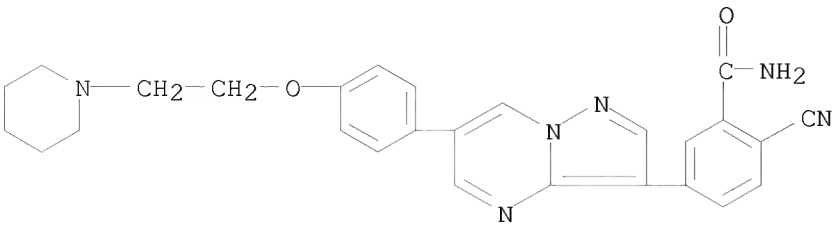
RN 945377-32-2 CAPLUS
CN Benzonitrile, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-2-(1H-pyrazol-3-yl)- (CA INDEX NAME)



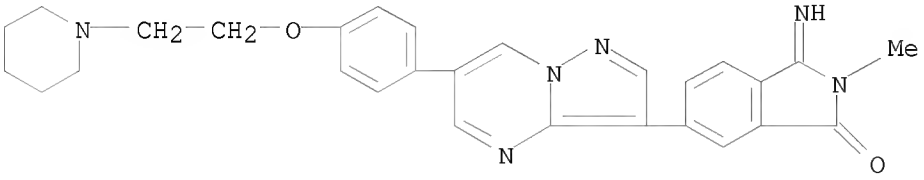
RN 945377-33-3 CAPLUS
CN Benzonitrile, 2-(2-furanyl)-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



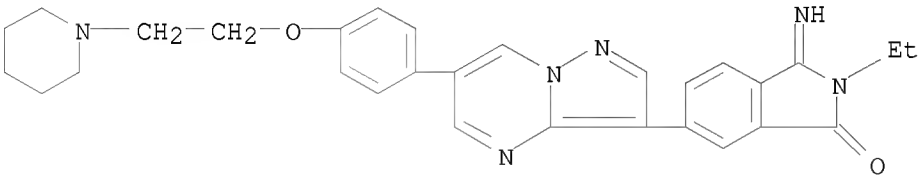
RN 945377-35-5 CAPLUS
CN Benzamide, 2-cyano-5-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 945377-36-6 CAPLUS
CN 1H-Isoindol-1-one, 2,3-dihydro-3-imino-2-methyl-6-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 945377-37-7 CAPLUS
CN 1H-Isoindol-1-one, 2-ethyl-2,3-dihydro-3-imino-6-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 945377-38-8 CAPLUS
CN 1H-Isoindol-1-one, 2,3-dihydro-2-(2-hydroxyethyl)-3-imino-6-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)

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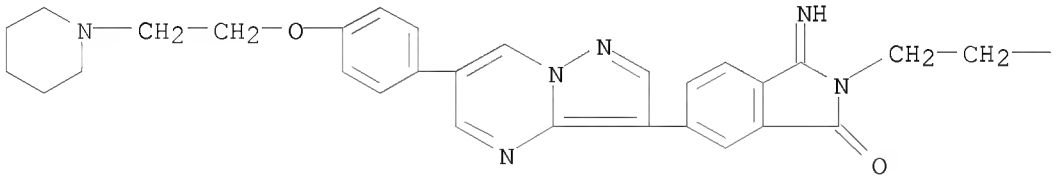

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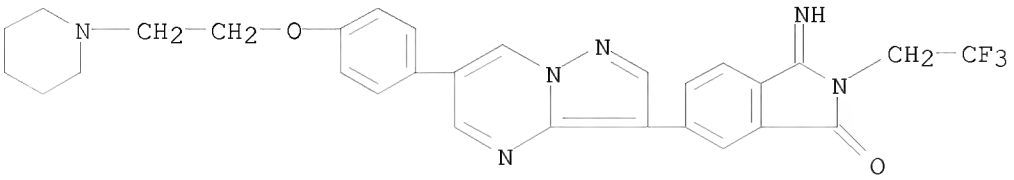
RN 945377-39-9 CAPLUS
CN 1H-Isoindol-1-one, 2,3-dihydro-3-imino-6-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-2-(2,2,2-trifluoroethyl)- (CA INDEX NAME)



RN 945377-40-2 CAPLUS
CN 1H-Isoindol-1-one, 2-[2-(dimethylamino)ethyl]-2,3-dihydro-3-imino-6-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)

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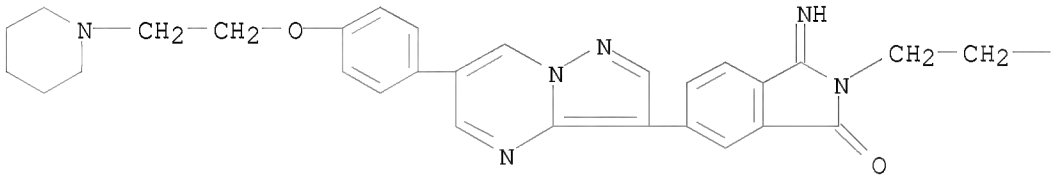

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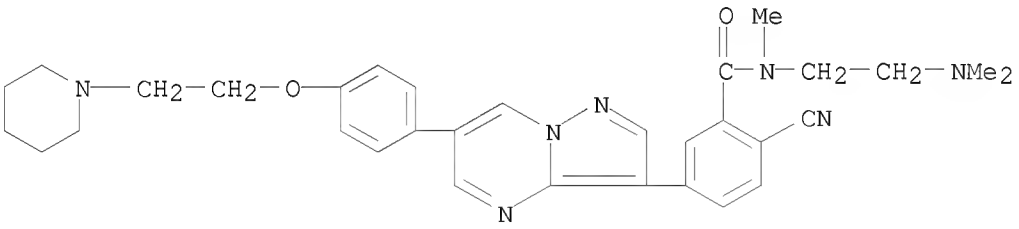
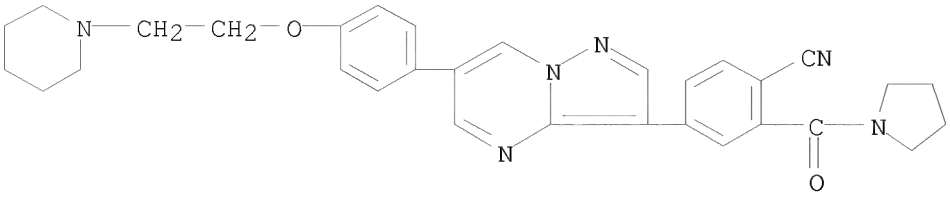
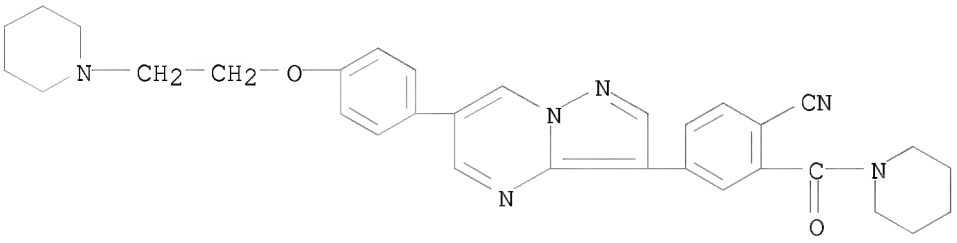
RN 945377-41-3 CAPLUS
CN Benzamide, 2-cyano-N-[2-(dimethylamino)ethyl]-N-methyl-5-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



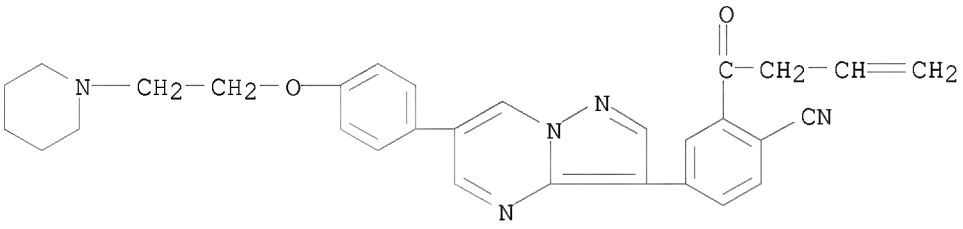
RN 945377-42-4 CAPLUS
CN Benzonitrile, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-2-(1-pyrrolidinylcarbonyl)- (CA INDEX NAME)



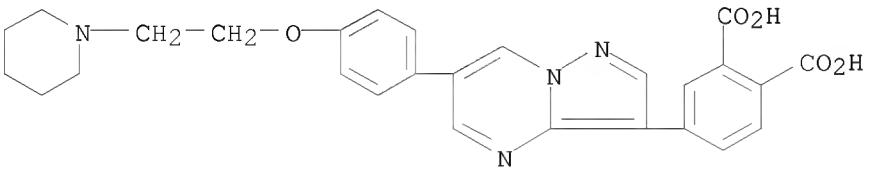
RN 945377-43-5 CAPLUS
CN Benzonitrile, 2-(1-piperidinylcarbonyl)-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



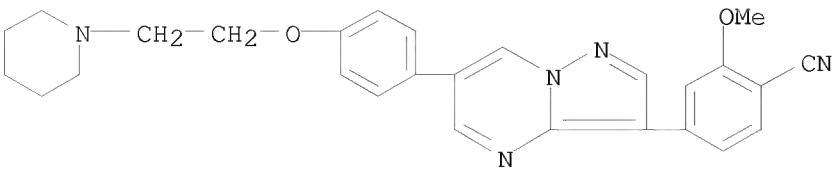
RN 945377-44-6 CAPLUS
CN Benzonitrile, 2-(1-oxo-3-buten-1-yl)-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 945377-45-7 CAPLUS
CN 1,2-Benzenedicarboxylic acid, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 945377-46-8 CAPLUS
CN Benzonitrile, 2-methoxy-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 945377-47-9 CAPLUS
CN 1H-Isoindol-1-one, 2-(2-aminoethyl)-2,3-dihydro-3-imino-6-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)

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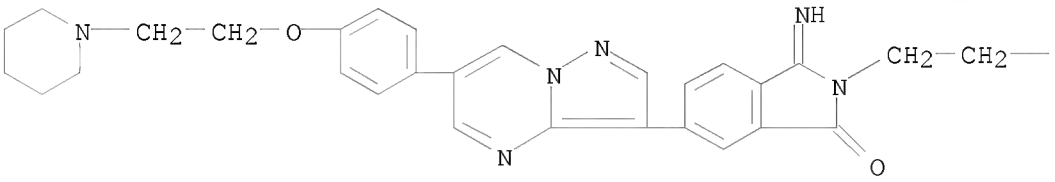

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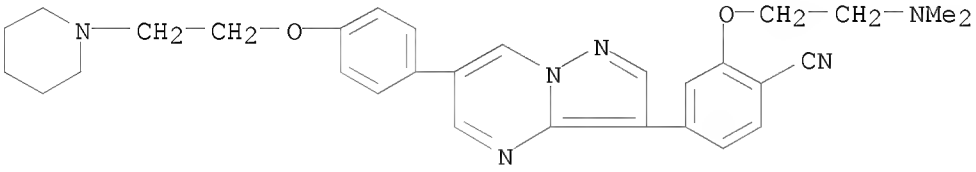
RN 945377-48-0 CAPLUS
CN Benzonitrile, 2-[2-(dimethylamino)ethoxy]-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 945377-49-1 CAPLUS
CN Benzonitrile, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-2-[2-(1-pyrrolidinyl)ethoxy]- (CA INDEX NAME)

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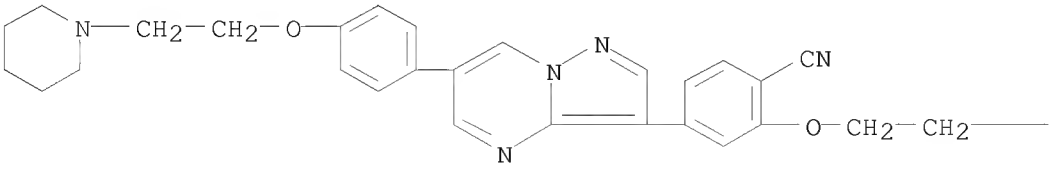
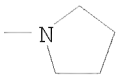
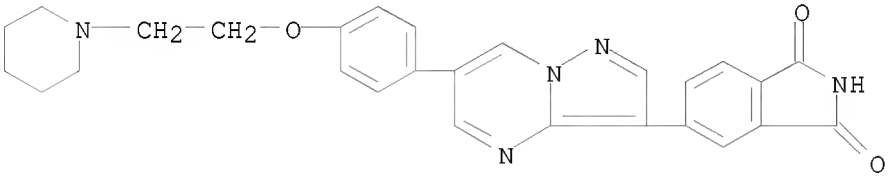
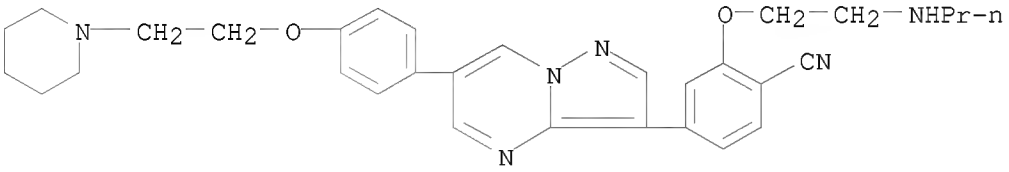




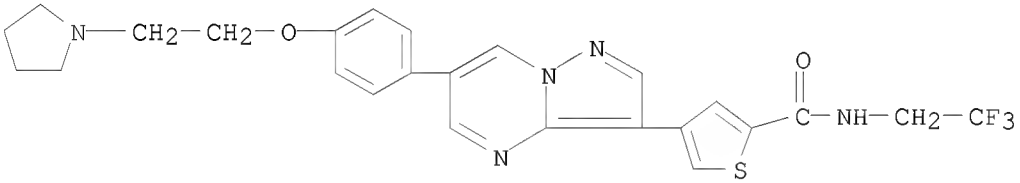
RN 945377-50-4 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 945377-51-5 CAPLUS
CN Benzonitrile, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-2-[2-(propylamino)ethoxy]- (CA INDEX NAME)



RN 945377-52-6 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

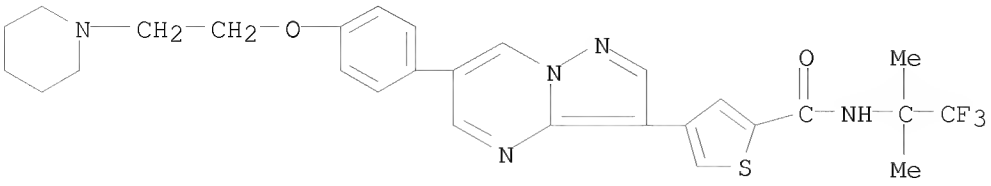


RN 945377-53-7 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoro-1,1-dimethylethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

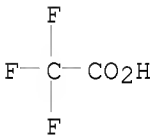
CRN 945376-77-2

CMF C28 H30 F3 N5 O2 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 945377-54-8 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(3,3-difluoro-1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 945376-78-3
CMF C26 H24 F5 N5 O2 S

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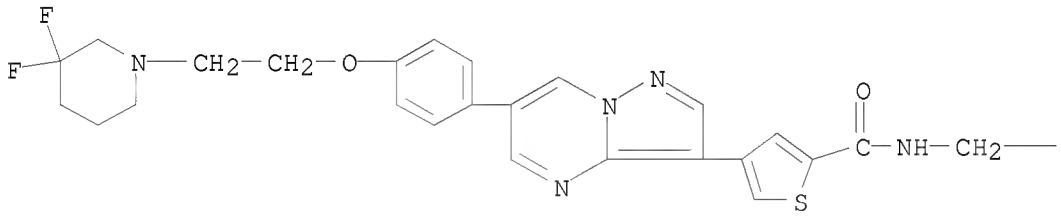

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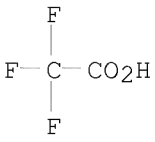
— CF_3

CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 945377-56-0 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-[(3S)-3-fluoro-1-pyrrolidinyl]ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 945377-55-9
CMF C25 H23 F4 N5 O2 S

Absolute stereochemistry.

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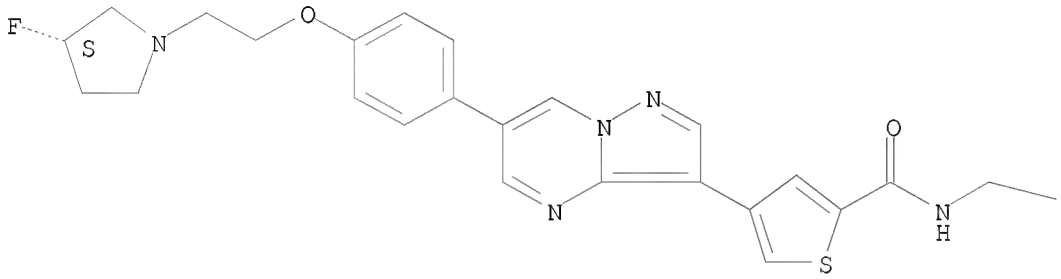

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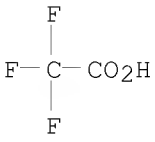
CM 2

CRN 76-05-1
CMF C2 H F3 O2



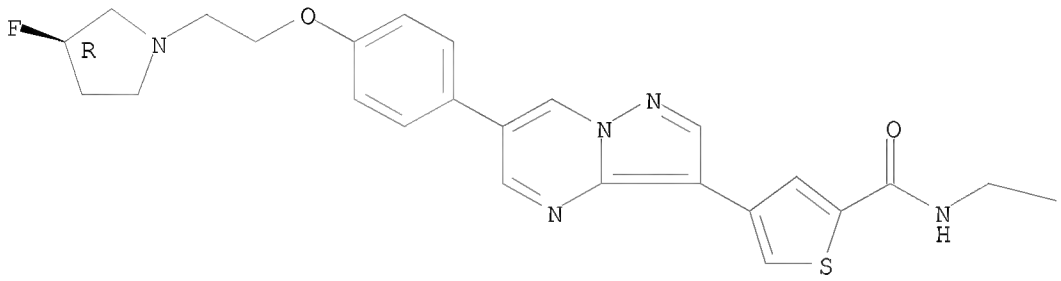
RN 945377-58-2 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-[(3R)-3-fluoro-1-pyrrolidinyl]ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 945377-57-1
CMF C25 H23 F4 N5 O2 S

Absolute stereochemistry.

PAGE 1-A



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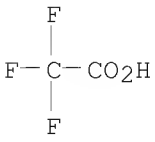
CM 2

CRN 76-05-1
CMF C2 H F3 O2

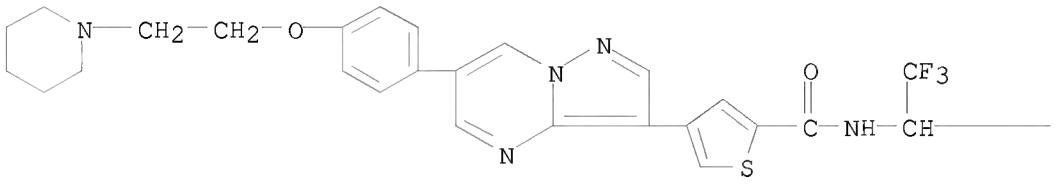


RN 945377-59-3 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-[2,2,2-trifluoro-1-(3-pyridinyl)ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 945376-80-7
CMF C31 H29 F3 N6 O2 S

PAGE 1-A



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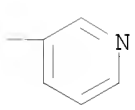
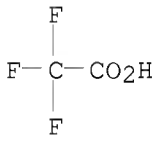


CM 2

CRN 76-05-1
CMF C2 H F3 O2

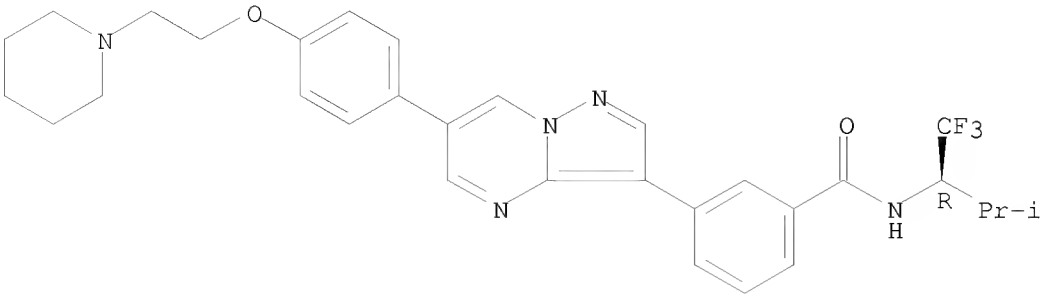


RN 945377-61-7 CAPLUS
CN Benzamide, N-[(1R)-2-methyl-1-(trifluoromethyl)propyl]-3-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

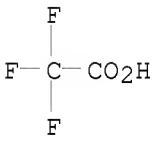
CRN 945377-60-6
CMF C31 H34 F3 N5 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 945377-63-9 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(3-fluoro-1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 945376-81-8
CMF C26 H25 F4 N5 O2 S

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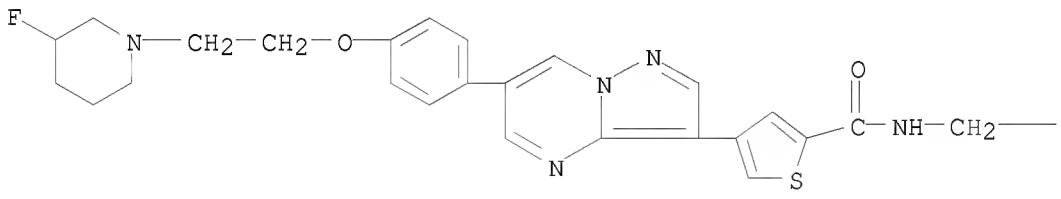

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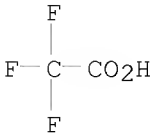
— CF_3

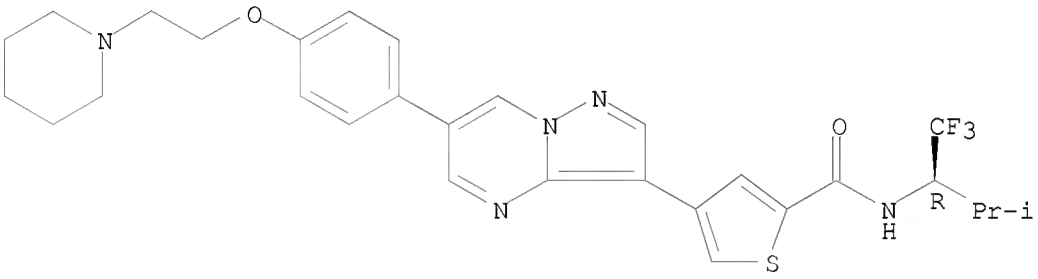
CM 2

CRN 76-05-1
CMF C2 H F3 O2



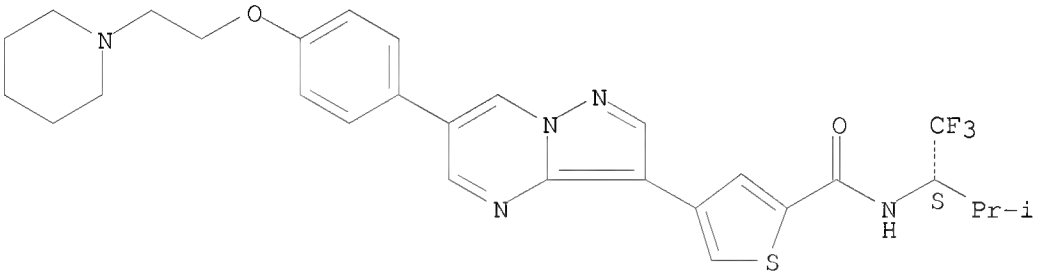
RN 945377-64-0 CAPLUS
CN 2-Thiophenecarboxamide, N-[(1R)-2-methyl-1-(trifluoromethyl)propyl]-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 945377-65-1 CAPLUS
CN 2-Thiophenecarboxamide, N-[(1S)-2-methyl-1-(trifluoromethyl)propyl]-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 945377-66-2 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(3,3-difluoro-1-pyrrolidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 945376-83-0

CMF C25 H22 F5 N5 O2 S

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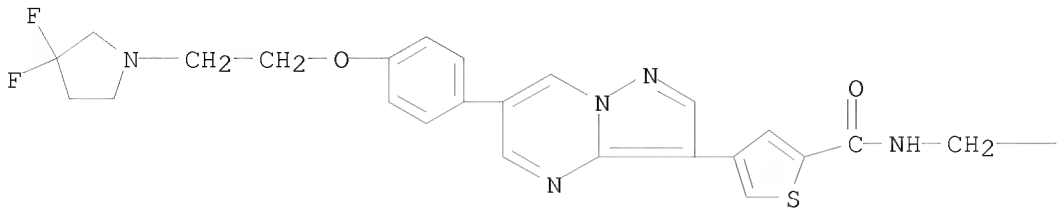

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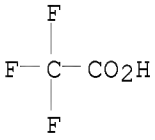
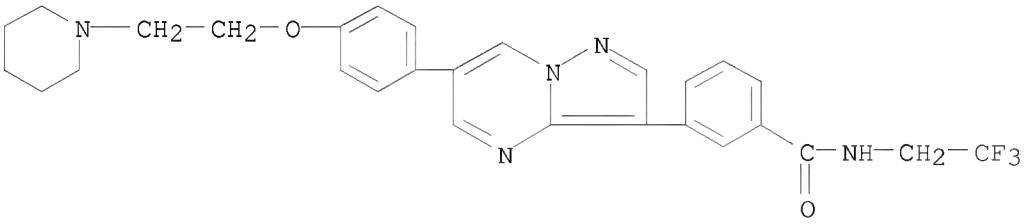
— CF_3

CM 2

CRN 76-05-1
CMF C2 H F3 O2



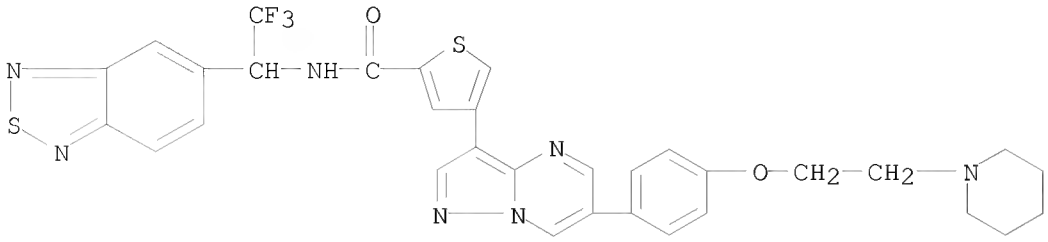
RN 945377-67-3 CAPLUS
CN Benzamide, 3-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)



RN 945377-69-5 CAPLUS
CN 2-Thiophenecarboxamide, N-[1-(2,1,3-benzothiadiazol-5-yl)-2,2,2-trifluoroethyl]-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

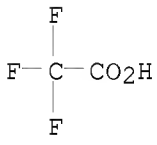
CM 1

CRN 945377-68-4
CMF C32 H28 F3 N7 O2 S2



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 945377-70-8 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(4,4-difluoro-1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 945376-84-1
CMF C26 H24 F5 N5 O2 S

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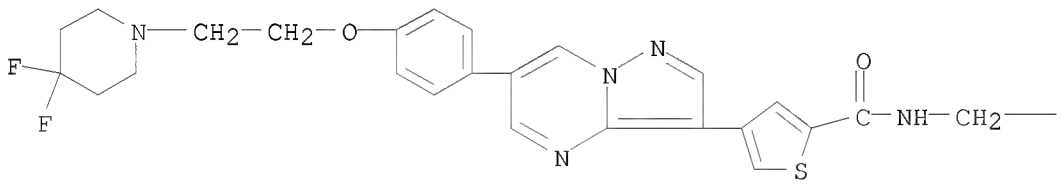

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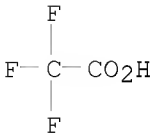
— CF_3

CM 2

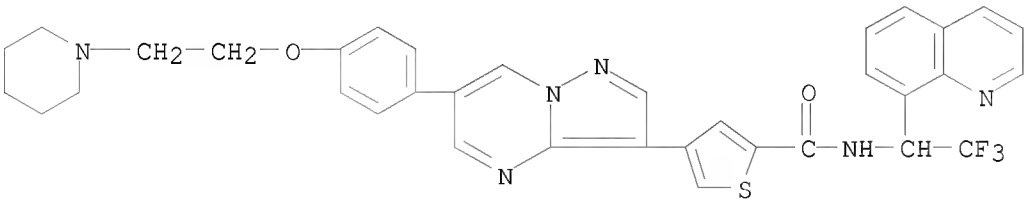
CRN 76-05-1
CMF C2 H F3 O2



RN 945377-71-9 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-[2,2,2-trifluoro-1-(8-quinolinyl)ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

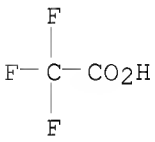
CM 1

CRN 945376-86-3
CMF C35 H31 F3 N6 O2 S



CM 2

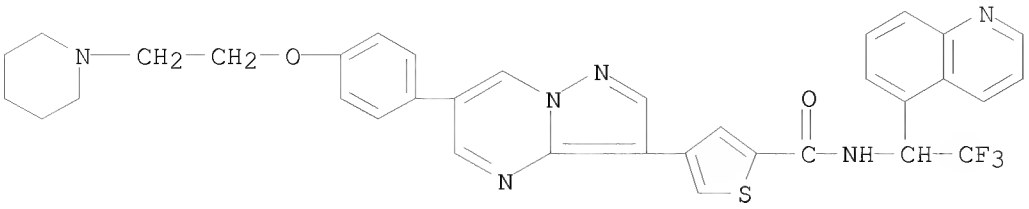
CRN 76-05-1
CMF C2 H F3 O2



RN 945377-72-0 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-[2,2,2-trifluoro-1-(5-quinolinyl)ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

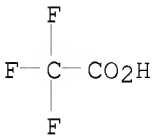
CM 1

CRN 945376-85-2
CMF C35 H31 F3 N6 O2 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

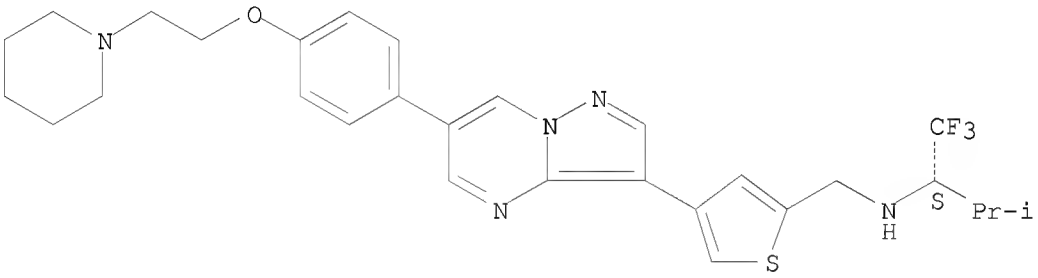


RN 945377-74-2 CAPLUS
CN 2-Thiophenemethanamine, N-[(1S)-2-methyl-1-(trifluoromethyl)propyl]-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

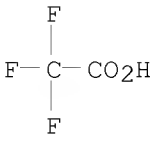
CRN 945377-73-1
CMF C29 H34 F3 N5 O S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 945377-75-3 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-[2,2,2-trifluoro-1-(1-methyl-1H-imidazol-2-yl)ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 945376-88-5
CMF C30 H30 F3 N7 O2 S

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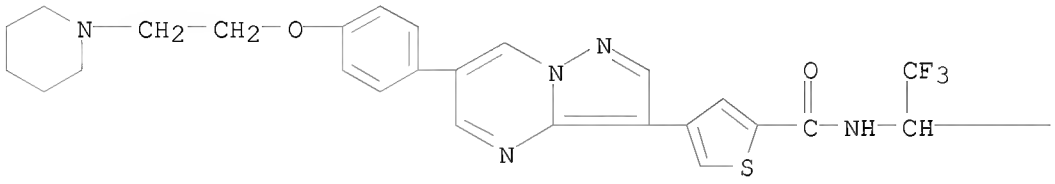

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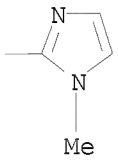
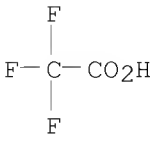


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 945377-76-4 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-[2,2,2-trifluoro-1-(4-pyridinyl)ethyl]-,

2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 945376-89-6
CMF C31 H29 F3 N6 O2 S

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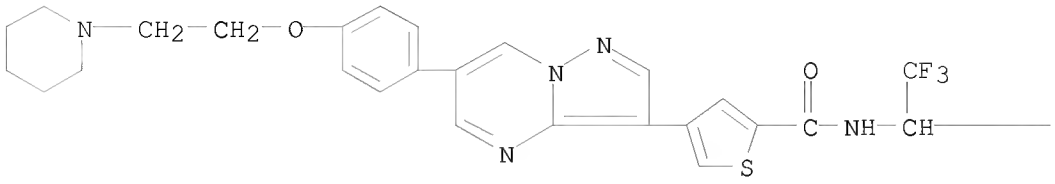

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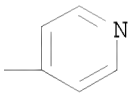
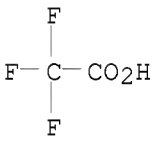


CM 2

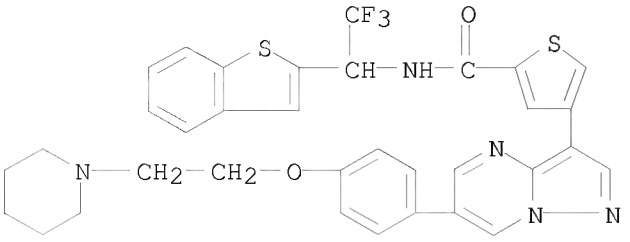
CRN 76-05-1
CMF C2 H F3 O2



RN 945377-77-5 CAPLUS
CN 2-Thiophenecarboxamide, N-(1-benzo[b]thien-2-yl-2,2,2-trifluoroethyl)-4-[6-[4-[2-(1-piperidinyl)ethoxy]phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

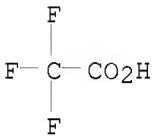
CM 1

CRN 945376-90-9
CMF C34 H30 F3 N5 O2 S2



CM 2

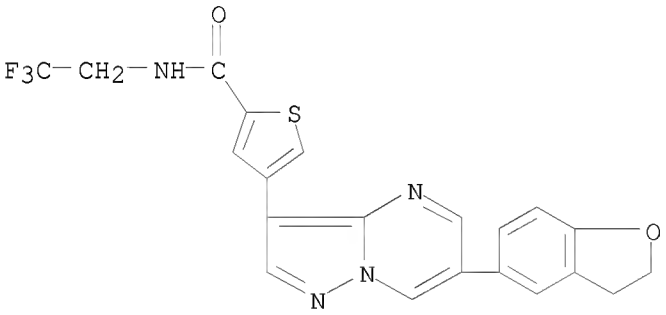
CRN 76-05-1
CMF C2 H F3 O2



RN 945377-78-6 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-(2,3-dihydro-5-benzofuranyl)pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

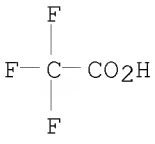
CM 1

CRN 945376-92-1
CMF C21 H15 F3 N4 O2 S



CM 2

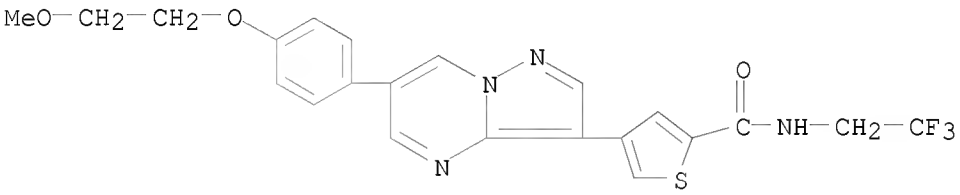
CRN 76-05-1
CMF C2 H F3 O2



RN 945377-79-7 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-(2-methoxyethoxy)phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

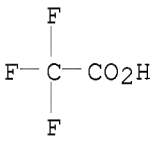
CM 1

CRN 945376-93-2
CMF C22 H19 F3 N4 O3 S



CM 2

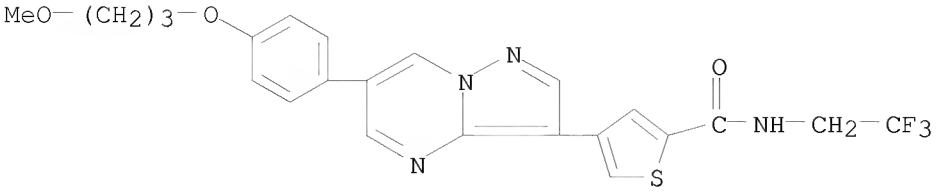
CRN 76-05-1
CMF C2 H F3 O2



RN 945377-80-0 CAPLUS
CN 2-Thiophenecarboxamide, 4-[6-[4-(3-methoxypropoxy)phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-N-(2,2,2-trifluoroethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

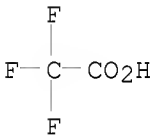
CM 1

CRN 945376-94-3
CMF C23 H21 F3 N4 O3 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



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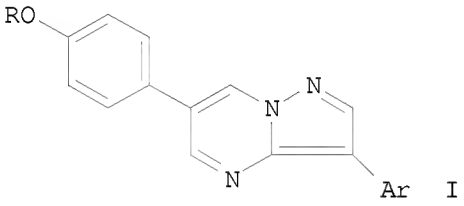


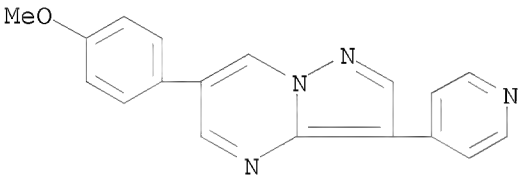
AB Compds. I [R = (un)substituted C1-4 alkyl, or R may complete fused THF ring; Ar = (un)substituted Ph, (un)substituted optionally benzofused 5- or 6-membered heteroaryl] (preparation of selected compds. described) inhibit microtubule affinity regulating kinase (MARK), and hence are suitable for treating diseases associated with abnormal phosphorylation of tau.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:41113 CAPLUS
DOCUMENT NUMBER: 146:116903
TITLE: Kinase-directed, activity-based probes for identification and isolation of kinases
INVENTOR(S): Boyce, James P.; Brown, Michael E.; Fitzner, Jeffrey N.; Kowski, Thomas J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 33pp., Cont.-in-part of U.S. Ser. No. 331,413.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2007009977	A1	20070111	US 2006-471286		20060619
US 2006211074	A1	20060921	US 2006-331413		20060112
PRIORITY APPLN. INFO.:			US 2005-643609P	P	20050112
			US 2006-331413	A2	20060112

IT 216661-58-4
RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); NUU (Other use, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(kinase competitive inhibitor, KABP containing; kinase-directed, activity-based probes (KABPs) for identification and isolation of kinases)
RN 216661-58-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-(4-pyridinyl)- (CA INDEX NAME)

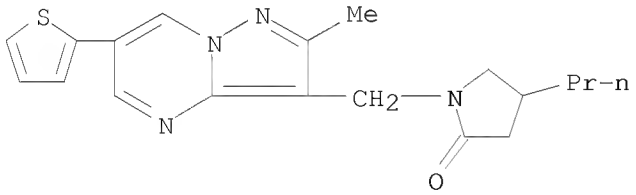


AB Various embodiments of the present invention are related to kinase-directed, activity-based probes ("KABPs") that bind to, and label, kinases. Each KABP includes a binding group (e.g., substituted anilinoquinazoline) that is recognized and bound by one or more kinases, a reactive group that tightly, and generally irreversibly, binds to the kinase, a tag group that provides a detectable label for the kinase-KABP pair, or that serves as a chemical handle for subsequent procedures and processes, and a linker group that links the tag group to one or more of the reactive group and the binding group, spacing the tag group from the reactive and binding groups. Addnl. embodiments of the present invention are directed to methods for identifying kinases within, and isolating kinases from living cells by use of one or more KABPs. Exemplary preparation of the KABP-1, N-[4-(3-chloro-4-fluoro-phenylamino)-quinazolin-6-yl]-3-(4-{[2-(2-{2-[3-4,4-difluoro-5,7-dimethyl-4H-3a,4a-diaza-4-bora-s-indacen-3-yl)propionylamino]eyhoxy}-ethoxy ethylcarbamoyl]-methoxy}-3-methoxy-phenyl)-acrylamide, is described.

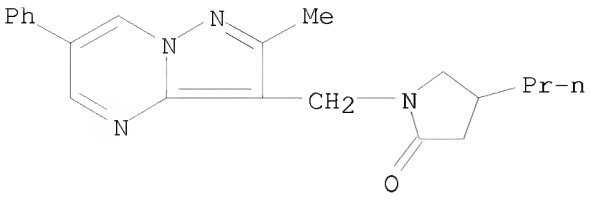
L5 ANSWER 5 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1280998 CAPLUS
DOCUMENT NUMBER: 146:45393
TITLE: Preparation of 2-pyrrolidinone derivatives and their use as anticonvulsants
INVENTOR(S): Kenda, Benoit; Quesnel, Yannick; Ates, Ali; Michel, Philippe; Turet, Laurent; Mercier, Joeel
PATENT ASSIGNEE(S): Ucb S.A., Belg.
SOURCE: PCT Int. Appl., 270pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006128692	A2	20061207	WO 2006-EP5199	20060531
WO 2006128692	A3	20070315		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006254335	A1	20061207	AU 2006-254335	20060531
PRIORITY APPLN. INFO.:			EP 2005-11779 A	20050601
			EP 2005-11780 A	20050601
			WO 2006-EP5199 W	20060531

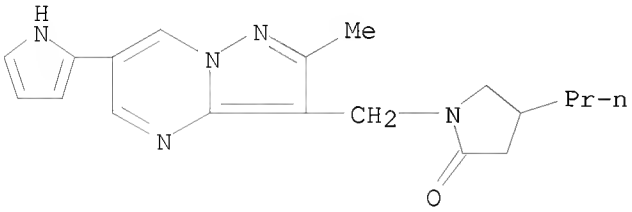
OTHER SOURCE(S): MARPAT 146:45393
IT 916255-32-8P 916255-33-9P 916255-34-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 2-pyrrolidinone derivs. and their use as anticonvulsants)
RN 916255-32-8 CAPLUS
CN 2-Pyrrolidinone, 1-[[2-methyl-6-(2-thienyl)pyrazolo[1,5-a]pyrimidin-3-yl]methyl]-4-propyl- (CA INDEX NAME)



RN 916255-33-9 CAPLUS
CN 2-Pyrrolidinone, 1-[(2-methyl-6-phenylpyrazolo[1,5-a]pyrimidin-3-yl)methyl]-4-propyl- (CA INDEX NAME)



RN 916255-34-0 CAPLUS
CN 2-Pyrrolidinone, 1-[[2-methyl-6-(1H-pyrrol-2-yl)pyrazolo[1,5-a]pyrimidin-3-yl]methyl]-4-propyl- (CA INDEX NAME)



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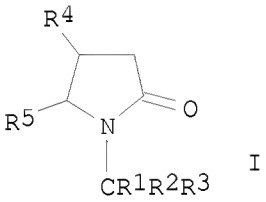

AB The present invention concerns 2-pyrrolidinone derivs. (shown as I; variables defined below; e.g. 1-[(5-nitro-1H-indol-3-yl)methyl]-4-propylpyrrolidin-2-one (1)), processes for preparing them, pharmaceutical compns. containing them and their use as anticonvulsants. For I: R1 is H, C1-12 alkyl, aryl or heterocyclyl; R2 is H; or R1 and R2 are linked together to form a C3-6 cycloalkyl; R3 is a (un)substituted heterocycle linked to the rest of the mol. via one of its C or N atoms; R4 is H, C1-12 alkyl ((un)substituted by halogen, C1-4 alkoxy, C1-4 alkylthio, azido, nitrooxy or aryl), C2-12 alkenyl, C2-12 alkynyl, aryl (non-substituted by a cycloalkoxy), azido, alkoxycarbonylamino, arylsulfonyloxy or heterocyclyl; R5 is H; alternatively R4 may form together with R5 and the 2-oxo-1-pyrrolidine ring a 1,3-dihydro-2H-indol-2-one ring; addnl. details and other Markush structures are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for >300 examples of I are included. For example, 1 was prepared by hydroxymethylation of 4-propylpyrrolidin-2-one to give 1-(hydroxymethyl)-4-propylpyrrolidin-2-one (100 %), which was used to N-alkylate 5-nitro-1H-indole (44 %).

L5 ANSWER 6 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1279332 CAPLUS
DOCUMENT NUMBER: 146:27722
TITLE: Preparation of 2-pyrrolidinone derivatives and their use as anticonvulsants
INVENTOR(S): Kenda, Benoit; Quesnel, Yannick; Ates, Ali; Michel, Philippe; Turet, Laurent; Mercier, Joeel
PATENT ASSIGNEE(S): Ucb S.A., Belg.
SOURCE: PCT Int. Appl., 258pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006128693	A2	20061207	WO 2006-EP5200	20060531
WO 2006128693	A3	20070419		
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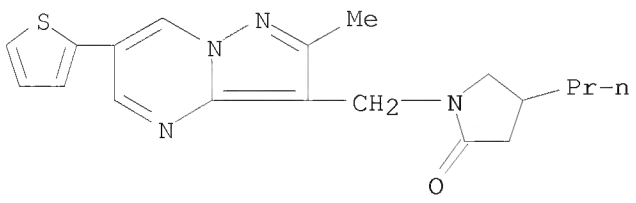
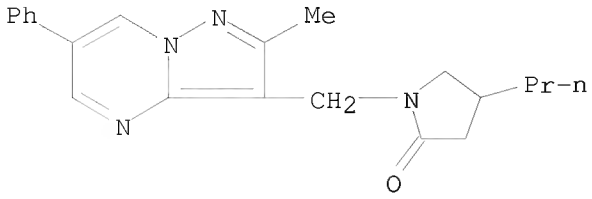
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006254336	A1	20061207	AU 2006-254336	20060531
PRIORITY APPLN. INFO.:			EP 2005-11779 A	20050601
			EP 2005-11780 A	20050601
			WO 2006-EP5200 W	20060531

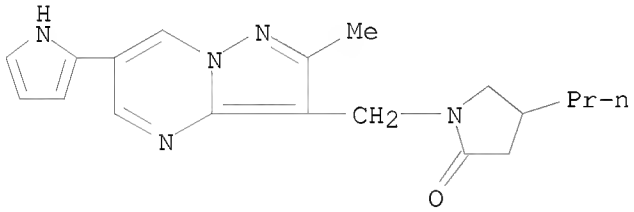
OTHER SOURCE(S): MARPAT 146:27722
IT 916255-32-8P, 1-[[2-Methyl-6-(thien-2-yl)pyrazolo[1,5-a]pyrimidin-3-yl]methyl]-4-propylpyrrolidin-2-one 916255-33-9P, 1-[(2-Methyl-6-phenylpyrazolo[1,5-a]pyrimidin-3-yl)methyl]-4-propylpyrrolidin-2-one 916255-34-0P, 1-[[2-Methyl-6-(1H-pyrrol-2-yl)pyrazolo[1,5-a]pyrimidin-3-yl]methyl]-4-propylpyrrolidin-2-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 2-pyrrolidinone derivs. and their use as anticonvulsants)
RN 916255-32-8 CAPLUS
CN 2-Pyrrolidinone, 1-[[2-methyl-6-(2-thienyl)pyrazolo[1,5-a]pyrimidin-3-yl]methyl]-4-propyl- (CA INDEX NAME)



RN 916255-33-9 CAPLUS
CN 2-Pyrrolidinone, 1-[(2-methyl-6-phenylpyrazolo[1,5-a]pyrimidin-3-yl)methyl]-4-propyl- (CA INDEX NAME)



RN 916255-34-0 CAPLUS
CN 2-Pyrrolidinone, 1-[[2-methyl-6-(1H-pyrrol-2-yl)pyrazolo[1,5-a]pyrimidin-3-yl]methyl]-4-propyl- (CA INDEX NAME)



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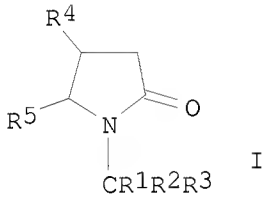


I

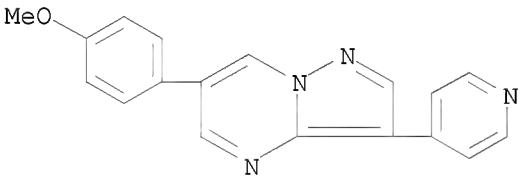
AB The present invention concerns 2-pyrrolidinone derivs. (shown as I; variables defined below; e.g. 1-[(5-nitro-1H-indol-3-yl)methyl]-4-propylpyrrolidin-2-one (1)), processes for preparing them, pharmaceutical compns. containing them and their use as anticonvulsants. For I: R1 is H; R2 is H; R3 is a heterocycle linked to the rest of the mol. via one of its C or N atoms; R4 is C1-12 alkyl ((un)substituted by halogen or C1-4 alkoxy), C2-12 alkenyl, C2-12 alkynyl; R5 is H; alternatively R4 may form together with R5 and the 2-oxo-1-pyrrolidine ring a 1,3-dihydro-2H-indol-2-one ring; addnl. details and other Markush structures are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for >300 examples of I are included. For example, 1 was prepared by hydroxymethylation of 4-propylpyrrolidin-2-one to give 1-(hydroxymethyl)-4-propylpyrrolidin-2-one (100 %), which was used to N-alkylate 5-nitro-1H-indole (44 %).

L5 ANSWER 7 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:699841 CAPLUS
DOCUMENT NUMBER: 145:140139
TITLE: Kinase-directed, activity-based probes
INVENTOR(S): Boyce, James P.; Brown, Michael E.; Fitzner, Jeffrey N.; Kowski, Thomas
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006076463	A2	20060720	WO 2006-US1038	20060112

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-643609P P 20050112
IT 216661-58-4
RL: NUU (Other use, unclassified); USES (Uses)
(small-organic- mol. competitive inhibitor, binding moiety is; kinase-directed, activity-based probes)
RN 216661-58-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-(4-pyridinyl)- (CA INDEX NAME)



AB Various embodiments of the present invention are directed to kinase-directed, activity-based probes ("KABPs") that tightly bind to, and label, kinases. Each KABP includes a binding group that is recognized and bound by one or more kinases, a reactive group that tightly, and generally irreversibly, binds to the kinase, a tag group that labels the kinase, or that serves a chemical handle for subsequent procedures and processes, and a linker group that links the tag group to one or more of the reactive group and the binding group. Addnl. embodiments of the present invention are directed to methods for identifying kinases within, and isolating kinases from, living cells by use of one or more KABPs. A kinase-directed, activity-based probe comprises a substituted acrylyl moiety having the structure R3-,R2-C=C-CO,-R1 (I; R1 = substituted anilinoquinazoline,

competitive kinase inhibitor, candidate therapeutic drug; R2 = H, halo, (substituted)alkyl; R3 = MeO, glycolylhydroxy bisubstituted Ph linked through an amide bond to a 2-[2-(2-aminoethoxy)ethoxy]ethylamine, in turn linked through an amide bond to a fluorophore tag group, N-alkylated 2-[2-(2-aminoethoxy)ethoxy]ethylamine linked through an amide bond to a fluorophore tag group; R1 and R3 may be interchanged). Representative probes include I (R1 = N4-(3-chloro-4-fluorophenyl)-4,6-quinazolinediamine; R2 = H; R3 = glycolylhydroxy bisubstituted Ph linked through an amide bond to a 2-[2-(2-aminoethoxy)ethoxy]ethylamine, in turn linked through an amide bond to Bodipy-FL) and I (R1 = N4-(3-chloro-4-fluorophenyl)-4,6-quinazolinediamine; R2 = H; R3 = N-Et 2-[2-(2-aminoethoxy)ethoxy]ethylamine linked through an amide bond to Bodipy-FL).

L5 ANSWER 8 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:409792 CAPLUS
DOCUMENT NUMBER: 144:450720
TITLE: Preparation of a novel class of pyrazolopyrimidines as inhibitors of protein and checkpoint kinases useful in treatment and prophylaxis of HCV infection and other diseases such as cancer
INVENTOR(S): Paruch, Kamil; Guzi, Timothy J.; Dwyer, Michael P.; Shipps, Gerald W.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 240 pp., Cont.-in-part of U.S. Ser. No. 452,400.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

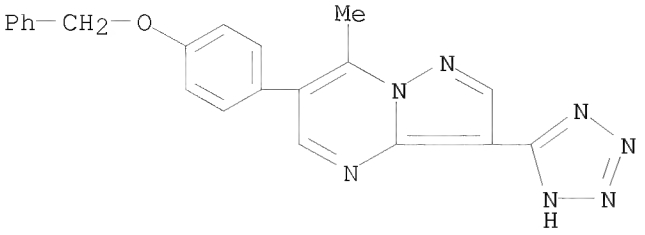
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006094706	A1	20060504	US 2005-244628	20051006
US 2004038993	A1	20040226	US 2003-452400	20030602
US 7196111	B2	20070327		
WO 2007044410	A1	20070419	WO 2006-US38816	20061004

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

US 2002-385837P	P	20020604
US 2003-452400	A2	20030602
US 2005-244628	A	20051006

OTHER SOURCE(S): CASREACT 144:450720; MARPAT 144:450720
IT 632363-25-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidine compds. as inhibitors of protein and checkpoint kinases useful in treatment and prophylaxis of HCV infection and other diseases such as cancer)
RN 632363-25-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-methyl-6-[4-(phenylmethoxy)phenyl]-3-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



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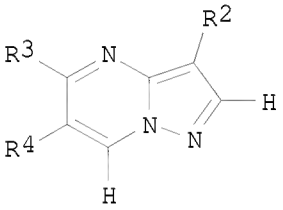

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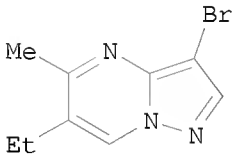
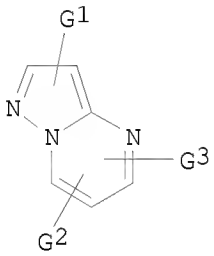


II



III

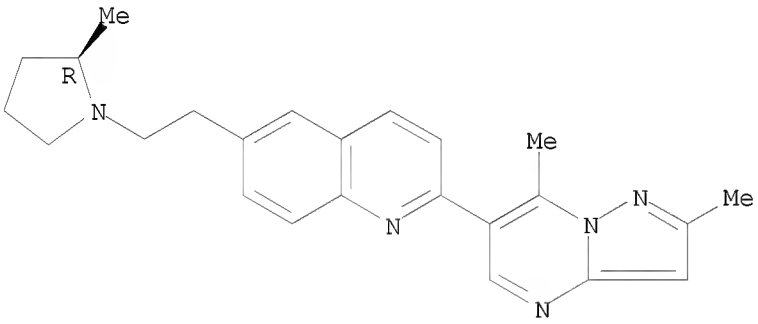
AB The invention provides a novel class of pyrazolopyrimidines I [R2 = halo; R3 = saturated or partially unsatd. heterocyclyl radical; R4 = H, halo, haloalkyl, aryl, etc.] as inhibitors of protein and/or checkpoint kinases, methods of preparing such compds., pharmaceutical compns. including one or more such compds., methods of preparing pharmaceutical formulations including one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with the protein or checkpoint kinases using such compds. or pharmaceutical compns. E.g., a multi-step synthesis of II, startting from 3-aminopyrazole and Et 2-ethylacetoacetate, was given. II showed IC50 of 0.1 μM against CDK2 kinase. The invention also relates to the inhibition of hepatitis C virus (HCV) replication. In particular, embodiments of the invention provide compds. III [G1 = OH, cyano, CO2H, etc.; G2 and G3 = alkyl, cycloalkyl, aryl, etc.] and methods for inhibiting HCV RNA-dependent RNA polymerase enzymic activity. The compds. III inhibited HCV RNA-dependent RNA polymerase (RdRp) at the concentration from >10 to <1 μM. The invention also provides compns. and methods for the prophylaxis and treatment of HCV infection.

L5 ANSWER 9 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1294007 CAPLUS
DOCUMENT NUMBER: 144:36332
TITLE: Preparation of tri-and bi-cyclic heteroaryl histamine-3 receptor ligands
INVENTOR(S): Altenbach, Robert J.; Black, Lawrence A.; Chang, Sou-Jen; Cowart, Marlon D.; Faghih, Ramin; Gfesser, Gregory A.; Ku, Yi-Yin; Liu, Huaqing; Lukin, Kirill A.; Nersesian, Diana L.; Pu, Yu-Ming; Curtis, Michael P.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S. Pat. Appl. Publ., 40 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005272736	A1	20051208	US 2005-123324	20050506
US 7205316	B2	20070417		

PRIORITY APPLN. INFO.: US 2004-570397P P 20040512
OTHER SOURCE(S): CASREACT 144:36332; MARPAT 144:36332
IT 869645-98-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tri-and bi-cyclic heteroaryl histamine-3 receptor ligands)
RN 869645-98-7 CAPLUS
CN Quinoline, 2-(2,7-dimethylpyrazolo[1,5-a]pyrimidin-6-yl)-6-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



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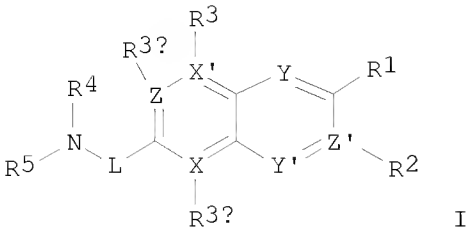

I

AB Title compds. I [Y and Y' independently = CH, CF, and N; X, X', Z and W independently = C or N; one of R1 and R2 is selected from L2R6 with the other of R1 and R2 = H, alkyl, alkoxy, etc.; L2 = O, CO, S, NH, etc.; R6 = bicyclic or tricyclic ring, each containing at least two heteroatoms; R3 = H, alkyl, alkoxy, halo, etc., or R3 is absent when X' = N; R3a = H, Me, alkoxy, halo, etc., or R3a is absent when Z = N; R3b = H, OH, alkyl, alkoxy, etc., or R3b is absent when X = N; R4 and R5 independently = alkyl, haloalkyl, hydroxyalkyl, etc.; or R4 and R5 taken together to form heterocyclic ring], and their pharmaceutically acceptable salts, are prepared and disclosed as useful in treating conditions or disorders prevented by or ameliorated by histamine-3 receptor ligands. Also disclosed are pharmaceutical compns. comprising the histamine-3 receptor ligands, methods for using such compds. and compns., and a process for preparing I. Thus, e.g., 6-[2-((2R)-2-methylpyrrolidin-1-yl)ethyl]-2-(4H-thieno[3,2-b]pyrrol-5-yl)quinoline was prepared via a multistep synthesis from (S)-Toluene-4-sulfonic acid 5-oxopyrrolidin-2-ylmethyl ester. In histamine-3 receptor binding studies, I demonstrated binding affinities from 810 nM to 0.02 nM.

L5 ANSWER 10 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1224283 CAPLUS
DOCUMENT NUMBER: 143:477959
TITLE: Preparation of tri-and bi-cyclic heteroaryl histamine-3 receptor ligands
INVENTOR(S): Altenbach, Robert J.; Black, Lawrence A.; Chang, Sou-Jen; Cowart, Marlon D.; Faghih, Ramin; Gfesser, Gregory A.; Ku, Yi-Yin; Liu, Huaqing; Lukin, Kirill A.; Nersesian, Diana L.; Pu, Yu-Ming; Curtis, Michael P.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 40 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005256309	A1	20051117	US 2004-844101	20040512
CA 2566898	A1	20051201	CA 2005-2566898	20050429
WO 2005113536	A2	20051201	WO 2005-US14866	20050429
WO 2005113536	A3	20060330		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1751130	A2	20070214	EP 2005-763655	20050429
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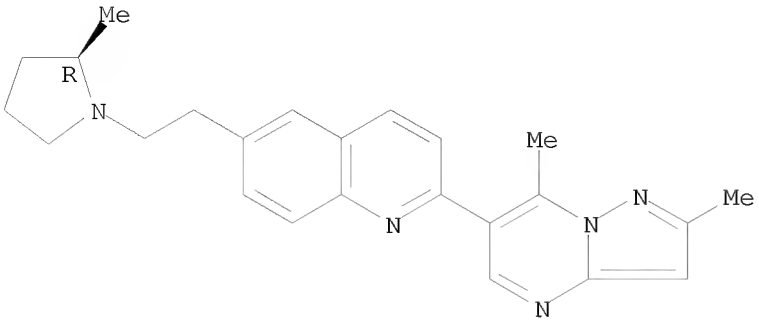
JP 2007537253	T	20071220	JP 2007-513185	20050429
MX 2006PA13198	A	20070228	MX 2006-PA13198	20061113

PRIORITY APPLN. INFO.: US 2004-844101 A 20040512
WO 2005-US14866 W 20050429
OTHER SOURCE(S): CASREACT 143:477959; MARPAT 143:477959
IT 869645-98-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tri-and bi-cyclic heteroaryl histamine-3 receptor ligands)
RN 869645-98-7 CAPLUS
CN Quinoline, 2-(2,7-dimethylpyrazolo[1,5-a]pyrimidin-6-yl)-6-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



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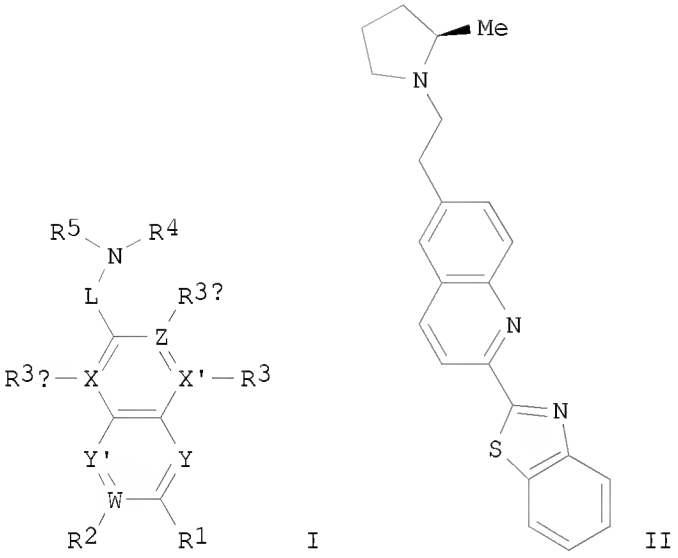
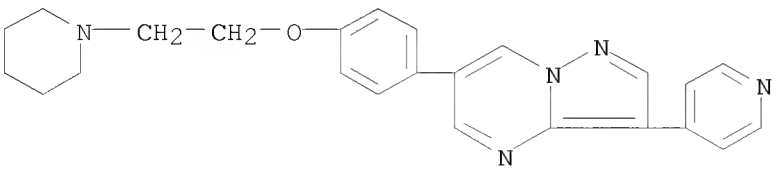


AB Title compds. I [Y and Y' independently = CH, CF, and N; X, X', Z and W independently = C or N; one of R1 and R2 is selected from L2R6 with the other of R1 and R2 = H, alkyl, alkoxy, etc.; L2 = O, CO, S, NH, etc.; R6 = bicyclic or tricyclic ring, each containing at least two heteroatoms; R3 = H, alkyl, alkoxy, halo, etc., or R3 is absent when X' = N; R3a = H, Me, alkoxy, halo, etc., or R3a is absent when Z = N; R3b = H, OH, alkyl, alkoxy, etc., or R3b is absent when X = N; R4 and R5 independently = alkyl, haloalkyl, hydroxyalkyl, etc.; or R4 and R5 taken together to form heterocyclic ring], and their pharmaceutically acceptable salts, are prepared and disclosed as useful in treating conditions or disorders prevented by or ameliorated by histamine-3 receptor ligands. Thus, e.g., II was prepared via a multistep synthesis from (S)-Toluene-4-sulfonic acid 5-oxopyrrolidin-2-ylmethyl ester. In histamine-3 receptor binding studies, I demonstrated binding affinities from 810 nM to 0.02 nM. Also disclosed are pharmaceutical compns. comprising the histamine-3 receptor ligands, methods for using such compds. and compns., and a process for preparing compds. within the scope of formula (I).

L5 ANSWER 11 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1075562 CAPLUS
DOCUMENT NUMBER: 143:360124
TITLE: Novel method of neuroprotection by pharmacological inhibition of AMP-activated protein kinase
INVENTOR(S): McCullough, Louise D.; Li, Hong; McFadden, Jill; Ronnett, Gabriele V.
PATENT ASSIGNEE(S): Fasgen, LLC, USA; Johns Hopkins University
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092068	A2	20051006	WO 2005-US9797	20050323
WO 2005092068	A3	20070920		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA				
AU 2005226731	A1	20051006	AU 2005-226731	20050323
CA 2560843	A1	20051006	CA 2005-2560843	20050323
EP 1734973	A2	20061227	EP 2005-732234	20050323
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
BR 2005009085	A	20070821	BR 2005-9085	20050323
JP 2008504228	T	20080214	JP 2007-505165	20050323
KR 2007085093	A	20070827	KR 2006-722076	20061024
PRIORITY APPLN. INFO.:			US 2004-556000P P	20040324
			WO 2005-US9797 W	20050323

IT 866405-64-3, Compound C
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(neuroprotection by inhibition of AMP-activated protein kinase)
RN 866405-64-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-[4-[2-(1-piperidinyl)ethoxy]phenyl]-3-(4-pyridinyl)- (CA INDEX NAME)



AB A method of neuroprotection which comprises administration of an AMPK

inhibitor to a patient who is experiencing or has experienced a stroke, the compound being an AMPK inhibitor. Treatments with these agents significantly reduce the size of infarcts, and therefore minimize the loss of brain tissue and neurons. Thus, function can be preserved after stroke or ischemic injury in the brain. Similarly, neuronal loss can be minimized in degenerative diseases that cause neuronal compromise by perturbing energy utilization and availability in neurons.

L5 ANSWER 12 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:34718 CAPLUS
DOCUMENT NUMBER: 142:109455
TITLE: 2-Thioxo-oxazolidine inhibitors of phosphatidylinositol 3-kinase and their use in treatment of cancer, inflammation, and immune diseases
INVENTOR(S): Drees, Beth E.; Chakravarty, Leena; Prestwich, Glenn D.; Dorman, Gyorgy; Kavecz, Mariann; Lukacs, Andras; Urge, Laszlo; Darvas, Ferenc
PATENT ASSIGNEE(S): Echelon Biosciences Incorporated, USA; Comgenex, Rt.
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005002514	A2	20050113	WO 2004-US19131	20040614
WO 2005002514	A3	20050414		

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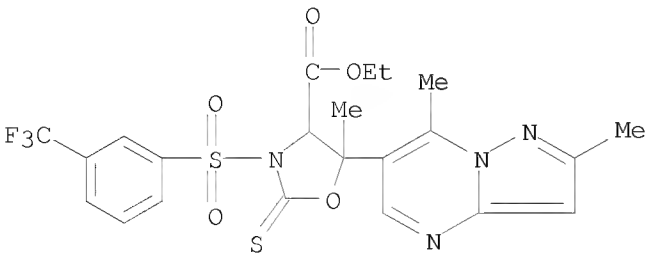
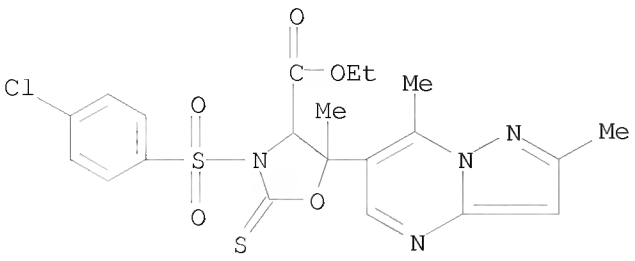
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-478165P P 20030613
OTHER SOURCE(S): CASREACT 142:109455; MARPAT 142:109455
IT 821774-98-5P 821774-99-6P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(2-Thioxo-oxazolidine inhibitors of phosphatidylinositol 3-kinase and their use in treatment of cancer, inflammation, and immune diseases)
RN 821774-98-5 CAPLUS
CN 4-Oxazolidinecarboxylic acid, 5-(2,7-dimethylpyrazolo[1,5-a]pyrimidin-6-yl)-5-methyl-2-thioxo-3-[[3-(trifluoromethyl)phenyl]sulfonyl]-, ethyl ester (CA INDEX NAME)



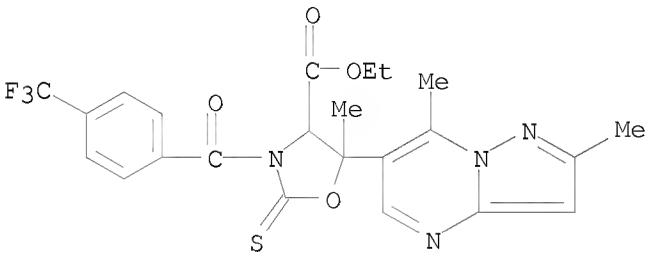
RN 821774-99-6 CAPLUS
CN 4-Oxazolidinecarboxylic acid, 3-[(4-chlorophenyl)sulfonyl]-5-(2,7-

dimethylpyrazolo[1,5-a]pyrimidin-6-yl)-5-methyl-2-thioxo-, ethyl ester (CA INDEX NAME)

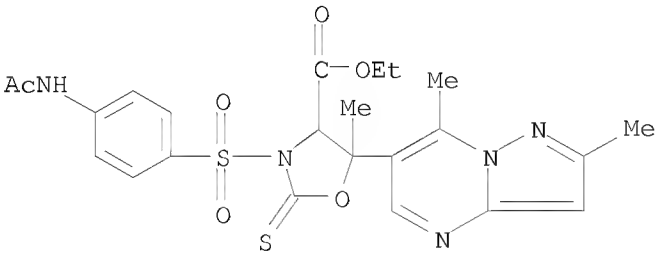


IT 821775-02-4 821775-05-7
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(2-Thioxo-oxazolidine inhibitors of phosphatidylinositol 3-kinase and their use in treatment of cancer, inflammation, and immune diseases)

RN 821775-02-4 CAPLUS
CN 4-Oxazolidinecarboxylic acid, 5-(2,7-dimethylpyrazolo[1,5-a]pyrimidin-6-yl)-5-methyl-2-thioxo-3-[4-(trifluoromethyl)benzoyl]-, ethyl ester (CA INDEX NAME)

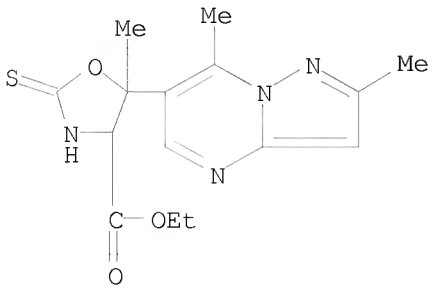


RN 821775-05-7 CAPLUS
CN 4-Oxazolidinecarboxylic acid, 3-[[4-(acetylamino)phenyl]sulfonyl]-5-(2,7-dimethylpyrazolo[1,5-a]pyrimidin-6-yl)-5-methyl-2-thioxo-, ethyl ester (CA INDEX NAME)



IT 821774-97-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(2-Thioxo-oxazolidine inhibitors of phosphatidylinositol 3-kinase and their use in treatment of cancer, inflammation, and immune diseases)

RN 821774-97-4 CAPLUS
CN 4-Oxazolidinecarboxylic acid, 5-(2,7-dimethylpyrazolo[1,5-a]pyrimidin-6-yl)-5-methyl-2-thioxo-, ethyl ester (CA INDEX NAME)

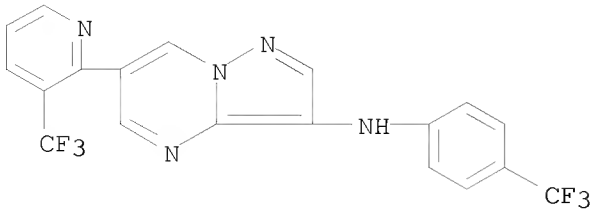


AB 2-Thioxo-oxazolidine compds. inhibiting phosphatidylinositol 3-kinase (PI 3-K) activities and methods of their use in treating diseases are disclosed. Compds. inhibiting PI 3-K activity and methods of using PI 3-K inhibitory compds. to inhibit cancer cell growth or to treat disorders of immunity and inflammation, in which PI 3-K plays a role in leukocyte function are also provided. Thus, the synthesis of two PI 3-K inhibitors is presented. Compds. of this type are shown to inhibit cancer cell growth in vitro and in vivo.

L5 ANSWER 13 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:718542 CAPLUS
DOCUMENT NUMBER: 141:243572
TITLE: Preparation of amino heterocycles as vanilloid receptor (VR1) modulators, in particular antagonists, for treating pain and/or inflammation
INVENTOR(S): Brown, Rebecca Elizabeth; Burkamp, Frank; Doughty, Victoria Alexandra; Fletcher, Stephen Robert; Hollingworth, Gregory John; Jones, Brian A.; Sparey, Timothy Jason
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

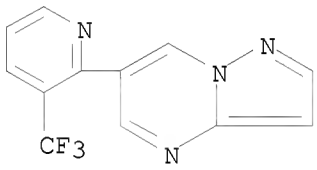
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004074290	A1	20040902	WO 2004-GB702		20040220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004213230	A1	20040902	AU 2004-213230		20040220
CA 2514908	A1	20040902	CA 2004-2514908		20040220
EP 1597261	A1	20051123	EP 2004-713123		20040220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2006518364	T	20060810	JP 2006-502313		20040220
US 2006154930	A1	20060713	US 2005-545877		20050817
IN 2005DN04034	A	20070202	IN 2005-DN4034		20050908
PRIORITY APPLN. INFO.:			GB 2003-3910	A	20030220
			WO 2004-GB702	W	20040220

OTHER SOURCE(S): MARPAT 141:243572
IT 749259-00-5P, N-(4-Trifluoromethylphenyl)-6-(3-trifluoromethylpyridin-2-yl)pyrazolo[1,5-a]pyrimidin-3-amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(VR1 antagonist; preparation of amino-heterocycles as vanilloid receptor (VR1) modulators, in particular antagonists, for treating pain and/or inflammation)
RN 749259-00-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-3-amine, N-[4-(trifluoromethyl)phenyl]-6-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

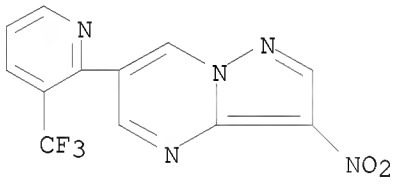


IT 749259-01-6P, 6-(3-Trifluoromethylpyridin-2-yl)pyrazolo[1,5-a]pyrimidine 749259-02-7P, 3-Nitro-6-(3-trifluoromethylpyridin-2-yl)pyrazolo[1,5-a]pyrimidine 749259-03-8P, 6-(3-Trifluoromethylpyridin-2-yl)pyrazolo[1,5-a]pyrimidin-3-amine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of amino-heterocycles as vanilloid receptor (VR1) modulators, in particular antagonists, for treating pain and/or inflammation)

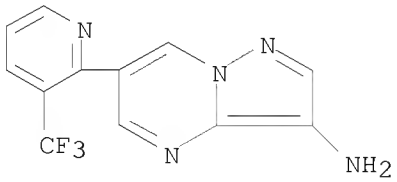
RN 749259-01-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



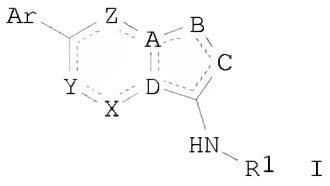
RN 749259-02-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-nitro-6-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



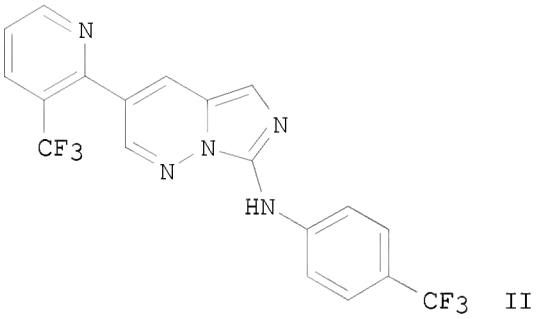
RN 749259-03-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-3-amine, 6-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



GI



I



II

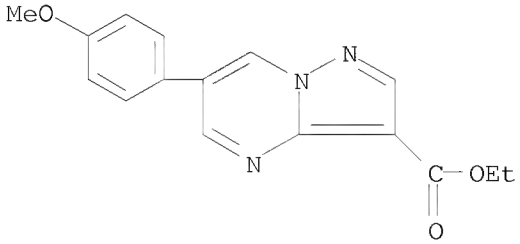
AB Title compds. I [wherein one of the A and D is H, and the other is C; B, C = independently N, C(CH2)nR2; X, Y, Z = independently N, C(CH2)nR3; R1 = Ar1 or alkyl substituted with one or two groups Ar1; Ar1 = (un)substituted cyclohexyl, piperidinyl, piperazinyl, morpholinyl, adamantyl, Ph, naphthyl, 5- or 6-membered heteroaryl, etc.; Ar = (un)substituted Ph, 5- or 6-membered heteroaryl; R2, R3 = independently H, halo, CF3, OCF3, alk(en/yn)yl, NO2, CN, NC, OH and derivs., alkylthio, NH2 and derivs., CO2H and derivs., piperidinyl, piperazinyl, etc.; n = 0-3; and their pharmaceutically acceptable salts] were prepared as vanilloid receptor (VR1) modulators, in particular antagonists, for treating conditions or diseases in which pain and/or inflammation predominates. For example, II was prepared by reacting 3-Chloro-5-(3-trifluoromethyl-2-pyridinyl)pyridazine (preparation given) with NH2NH2•H2O in i-PrOH, and cyclodehydration with 4-(trifluoromethyl)phenyl isocyanate in CH3CN in the presence of POCl3. I bound to the VR1 receptor with an IC50 < 2 μM. I are predominantly VR1 antagonists with a few of them VR1 partial antagonists and VR1 partial agonists. Thus, I and their pharmaceutical compns. are useful for treating pain and/or inflammation.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

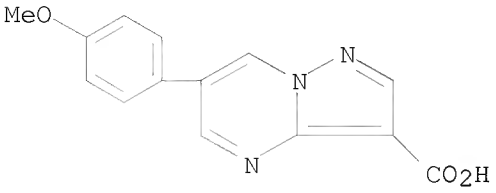
L5 ANSWER 14 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:513506 CAPLUS
DOCUMENT NUMBER: 141:76732
TITLE: Tyrosine kinase inhibitors for modulation of tyrosine kinase signal transduction and therapy of tyrosine kinase-dependent diseases
INVENTOR(S): Fraley, Mark E.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004052315	A2	20040624	WO 2003-US40139		20031205
WO 2004052315	A3	20041014			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2003299651	A1	20040630	AU 2003-299651		20031205
US 2006025426	A1	20060202	US 2005-540784		20050608
PRIORITY APPLN. INFO.:			US 2002-432445P	P	20021211
			WO 2003-US40139	W	20031205

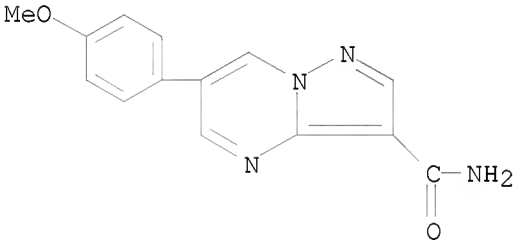
OTHER SOURCE(S): MARPAT 141:76732
IT 709631-44-7P 709631-46-9P 709631-47-0P
709631-48-1P 709631-50-5P 709631-51-6P
709631-52-7P 709631-54-9P 709631-55-0P
709631-56-1P 709631-57-2P 709631-58-3P
709631-59-4P 709631-60-7P 709631-61-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(tyrosine kinase inhibitors for modulation of tyrosine kinase signal transduction and therapy of tyrosine kinase-dependent diseases)
RN 709631-44-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 6-(4-methoxyphenyl)-, ethyl ester (CA INDEX NAME)



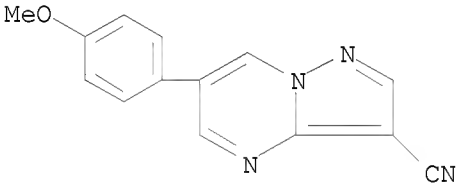
RN 709631-46-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 6-(4-methoxyphenyl)- (CA INDEX NAME)



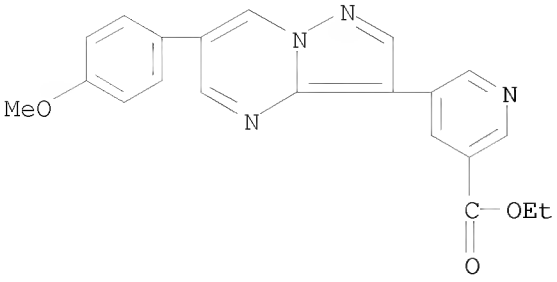
RN 709631-47-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 6-(4-methoxyphenyl)- (CA INDEX NAME)



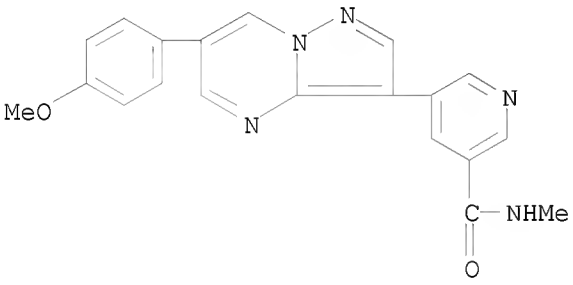
RN 709631-48-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 6-(4-methoxyphenyl)- (CA INDEX NAME)



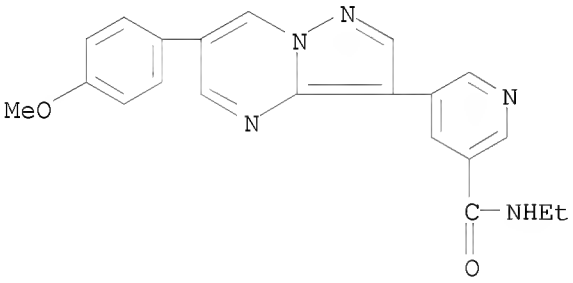
RN 709631-50-5 CAPLUS
CN 3-Pyridinecarboxylic acid, 5-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]-, ethyl ester (CA INDEX NAME)



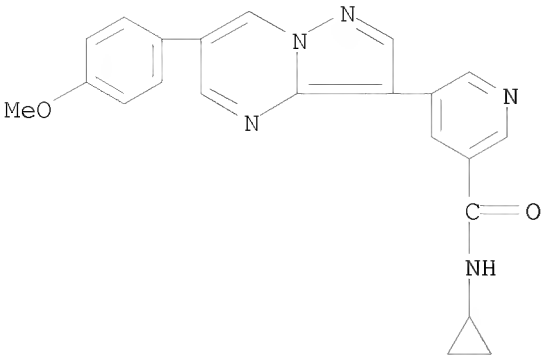
RN 709631-51-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]-N-methyl- (CA INDEX NAME)



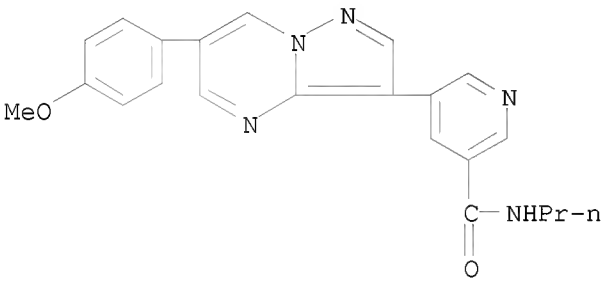
RN 709631-52-7 CAPLUS
CN 3-Pyridinecarboxamide, N-ethyl-5-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



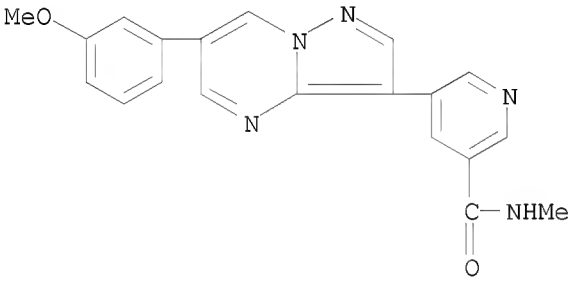
RN 709631-54-9 CAPLUS
CN 3-Pyridinecarboxamide, N-cyclopropyl-5-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



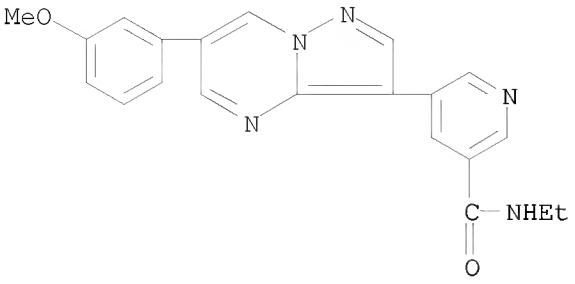
RN 709631-55-0 CAPLUS
CN 3-Pyridinecarboxamide, 5-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]-N-propyl- (CA INDEX NAME)



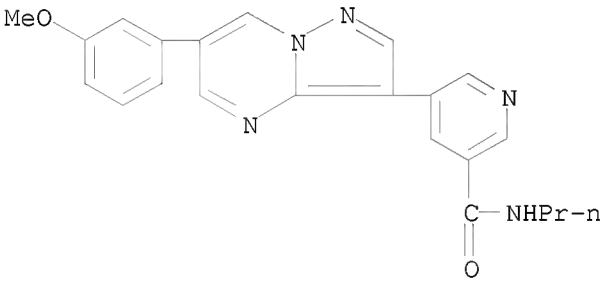
RN 709631-56-1 CAPLUS
CN 3-Pyridinecarboxamide, 5-[6-(3-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]-N-methyl- (CA INDEX NAME)



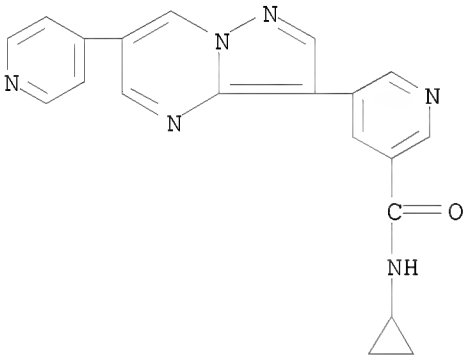
RN 709631-57-2 CAPLUS
CN 3-Pyridinecarboxamide, N-ethyl-5-[6-(3-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



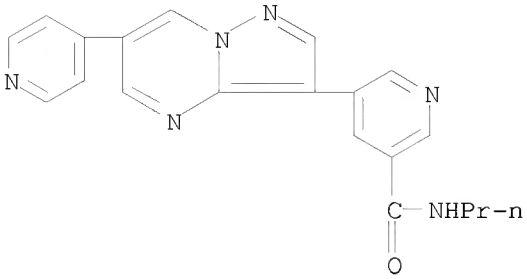
RN 709631-58-3 CAPLUS
CN 3-Pyridinecarboxamide, 5-[6-(3-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]-N-propyl- (CA INDEX NAME)



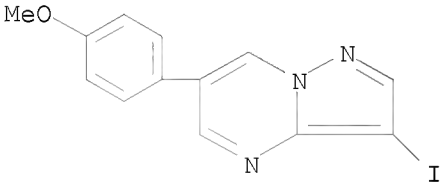
RN 709631-59-4 CAPLUS
CN 3-Pyridinecarboxamide, N-cyclopropyl-5-[6-(4-pyridinyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 709631-60-7 CAPLUS
CN 3-Pyridinecarboxamide, N-propyl-5-[6-(4-pyridinyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 709631-61-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-iodo-6-(4-methoxyphenyl)- (CA INDEX NAME)

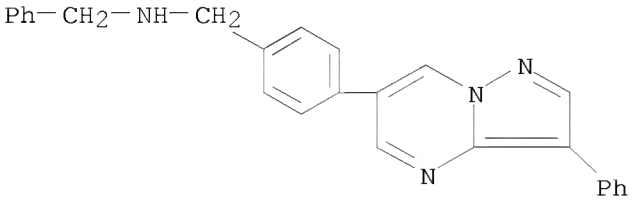


AB The present invention relates to compds. which inhibit, regulate and/or modulate tyrosine kinase signal transduction, compns. which contain these compds., and methods of using them to treat tyrosine kinase-dependent diseases and conditions, such as angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, macular edema, retinal ischemia, inflammatory diseases, and the like in mammals.

L5 ANSWER 15 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:513482 CAPLUS
DOCUMENT NUMBER: 141:71562
TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives as tyrosine kinase inhibitors
INVENTOR(S): Fraley, Mark E.; Hambaugh, Scott R.; Rubino, Robert S.; Hungate, Randall W.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052286	A2	20040624	WO 2003-US38716	20031205
WO 2004052286	A3	20040812		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003298942	A1	20040630	AU 2003-298942	20031205
US 2006183755	A1	20060817	US 2005-537758	20050606
US 7262199	B2	20070828		

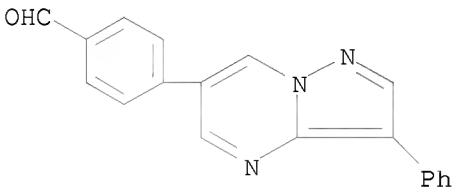
PRIORITY APPLN. INFO.: US 2002-432453P P 20021211
WO 2003-US38716 W 20031205
OTHER SOURCE(S): MARPAT 141:71562
IT 709648-66-8P, 1-Phenyl-N-[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)benzyl]methanamine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; preparation of pyrazolo[1,5-a]pyrimidine derivs. as tyrosine kinase inhibitors for treating tyrosine kinase-dependent diseases and conditions)
RN 709648-66-8 CAPLUS
CN Benzenemethanamine, N-(phenylmethyl)-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



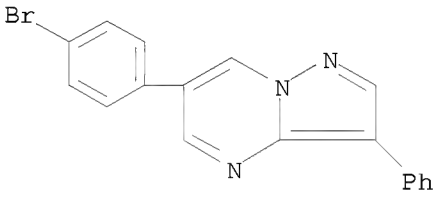
IT 709648-65-7P, 4-(3-Phenylpyrazolo[1,5-a]pyrimidin-6-yl)benzaldehyde 709648-67-9P, 6-(4-Bromophenyl)-3-

phenylpyrazolo[1,5-a]pyrimidine 709648-69-1P,
3-[4-(3-Phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]-2-propyn-1-ol
709648-70-4P, 3-[4-(3-Phenylpyrazolo[1,5-a]pyrimidin-6-
yl)phenyl]propan-1-ol 709648-71-5P, 3-[4-(3-Phenylpyrazolo[1,5-
a]pyrimidin-6-yl)phenyl]propanal 709648-73-7P,
4-(3-Phenylpyrazolo[1,5-a]pyrimidin-6-yl)benzoic acid 709648-76-0P
, 3-[4-(3-Phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]propanoic acid
709648-79-3P, Methyl 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-
yl)thiophene-2-carboxylate 709648-81-7P, 4-(3-Phenylpyrazolo[1,5-
a]pyrimidin-6-yl)thiophene-2-carboxylic acid 709648-82-8P,
4-(3-Phenylpyrazolo[1,5-a]pyrimidin-6-yl)thiophene-2-carbonyl chloride
709648-84-0P, 6-(3-Phenylpyrazolo[1,5-a]pyrimidin-6-yl)pyridine-2-
carboxylic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate; preparation of pyrazolo[1,5-a]pyrimidine derivs. as tyrosine
kinase inhibitors for treating tyrosine kinase-dependent diseases and
conditions)

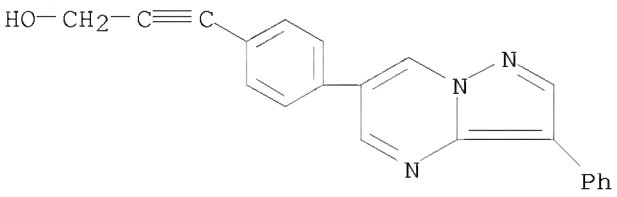
RN 709648-65-7 CAPLUS
CN Benzaldehyde, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



RN 709648-67-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-bromophenyl)-3-phenyl- (CA INDEX NAME)

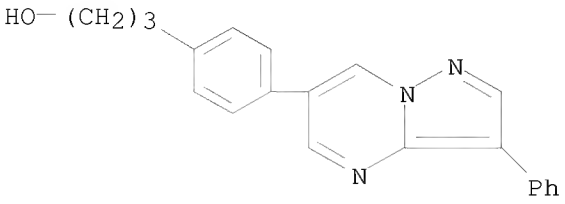


RN 709648-69-1 CAPLUS
CN 2-Propyn-1-ol, 3-[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]- (CA
INDEX NAME)

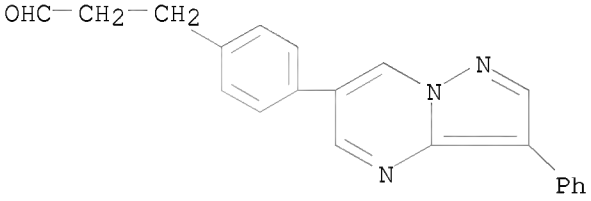


RN 709648-70-4 CAPLUS

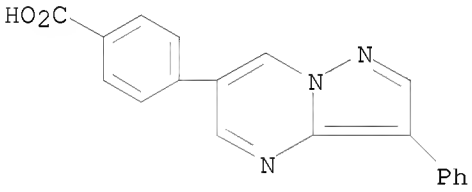
CN Benzenepropanol, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



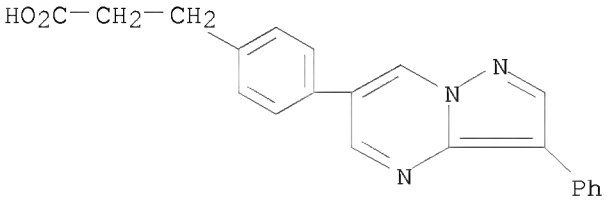
RN 709648-71-5 CAPLUS
CN Benzenepropanal, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



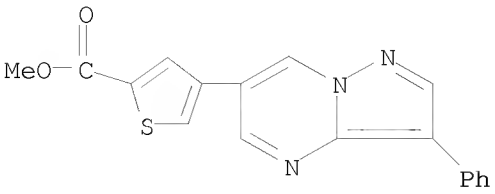
RN 709648-73-7 CAPLUS
CN Benzoic acid, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



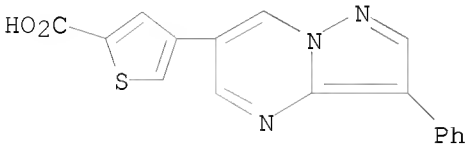
RN 709648-76-0 CAPLUS
CN Benzenepropanoic acid, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



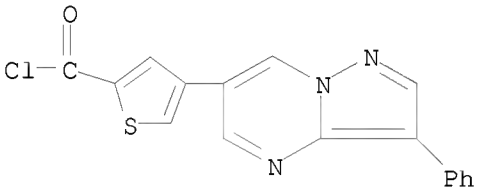
RN 709648-79-3 CAPLUS
CN 2-Thiophenecarboxylic acid, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)-, methyl ester (CA INDEX NAME)



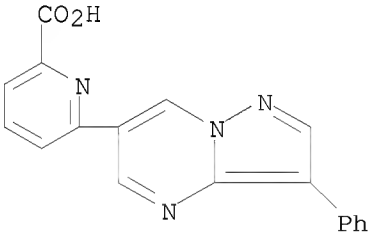
RN 709648-81-7 CAPLUS
CN 2-Thiophenecarboxylic acid, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



RN 709648-82-8 CAPLUS
CN 2-Thiophenecarbonyl chloride, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



RN 709648-84-0 CAPLUS
CN 2-Pyridinecarboxylic acid, 6-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)

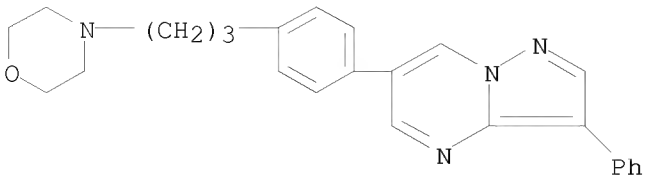


IT 709648-72-6P, 6-[4-[3-(Morpholin-4-yl)propyl]phenyl]-3-phenylpyrazolo[1,5-a]pyrimidine 709648-83-9P, N-[2-(Dimethylamino)ethyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)thiophene-2-carboxamide 709648-85-1P, N-[2-(Dimethylamino)ethyl]-6-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)pyridine-2-carboxamide 709648-86-2P, N-[4-(3-Phenylpyrazolo[1,5-a]pyrimidin-6-yl)benzyl]-N-propylamine 709648-87-3P, N-(2-Methoxyethyl)-N-[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)benzyl]butan-1-amine 709648-88-4P, N-[4-(3-Phenylpyrazolo[1,5-a]pyrimidin-6-

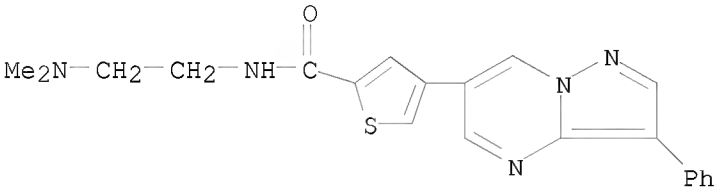
yl)benzyl]cyclopropanamine 709648-89-5P, 2-Methoxy-N-[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)benzyl]ethanamine 709648-90-8P, 1-[4-(3-Phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]-N-[(pyridin-3-yl)methyl]methanamine 709648-92-0P, 1-[3-[[4-(3-Phenylpyrazolo[1,5-a]pyrimidin-6-yl)benzyl]amino]propyl]pyrrolidin-2-one 709648-93-1P, 1-(1-Benzylpyrrolidin-3-yl)-N-[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)benzyl]methanamine 709648-94-2P, 6-[4-[[4-(Methylsulfonyl)piperazin-1-yl]methyl]phenyl]-3-phenylpyrazolo[1,5-a]pyrimidine 709648-95-3P, 1-[3-(3-Phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]-N-[(pyridin-3-yl)methyl]methanamine 709648-96-4P 709648-97-5P, 1-Phenyl-N-[3-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)benzyl]methanamine 709648-98-6P, N-[3-(3-Phenylpyrazolo[1,5-a]pyrimidin-6-yl)benzyl]-N-propylamine 709648-99-7P, 3-Phenyl-6-[4-[3-(piperidin-1-yl)propyl]phenyl]pyrazolo[1,5-a]pyrimidine 709649-00-3P, N-Ethyl-N',N'-dimethyl-N-[3-[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]propyl]ethane-1,2-diamine 709649-01-4P, N-[2-(Dimethylamino)ethyl]-1-[3-[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]propyl]-D-prolinamide 709649-02-5P, N-[2-(Dimethylamino)ethyl]-1-[3-[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]propyl]-L-prolinamide 709649-03-6P, 6-[4-[(4-Methylpiperazin-1-yl)carbonyl]phenyl]-3-phenylpyrazolo[1,5-a]pyrimidine 709649-04-7P, 3-Phenyl-6-[4-(piperazin-1-ylcarbonyl)phenyl]pyrazolo[1,5-a]pyrimidine 709649-05-8P, 4-(3-Phenylpyrazolo[1,5-a]pyrimidin-6-yl)-N-(pyrrolidin-3-yl)benzamide 709649-06-9P, 6-[4-[3-(4-Methylpiperazin-1-yl)-3-oxopropyl]phenyl]-3-phenylpyrazolo[1,5-a]pyrimidine 709649-07-0P, 6-[4-[3-Oxo-3-(piperazin-1-yl)propyl]phenyl]-3-phenylpyrazolo[1,5-a]pyrimidine 709649-08-1P, 3-[4-(3-Phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]-N-pyrrolidin-3-ylpropanamide 709649-09-2P, 4-(3-Phenylpyrazolo[1,5-a]pyrimidin-6-yl)-N-[(pyridin-3-yl)methyl]thiophene-2-carboxamide 709649-10-5P, N-(2-Methoxyethyl)-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)thiophene-2-carboxamide 709649-11-6P, N-[3-(Morpholin-4-yl)propyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)thiophene-2-carboxamide 709649-12-7P, N-[3-(Dimethylamino)-2,2-dimethylpropyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)thiophene-2-carboxamide 709649-13-8P, N-[2-(Diethylamino)ethyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)thiophene-2-carboxamide 709649-14-9P, N-[3-(1H-Imidazol-1-yl)propyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)thiophene-2-carboxamide 709649-15-0P, 4-(3-Phenylpyrazolo[1,5-a]pyrimidin-6-yl)-N-[2-(pyridin-3-yl)ethyl]thiophene-2-carboxamide 709649-16-1P, N-[2-(1-Methylpyrrolidin-2-yl)ethyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)thiophene-2-carboxamide 709649-17-2P, N-[(1-Ethylpyrrolidin-3-yl)methyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)thiophene-2-carboxamide 709649-18-3P, N-(2-Aminoethyl)-6-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)pyridine-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolo[1,5-a]pyrimidine derivs. as tyrosine kinase inhibitors for treating tyrosine kinase-dependent diseases and conditions)

RN 709648-72-6 CAPLUS

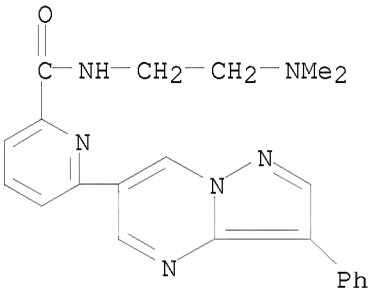
CN Pyrazolo[1,5-a]pyrimidine, 6-[4-[3-(4-morpholinyl)propyl]phenyl]-3-phenyl- (CA INDEX NAME)



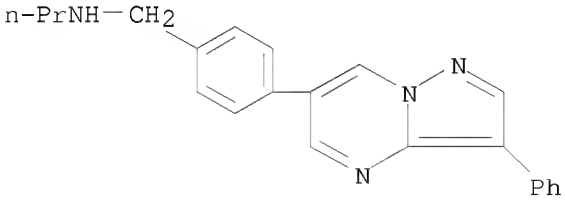
RN 709648-83-9 CAPLUS
CN 2-Thiophenecarboxamide, N-[2-(dimethylamino)ethyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



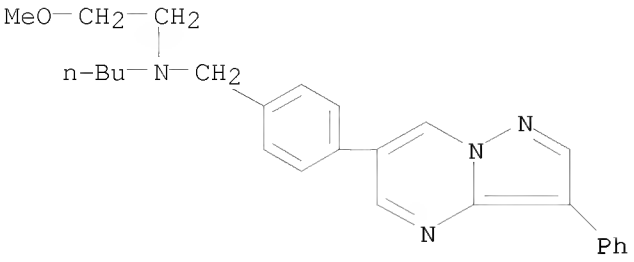
RN 709648-85-1 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-(dimethylamino)ethyl]-6-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



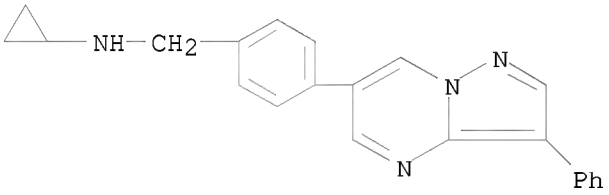
RN 709648-86-2 CAPLUS
CN Benzenemethanamine, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)-N-propyl- (CA INDEX NAME)



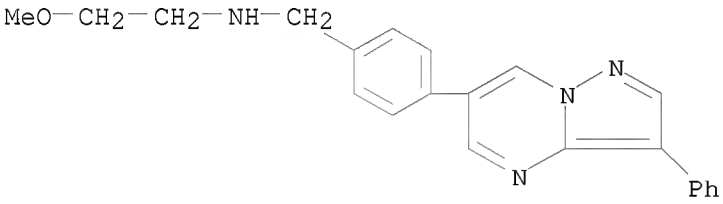
RN 709648-87-3 CAPLUS
CN Benzenemethanamine, N-butyl-N-(2-methoxyethyl)-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



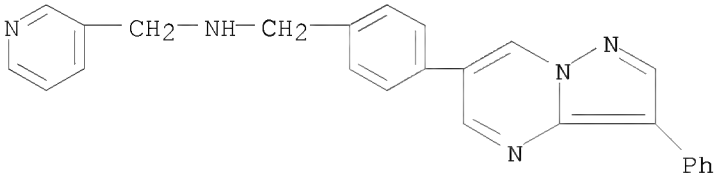
RN 709648-88-4 CAPLUS
CN Benzenemethanamine, N-cyclopropyl-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



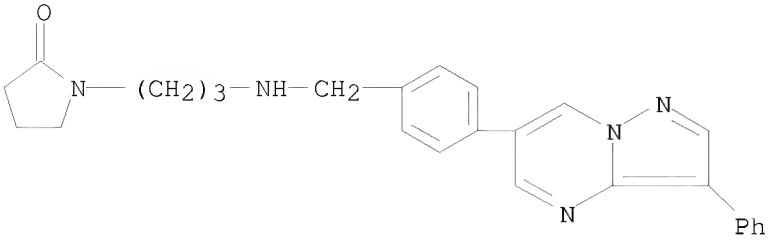
RN 709648-89-5 CAPLUS
CN Benzenemethanamine, N-(2-methoxyethyl)-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



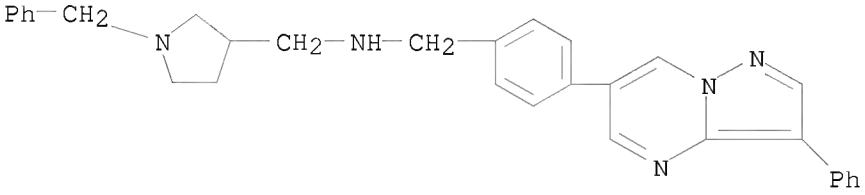
RN 709648-90-8 CAPLUS
CN 3-Pyridinemethanamine, N-[[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]methyl]- (CA INDEX NAME)



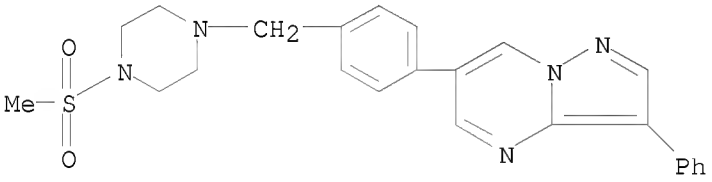
RN 709648-92-0 CAPLUS
CN 2-Pyrrolidinone, 1-[3-[[[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]methyl]amino]propyl]- (CA INDEX NAME)



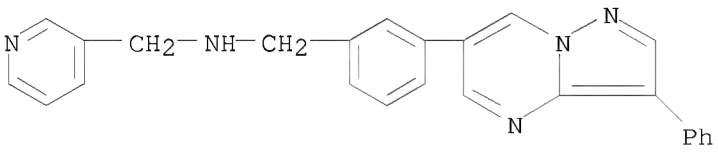
RN 709648-93-1 CAPLUS
CN 3-Pyrrolidinemethanamine, 1-(phenylmethyl)-N-[[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]methyl]- (CA INDEX NAME)



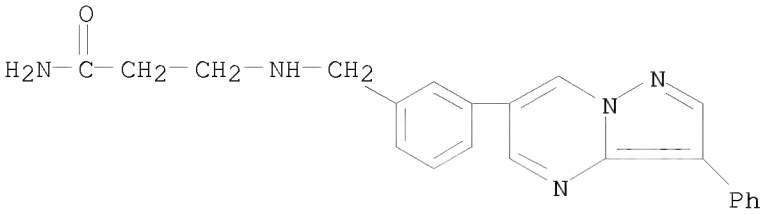
RN 709648-94-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-[4-[[4-(methylsulfonyl)-1-piperazinyl]methyl]phenyl]-3-phenyl- (CA INDEX NAME)



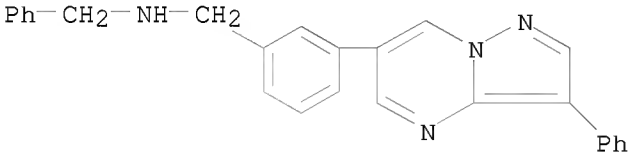
RN 709648-95-3 CAPLUS
CN 3-Pyridinemethanamine, N-[[3-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]methyl]- (CA INDEX NAME)



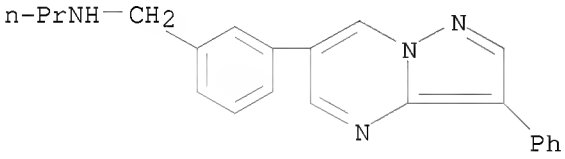
RN 709648-96-4 CAPLUS
CN Propanamide, 3-[[[3-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]methyl]amino]- (CA INDEX NAME)



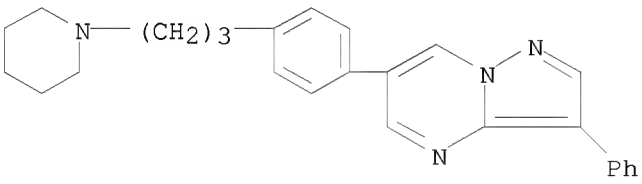
RN 709648-97-5 CAPLUS
CN Benzenemethanamine, N-(phenylmethyl)-3-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



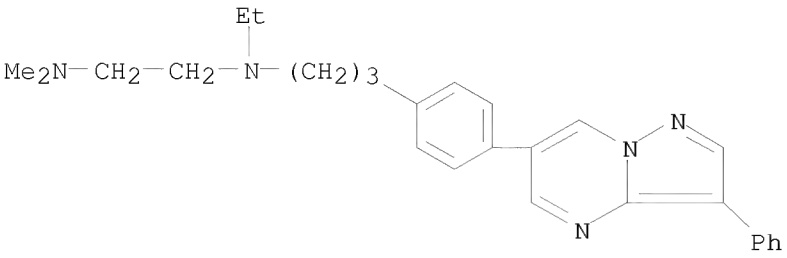
RN 709648-98-6 CAPLUS
CN Benzenemethanamine, 3-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)-N-propyl- (CA INDEX NAME)



RN 709648-99-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-phenyl-6-[4-[3-(1-piperidinyl)propyl]phenyl]- (CA INDEX NAME)

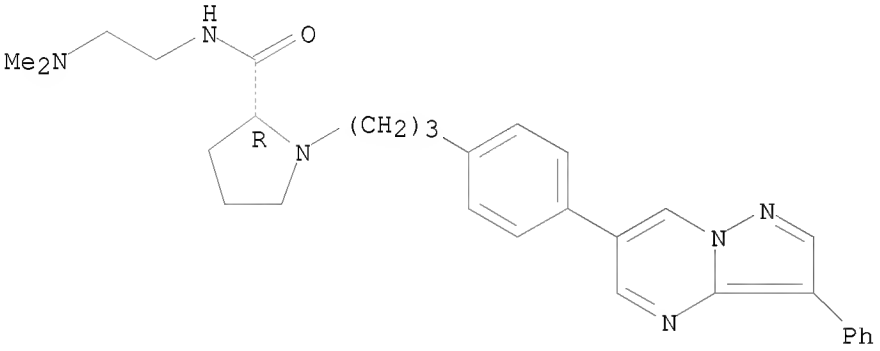


RN 709649-00-3 CAPLUS
CN 1,2-Ethanediamine, N-ethyl-N',N'-dimethyl-N-[3-[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]propyl]- (9CI) (CA INDEX NAME)



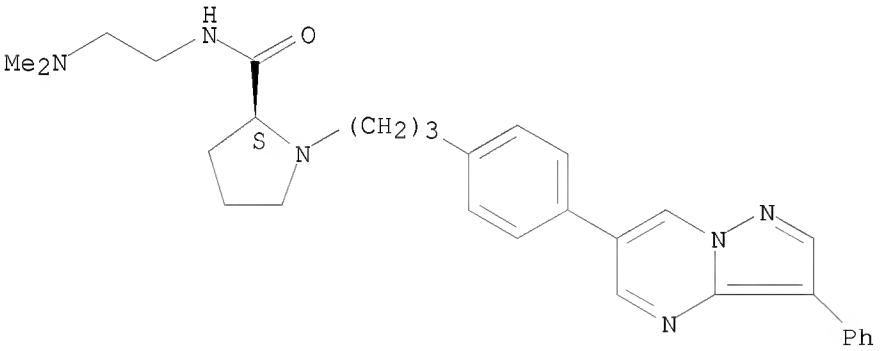
RN 709649-01-4 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[2-(dimethylamino)ethyl]-1-[3-[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]propyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

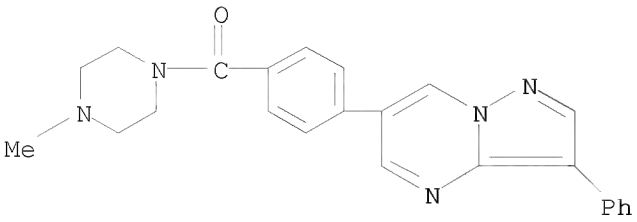


RN 709649-02-5 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[2-(dimethylamino)ethyl]-1-[3-[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]propyl]-, (2S)- (CA INDEX NAME)

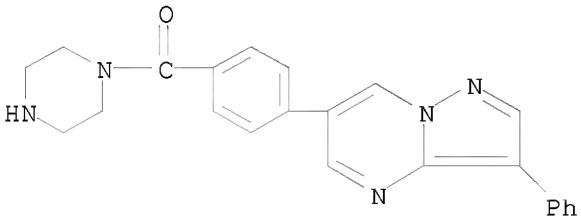
Absolute stereochemistry.



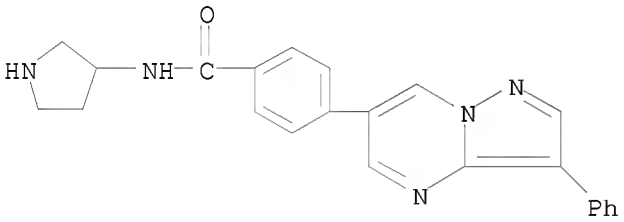
RN 709649-03-6 CAPLUS
CN Piperazine, 1-methyl-4-[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)benzoyl]- (9CI) (CA INDEX NAME)



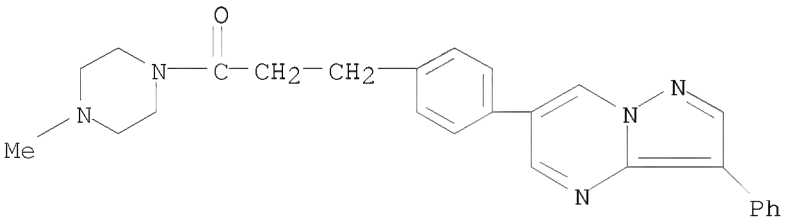
RN 709649-04-7 CAPLUS
CN Piperazine, 1-[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)benzoyl]- (9CI) (CA INDEX NAME)



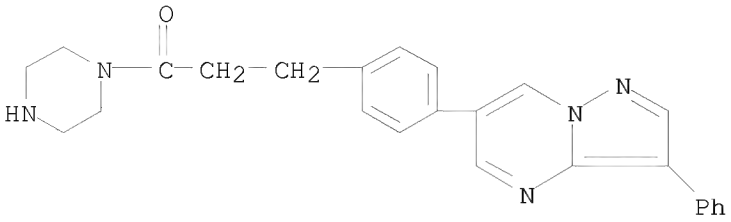
RN 709649-05-8 CAPLUS
CN Benzamide, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)-N-3-pyrrolidinyl- (CA INDEX NAME)



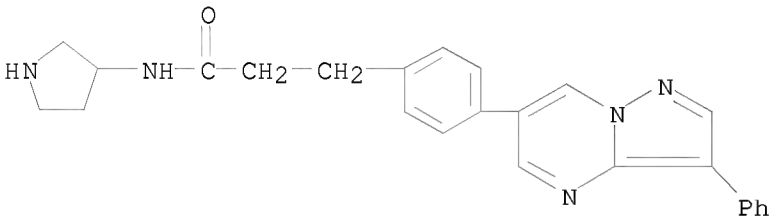
RN 709649-06-9 CAPLUS
CN Piperazine, 1-methyl-4-[1-oxo-3-[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]propyl]- (9CI) (CA INDEX NAME)



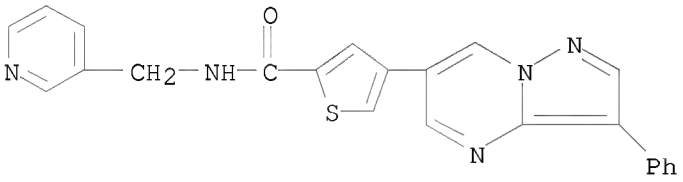
RN 709649-07-0 CAPLUS
CN Piperazine, 1-[1-oxo-3-[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)phenyl]propyl]- (9CI) (CA INDEX NAME)



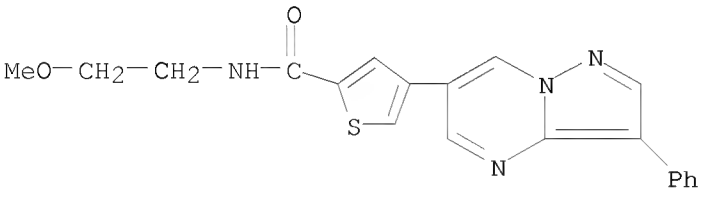
RN 709649-08-1 CAPLUS
CN Benzenepropanamide, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)-N-3-pyrrolidinyl- (CA INDEX NAME)



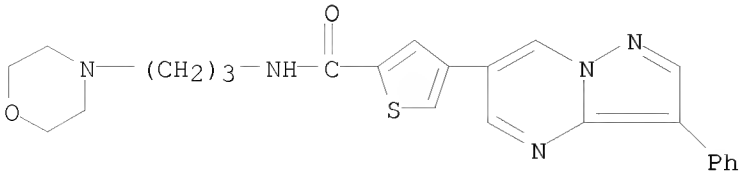
RN 709649-09-2 CAPLUS
CN 2-Thiophenecarboxamide, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)



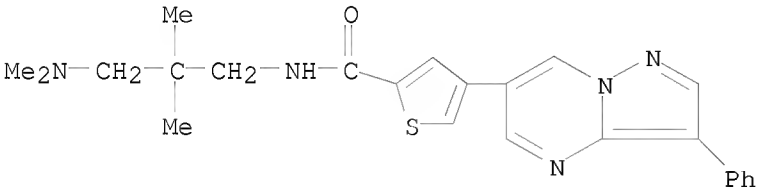
RN 709649-10-5 CAPLUS
CN 2-Thiophenecarboxamide, N-(2-methoxyethyl)-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



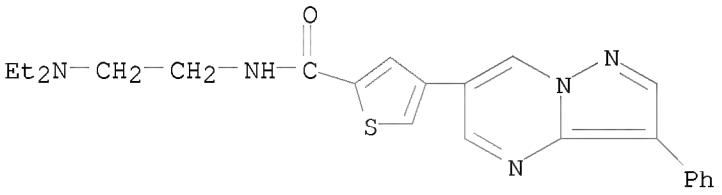
RN 709649-11-6 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-(4-morpholinyl)propyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



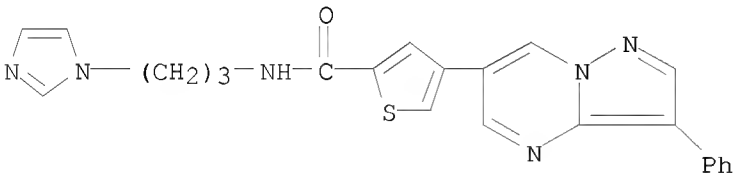
RN 709649-12-7 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-(dimethylamino)-2,2-dimethylpropyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



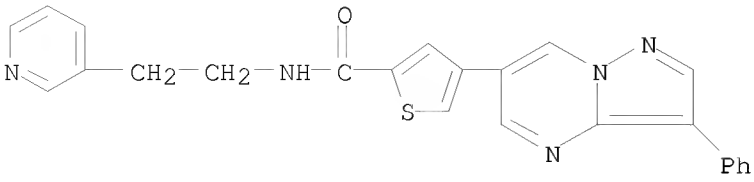
RN 709649-13-8 CAPLUS
CN 2-Thiophenecarboxamide, N-[2-(diethylamino)ethyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



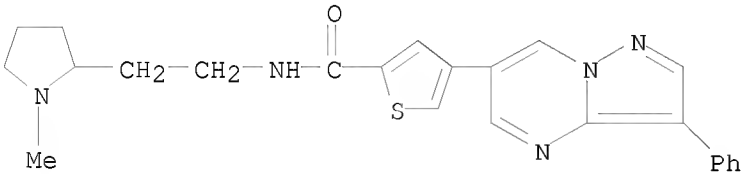
RN 709649-14-9 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-(1H-imidazol-1-yl)propyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



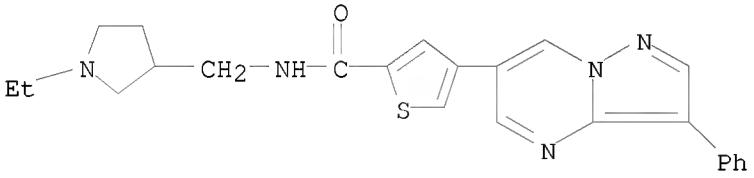
RN 709649-15-0 CAPLUS
CN 2-Thiophenecarboxamide, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)-N-[2-(3-pyridinyl)ethyl]- (CA INDEX NAME)



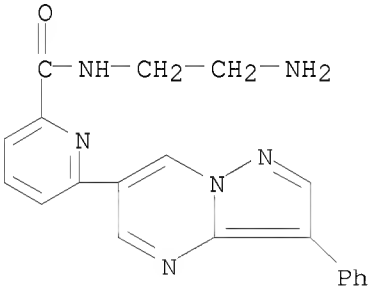
RN 709649-16-1 CAPLUS
CN 2-Thiophenecarboxamide, N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



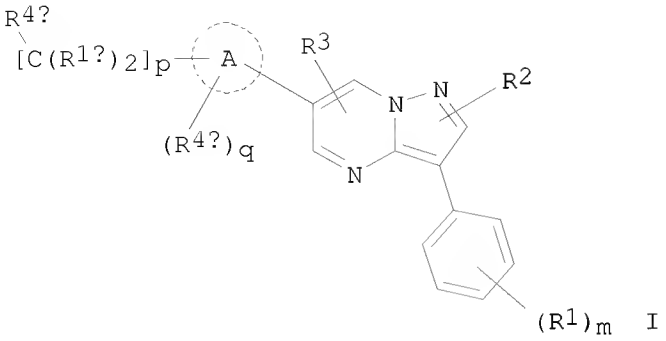
RN 709649-17-2 CAPLUS
CN 2-Thiophenecarboxamide, N-[(1-ethyl-3-pyrrolidinyl)methyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



RN 709649-18-3 CAPLUS
CN 2-Pyridinecarboxamide, N-(2-aminoethyl)-6-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



GI



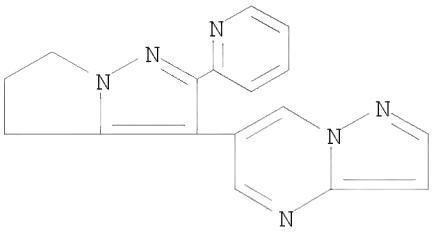
I

AB The title compds. [I; p = 0-6; q = 0-2; m = 0-3; the ring A = aryl, heterocyclyl; R1 = each (un)substituted C1-10 alkyl, C3-6 cycloalkyl, C2-10 alkenyl, C2-10 alkynyl, aryl, heterocyclyl, HO, or NH2, N-(un)substituted amino-C1-6 alkoxy, NO2; R1a = H, each (un)substituted C1-10 alkyl, C3-6 cycloalkyl, aryl, or heterocyclyl; R2, R3 = H, (un)substituted C1-6 alkyl or OH, C1-3 perfluoroalkyl; R4a = NR5[C(R1a)2]nR8, NR5[C(R1a)2]nOR5, R8S(O)sR8, NR5[C(R1a)2]nCONR5R6, halo, C2-6 alkenyl[C(R1a)2]nOR5, C2-6 alkynyl[C(R1a)2]nOR5, OR5, COR5, R8, etc.; R4b = C1-10-alkyl, C3-6 cycloalkyl, C2-10 alkenyl, C2-10 alkynyl, aryl, heterocyclyl, OC1-6 alkyl-NR5R6, NO2, each (un)substituted HO or NH2, etc.; wherein n = 0-6; s = 0-2; R5, R6 = H, halo, aralkyl, (C1-10 alkoxy or C1-10 alkyl)carbonyl, (aryl or heterocyclyl)oxycarbonyl or -carbonyl, C1-10 alkyl, aryl, C2-10 alkenyl, C2-10 alkynyl, heterocyclyl, etc.; R8 = each (un)substituted C1-C10 alkyl, aryl, heterocyclyl, or C3-10 cycloalkyl] or pharmaceutically acceptable salts or stereoisomers thereof. These compds. inhibit, regulate, and/or modulate tyrosine kinase signal transduction (no data) and are useful for treating tyrosine kinase-dependent diseases and conditions such as angiogenesis, cancer, tumor growth, ocular disease, retinal vascularization, atherosclerosis, age related macular degeneration, diabetic retinopathy, macular edema, retinal ischemia, inflammatory diseases, and the like in mammals. Thus, a solution of 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)benzaldehyde > (75 mg, 0.25 mmol), benzylamine (0.056 mL, 0.50 mmol), acetic acid (0.25 mmol), and sodium triacetoxyborohydride (106 mg, 0.50 mmol) in 1,2-dichloroethane (6 mL) was stirred under ambient conditions overnight to give, after workup and purification by reverse-phase liquid chromatog., to give 1-phenyl-N-[4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)benzyl]methanamine.

L5 ANSWER 16 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:493706 CAPLUS
DOCUMENT NUMBER: 141:54330
TITLE: Preparation of novel fused pyrazoles, in particular pyrrolopyrazoles, as transforming growth factor-β (TGF-β) signal transduction inhibitors
INVENTOR(S): Beight, Douglas Wade; Burkholder, Timothy Paul; Decollo, Todd Vincent; Godfrey, Alexander Glenn; Heap, Charles Raymond; King, Chi-Hsin Richard; Li, Hong-Yu; McMillen, William Thomas; Sawyer, Jason Scott; Wang, Yan; Diefenbacher, Clive Gideon; Engler, Thomas Albert; Malhotra, Sushant; Mundla, Sreenivasa Reedy
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004050659	A1	20040617	WO 2003-US35969		20031124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2003290734	A1	20040623	AU 2003-290734		20031124
EP 1567527	A1	20050831	EP 2003-783318		20031124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
US 2006058295	A1	20060316	US 2005-535381		20050516
PRIORITY APPLN. INFO.:			US 2002-429982P	P	20021127
			WO 2003-US35969	W	20031124

OTHER SOURCE(S): MARPAT 141:54330
IT 705263-09-8P, 6-[2-(Pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyrazolo[1,5-a]pyrimidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(TGF-β signal transduction inhibitor; preparation of fused pyrazoles, in particular pyrrolopyrazoles, as TGF-β signal transduction inhibitors)
RN 705263-09-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (CA INDEX NAME)



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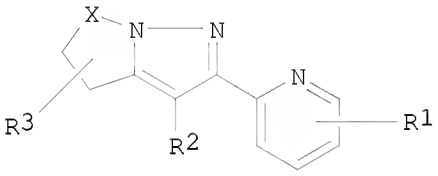

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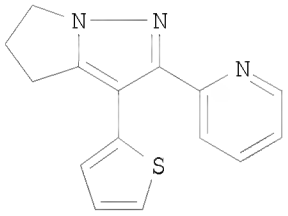


II

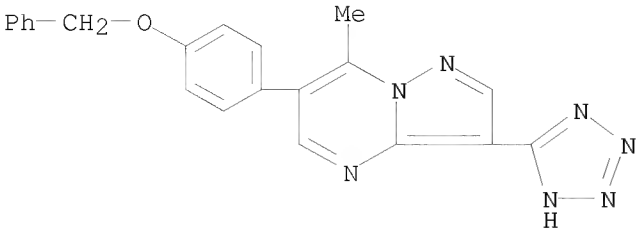
AB Title compds. I [wherein X = (CH2)n; n = 0-4; R1 = (un)substituted alk(en/yn)yl, alk(enyl/ynyl)oxy, alkylthio, alkylamino, alkanoyl, alkylcarbamoyl, thiophenyl, Ph, etc.; R2 = (un)substituted thiophenyl, oxazolyl, pyrazinyl, furanyl, imidazo[1,2-a]pyridinyl, benzoimidazolyl, quinoxalinyl, pyrazolo[1,5-a]pyrimidinyl, [1,8]naphthyridinyl, etc.; R3 = H, alkyl; and their pharmaceutically acceptable salts] were prepared as transforming growth factor-β (TGF-β) signal transduction inhibitors. II was prepared in 5 steps by Claisen condensation of Et pyridin-2-carboxylate, condensation of β-carbonyl ester with 1-aminopyrrolidin-2-one•HCl, cyclization in the presence of NaOEt in toluene, decarboxylative bromination, and Pd-cross coupling of the bromide with thiophene-2-boronic acid. Selected I inhibited the TGF-β type I receptor kinase domain with IC50 values < 20 μM. I are useful for treating fibroproliferative diseases associated with TGF-β1 over production

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:972079 CAPLUS
DOCUMENT NUMBER: 140:27839
TITLE: Preparation of pyrazolo[1,5-a]pyrimidine compounds as antiviral agents against hepatitis C virus (HCV) infection
INVENTOR(S): Shipps, Gerald W., Jr.; Rosner, Kristin E.; Popovici-Muller, Janeta; Deng, Yongqi; Wang, Tong; Curran, Patrick J.
PATENT ASSIGNEE(S): Neogenesis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 249 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003101993	A1	20031211	WO 2003-US17368		20030602
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2487211	A1	20031211	CA 2003-2487211		20030602
AU 2003240488	A1	20031219	AU 2003-240488		20030602
EP 1511751	A1	20050309	EP 2003-731496		20030602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
CN 1671710	A	20050921	CN 2003-818484		20030602
JP 2005533040	T	20051104	JP 2004-509684		20030602
MX 2004PA12245	A	20050930	MX 2004-PA12245		20041206
PRIORITY APPLN. INFO.:			US 2002-385837P	P	20020604
			WO 2003-US17368	W	20030602

OTHER SOURCE(S): MARPAT 140:27839
IT 632363-25-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolo[a]pyrimidine compds. as antiviral agents against hepatitis C virus (HCV) infection and as inhibitors of HCV RNA-dependent RNA polymerase)
RN 632363-25-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-methyl-6-[4-(phenylmethoxy)phenyl]-3-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



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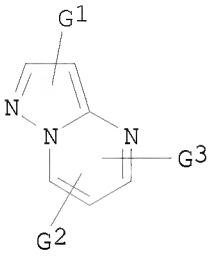
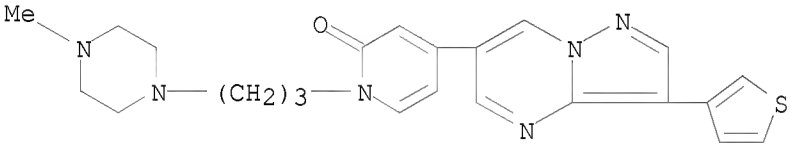


I

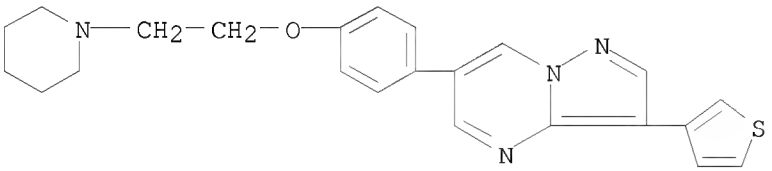
AB The title compds. (I) [G1 = OH, cyano, CO2H, CO2R8, CONR2R3, N(R)COR8, SO2NR2R3, N(R)SO2R8, heteroaryl, aryl, halo, amino, formyl, heterocyclylalkenyl, heterocyclylalkyl, CH(:N)OH, CH(:N)OR8, hydroxyalkyl, saturated or partially unsatd. heterocyclyl; R2, R3, R8 = H, alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, carboalkoxyalkyl, carboalkoxy, acyloxyalkyl, acyloxyalkyl, saturated or partially unsatd. heterocyclyl; or R2 and R3 taken together form a 5- or 6-membered heteroarom. or saturated or partially unsatd. heterocyclic ring; or NR2R3 together forms an α-, β-, or γ-amino acid; G2 = alkyl, cycloalkyl, aryl, heteroaryl, saturated or partially unsatd. heterocyclyl, CF3, carboxyalkylamino, alkylamino, CO2H, alkenyl, alkoxyalkyl, heterocyclylalkyl, cycloalkylalkyl, arylalkyl, and -W-Cy, where W is selected from the group consisting of O, N(R), S, CO, CH(R), OCH(R), N(R)CH(R), SCH(R), CON(R), N(R)CO, SO2N(R), N(R)SO2, and N(R)CON(R) (where R = H, alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, and saturated or partially unsatd. heterocyclyl); Cy = cycloalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, saturated or partially unsatd. heterocyclic radical; G3 = absent or groups listed in G2; wherein the ring portion of cycloalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, or heterocyclyl in G1, G2, or G3 can be optionally substituted] or pharmaceutically acceptable salts thereof are prepared The invention relates to the inhibition of hepatitis C virus (HCV) replication, in particular provides the compds. I and methods for inhibiting HCV RNA-dependent RNA polymerase enzymic activity and compns. and methods for the prophylaxis and treatment of HCV infection. The compds. I inhibited HCV RNA-dependent RNA polymerase (RdRp) at the concentration from >10 to <1 μM.

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

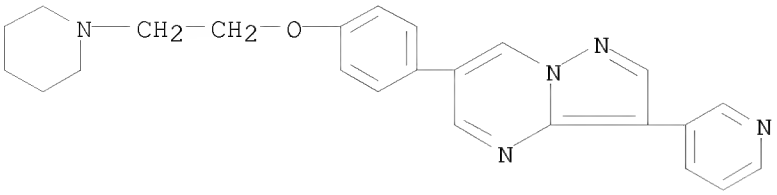
L5 ANSWER 18 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:878821 CAPLUS
DOCUMENT NUMBER: 138:338082
TITLE: Optimization of a pyrazolo[1,5-a]pyrimidine class of KDR kinase inhibitors: improvements in physical properties enhance cellular activity and pharmacokinetics
AUTHOR(S): Fraley, Mark E.; Rubino, Robert S.; Hoffman, William F.; Hambaugh, Scott R.; Arrington, Kenneth L.; Hungate, Randall W.; Bilodeau, Mark T.; Tebben, Andrew J.; Rutledge, Ruth Z.; Kendall, Richard L.; McFall, Rosemary C.; Huckle, William R.; Coll, Kathleen E.; Thomas, Kenneth A.
CORPORATE SOURCE: Departments of Medicinal Chemistry and Cancer Research, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(24), 3537-3541
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:338082
IT 293298-56-3P 408501-94-0P 515880-79-2P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and activity of a pyrazolo[1,5-a]pyrimidine class of KDR kinase inhibitors)
RN 293298-56-3 CAPLUS
CN 2(1H)-Pyridinone, 1-[3-(4-methyl-1-piperazinyl)propyl]-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



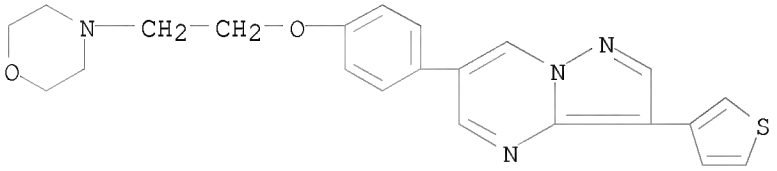
RN 408501-94-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-[4-[2-(1-piperidinyl)ethoxy]phenyl]-3-(3-thienyl)- (CA INDEX NAME)



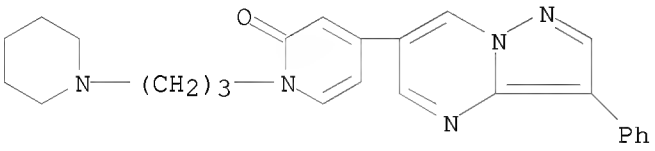
RN 515880-79-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-[4-[2-(1-piperidinyl)ethoxy]phenyl]-3-(3-pyridinyl)- (CA INDEX NAME)



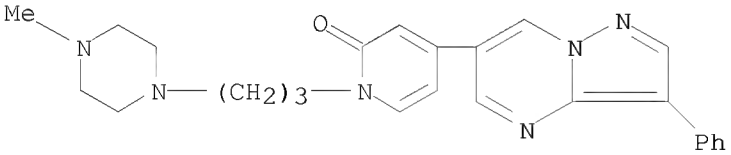
IT 216661-80-2P 293298-43-8P 293298-47-2P
515880-75-8P 515880-76-9P 515880-77-0P
515880-78-1P 597544-21-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and activity of a pyrazolo[1,5-a]pyrimidine class of KDR kinase inhibitors)
RN 216661-80-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-[4-[2-(4-morpholinyl)ethoxy]phenyl]-3-(3-thienyl)- (CA INDEX NAME)



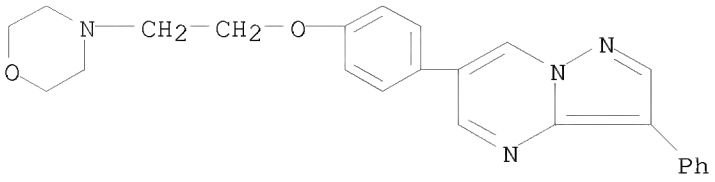
RN 293298-43-8 CAPLUS
CN 2(1H)-Pyridinone, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)-1-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)



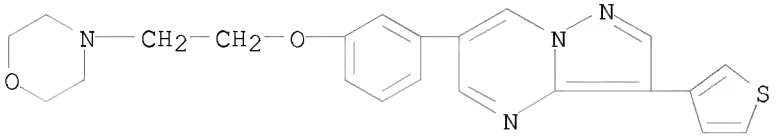
RN 293298-47-2 CAPLUS
CN 2(1H)-Pyridinone, 1-[3-(4-methyl-1-piperazinyl)propyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



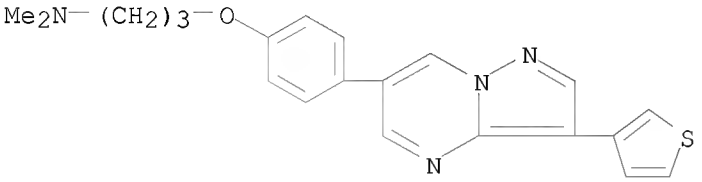
RN 515880-75-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-[4-[2-(4-morpholinyl)ethoxy]phenyl]-3-phenyl- (CA INDEX NAME)



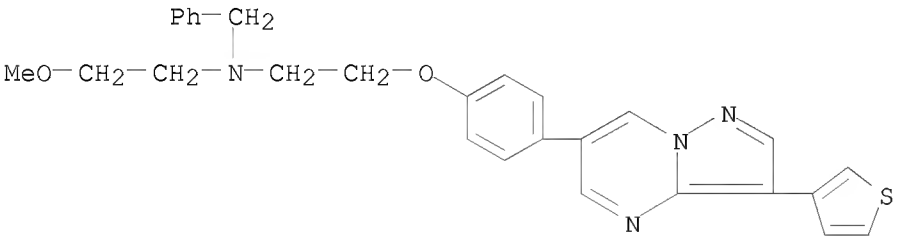
RN 515880-76-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-[3-[2-(4-morpholinyl)ethoxy]phenyl]-3-(3-thienyl)- (CA INDEX NAME)



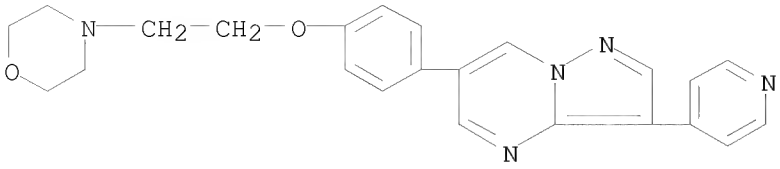
RN 515880-77-0 CAPLUS
CN 1-Propanamine, N,N-dimethyl-3-[4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]phenoxy]- (CA INDEX NAME)



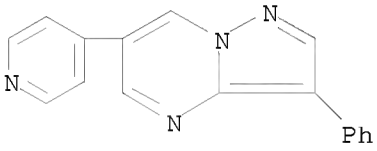
RN 515880-78-1 CAPLUS
CN Benzenemethanamine, N-(2-methoxyethyl)-N-[2-[4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]phenoxy]ethyl]- (CA INDEX NAME)



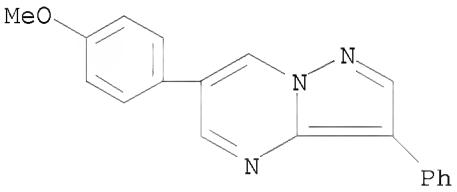
RN 597544-21-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-[4-[2-(4-morpholinyl)ethoxy]phenyl]-3-(4-pyridinyl)- (CA INDEX NAME)



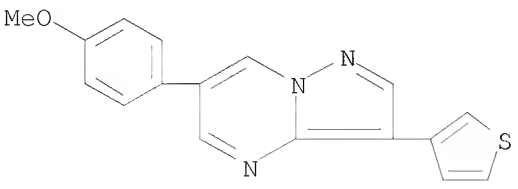
IT 216661-46-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and activity of a pyrazolo[1,5-a]pyrimidine class of KDR kinase inhibitors)
RN 216661-46-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-phenyl-6-(4-pyridinyl)- (CA INDEX NAME)



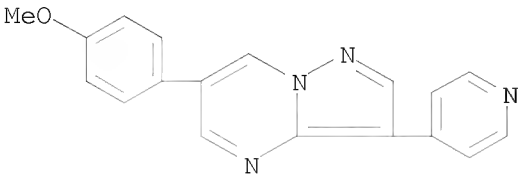
IT 216661-54-0P 216661-57-3P 216661-58-4P
216661-72-2P 216661-79-9P 293298-69-8P
408502-24-9P 408502-25-0P 515880-83-8P
515880-84-9P 515880-85-0P 515880-86-1P
515880-87-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and activity of a pyrazolo[1,5-a]pyrimidine class of KDR kinase inhibitors)
RN 216661-54-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-phenyl- (CA INDEX NAME)



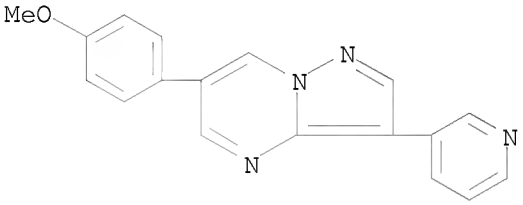
RN 216661-57-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-(3-thienyl)- (CA INDEX NAME)



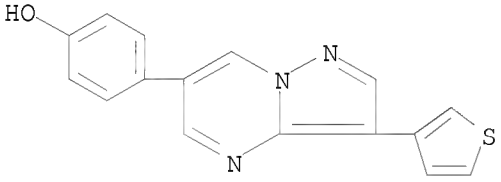
RN 216661-58-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-(4-pyridinyl)- (CA INDEX NAME)



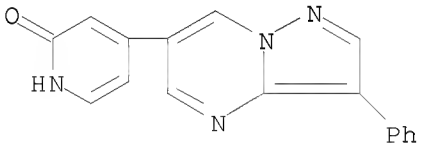
RN 216661-72-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-(3-pyridinyl)- (CA INDEX NAME)



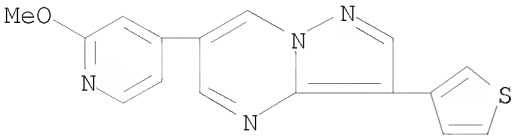
RN 216661-79-9 CAPLUS
CN Phenol, 4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



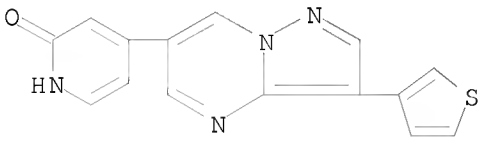
RN 293298-69-8 CAPLUS
CN 2(1H)-Pyridinone, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



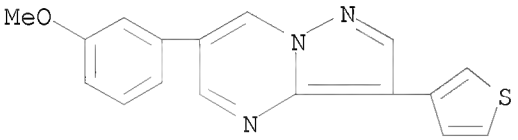
RN 408502-24-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(2-methoxy-4-pyridinyl)-3-(3-thienyl)- (CA INDEX NAME)



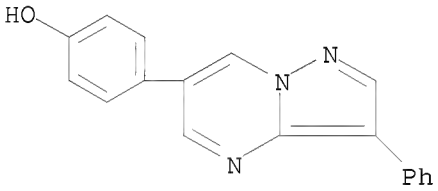
RN 408502-25-0 CAPLUS
CN 2(1H)-Pyridinone, 4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



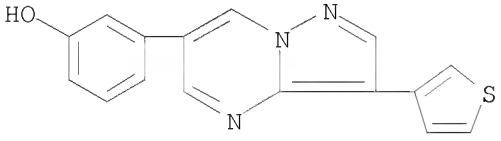
RN 515880-83-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(3-methoxyphenyl)-3-(3-thienyl)- (CA INDEX NAME)



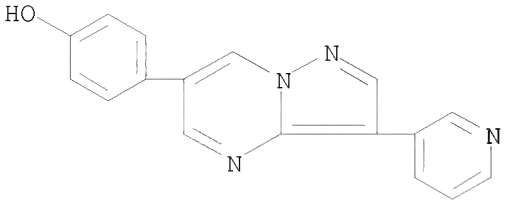
RN 515880-84-9 CAPLUS
CN Phenol, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



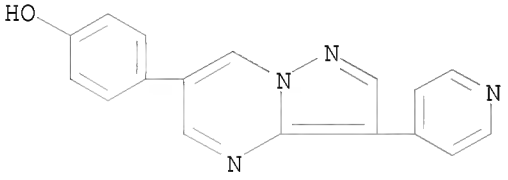
RN 515880-85-0 CAPLUS
CN Phenol, 3-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



RN 515880-86-1 CAPLUS
CN Phenol, 4-[3-(3-pyridinyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



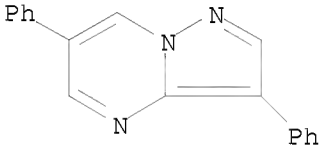
RN 515880-87-2 CAPLUS
CN Phenol, 4-[3-(4-pyridinyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



AB Solubilizing functionality was introduced into a 3,6-disubstituted pyrazolo[1,5-a]pyrimidine series of KDR kinase inhibitors to improve the phys. properties of these compds. The addition of a basic side-chain to the 6-aryl ring, introduction of 3-pyridyl groups, and most significantly, incorporation of a 4-pyridinonyl substituent at the 6-position of the core are modifications that maintain and often enhance the intrinsic potency of this class of inhibitors. Moreover, the improvements in phys. properties result in marked increases in cellular activity and more favorable pharmacokinetics in rats. The synthesis and SAR of these compds. are described.

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:855870 CAPLUS
DOCUMENT NUMBER: 139:149540
TITLE: Product class 5: azaindolizines with two nitrogen atoms in the five-membered ring
AUTHOR(S): Hajos, G.; Riedl, Z.
CORPORATE SOURCE: Chemical Research Center, Institute of Chemistry, Budapest, H-1025, Hung.
SOURCE: Science of Synthesis (2002), 12, 613-678
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
IT 79833-97-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of azaindolizines via ring-closure reactions, substituent modifications, and substitution reactions)
RN 79833-97-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3,6-diphenyl- (CA INDEX NAME)

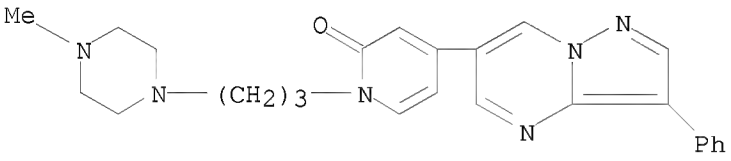


AB A review of preparation of azaindolizines with two nitrogen atoms in the five-membered ring. Covered reactions include ring-closure, substituent modification, substitution reactions, and other miscellaneous methods.
REFERENCE COUNT: 247 THERE ARE 247 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

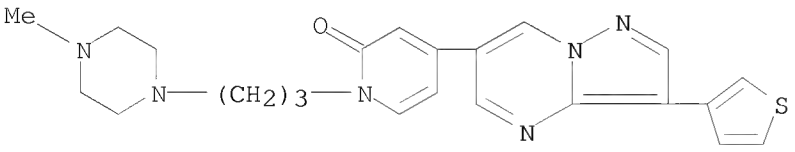
L5 ANSWER 20 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:778202 CAPLUS
DOCUMENT NUMBER: 137:273495
TITLE: In vivo methods of determining activity of receptor-type kinase inhibitors
INVENTOR(S): Thomas, Kenneth A., Jr.; Mao, Xianzhi; Kendall, Richard L.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002079498	A1	20021010	WO 2002-US9758	20020329
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2443144	A1	20021010	CA 2002-2443144	20020329
EP 1385983	A1	20040204	EP 2002-719386	20020329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
JP 2004527244	T	20040909	JP 2002-577907	20020329
US 2004101478	A1	20040527	US 2003-473513	20030929
PRIORITY APPLN. INFO.:			US 2001-280771P P	20010402
			WO 2002-US9758 W	20020329

IT 293298-47-2 293298-56-3 408501-94-0
RL: ANT (Analyte); PAC (Pharmacological activity); ANST (Analytical study); BIOL (Biological study)
(in vivo methods of determining activity of receptor-type kinase inhibitors)
RN 293298-47-2 CAPLUS
CN 2(1H)-Pyridinone, 1-[3-(4-methyl-1-piperazinyl)propyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)

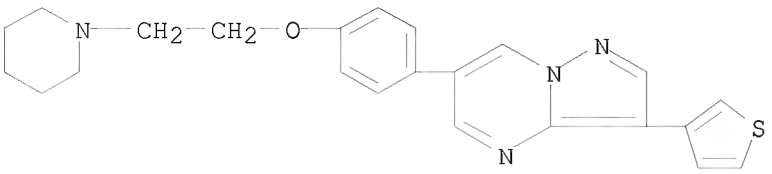


RN 293298-56-3 CAPLUS
CN 2(1H)-Pyridinone, 1-[3-(4-methyl-1-piperazinyl)propyl]-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



RN 408501-94-0 CAPLUS

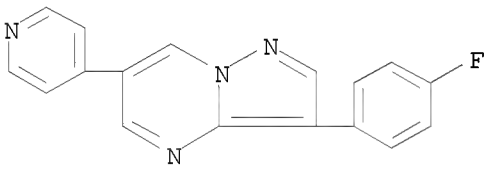
CN Pyrazolo[1,5-a]pyrimidine, 6-[4-[2-(1-piperidinyl)ethoxy]phenyl]-3-(3-thienyl)- (CA INDEX NAME)



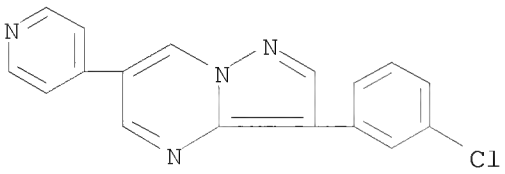
AB The invention concerns in vivo methods for measuring compound inhibition of kinase receptor activity. Examples are provided which show a direct correlation between in vivo inhibition of KDR kinase inhibition and circulating blood and plasma levels of the inhibitor. These data are used to predict and validate non-quantifiable in vitro measurements, such as murine endothelial cell IC50 values. The in vivo potency of a compound determined by an assay of the present invention may be utilized to select dose amts. and frequencies for further preclin. animal model studies and human clin. studies designed to generate safety, potency and efficacy profiles for the resp. inhibitor.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:675125 CAPLUS
DOCUMENT NUMBER: 138:137260
TITLE: Synthesis and Initial SAR Studies of 3,6-Disubstituted Pyrazolo[1,5-a]pyrimidines: A New Class of KDR Kinase Inhibitors
AUTHOR(S): Fraley, Mark E.; Hoffman, William F.; Rubino, Robert S.; Hungate, Randall W.; Tebben, Andrew J.; Rutledge, Ruth Z.; McFall, Rosemary C.; Huckle, William R.; Kendall, Richard L.; Coll, Kathleen E.; Thomas, Kenneth A.
CORPORATE SOURCE: Departments of Medicinal Chemistry and Cancer Research, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(19), 2767-2770
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:137260
IT 216661-42-6P 216661-44-8P 216661-46-0P
216661-54-0P 216661-57-3P 216661-58-4P
216661-60-8P 216661-63-1P 216661-64-2P
216661-72-2P 216661-82-4P 216661-86-8P
493038-71-4P 493038-72-5P 493038-73-6P
493038-74-7P 493038-75-8P 493038-76-9P
493038-77-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn of 3,6-disubstituted pyrazolo[1,5-a]pyrimidines from aryl derivs. and evaluation of their activity as KDR kinase inhibitors)
RN 216661-42-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-6-(4-pyridinyl)- (CA INDEX NAME)

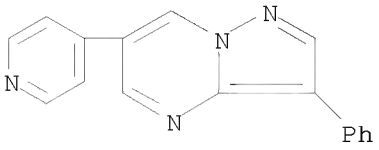


RN 216661-44-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-chlorophenyl)-6-(4-pyridinyl)- (CA INDEX NAME)

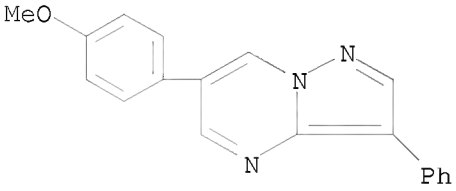


RN 216661-46-0 CAPLUS

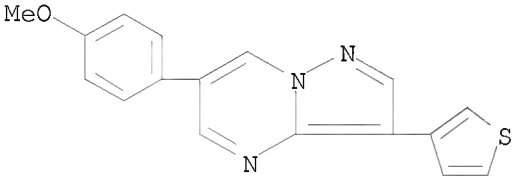
CN Pyrazolo[1,5-a]pyrimidine, 3-phenyl-6-(4-pyridinyl)- (CA INDEX NAME)



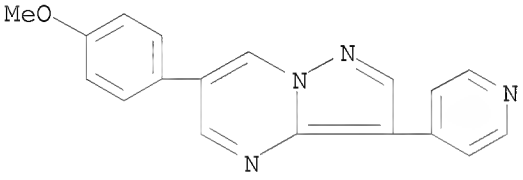
RN 216661-54-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-phenyl- (CA INDEX NAME)



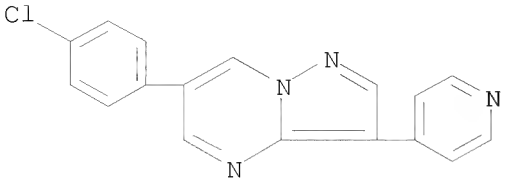
RN 216661-57-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-(3-thienyl)- (CA INDEX NAME)



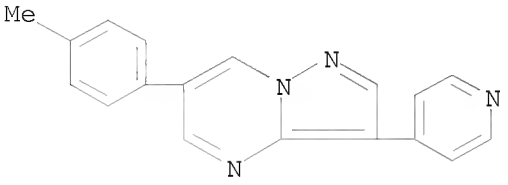
RN 216661-58-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-(4-pyridinyl)- (CA INDEX NAME)



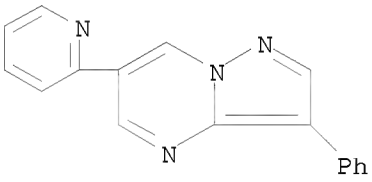
RN 216661-60-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-chlorophenyl)-3-(4-pyridinyl)- (CA INDEX NAME)



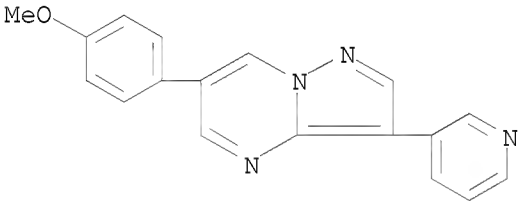
RN 216661-63-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methylphenyl)-3-(4-pyridinyl)- (CA INDEX NAME)



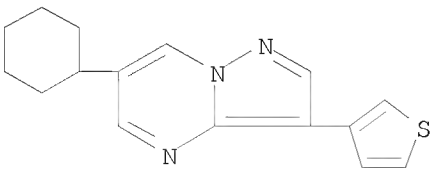
RN 216661-64-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-phenyl-6-(2-pyridinyl)- (CA INDEX NAME)



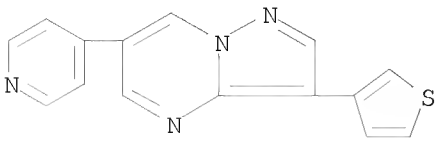
RN 216661-72-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-(3-pyridinyl)- (CA INDEX NAME)



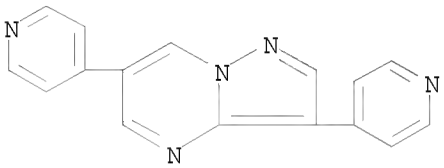
RN 216661-82-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-cyclohexyl-3-(3-thienyl)- (CA INDEX NAME)



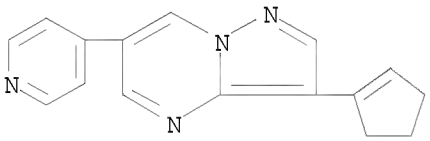
RN 216661-86-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-pyridinyl)-3-(3-thienyl)- (CA INDEX NAME)



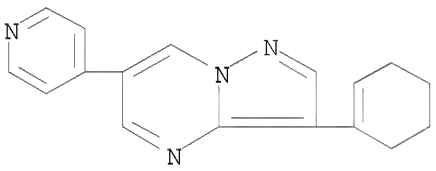
RN 493038-71-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3,6-di-4-pyridinyl- (CA INDEX NAME)



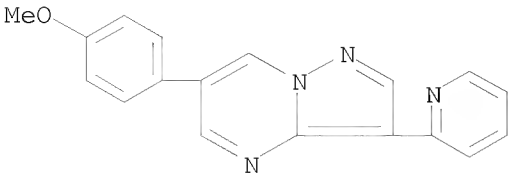
RN 493038-72-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(1-cyclopenten-1-yl)-6-(4-pyridinyl)- (CA INDEX NAME)



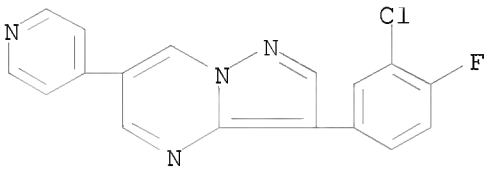
RN 493038-73-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(1-cyclohexen-1-yl)-6-(4-pyridinyl)- (CA INDEX NAME)



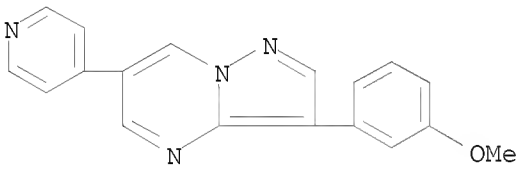
RN 493038-74-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-(2-pyridinyl)- (CA INDEX NAME)



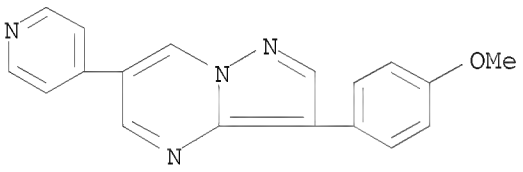
RN 493038-75-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-chloro-4-fluorophenyl)-6-(4-pyridinyl)- (CA INDEX NAME)



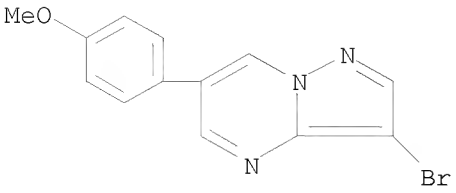
RN 493038-76-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-methoxyphenyl)-6-(4-pyridinyl)- (CA INDEX NAME)



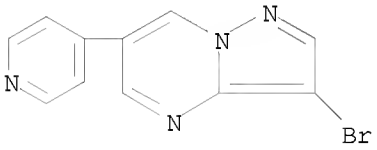
RN 493038-77-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-methoxyphenyl)-6-(4-pyridinyl)- (CA INDEX NAME)



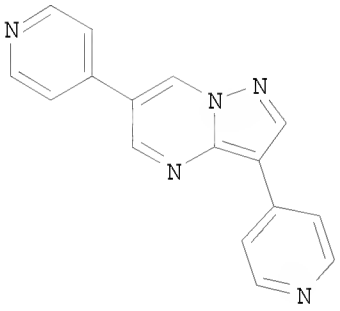
IT 216661-83-5P 493038-88-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn of 3,6-disubstituted pyrazolo[1,5-a]pyrimidines from aryl derivs. and evaluation of their activity as KDR kinase inhibitors)
RN 216661-83-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-bromo-6-(4-methoxyphenyl)- (CA INDEX NAME)



RN 493038-88-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-bromo-6-(4-pyridinyl)- (CA INDEX NAME)



GI



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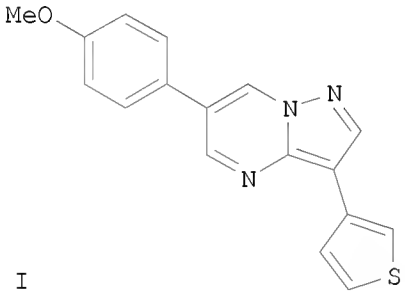


II

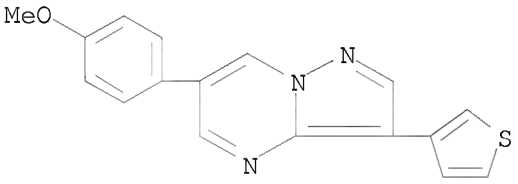
AB 3,6-Disubstituted pyrazolo[1,5-a]pyrimidines were synthesized and evaluated as a new class of KDR kinase inhibitors. Starting with screening lead I, potency against isolated KDR was fully optimized with 3-thienyl and 4-methoxyphenyl substituents at the 6- and 3-positions (II, KDR IC50=19 nM), resp. The synthesis and SAR of these compds. are described.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

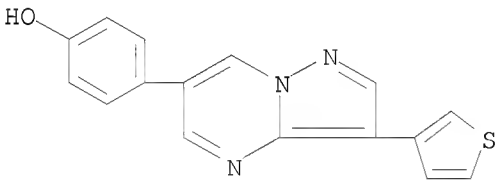
L5 ANSWER 22 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:276430 CAPLUS
DOCUMENT NUMBER: 136:310187
TITLE: Treatment of cancer with a prostate specific antigen (PSA) conjugate and an inhibitor of angiogenesis
INVENTOR(S): Defeo-Jones, Deborah; Heimbrook, David C.; Jones, Raymond E.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 102 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2002041880	A1	20020411	US 2001-896251		20010629
PRIORITY APPLN. INFO.:			US 2000-215934P	P	20000705

OTHER SOURCE(S): MARPAT 136:310187
IT 216661-57-3P 216661-79-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(treatment of cancer with a prostate specific antigen (PSA) conjugate and an inhibitor of angiogenesis)
RN 216661-57-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-(3-thienyl)- (CA INDEX NAME)



RN 216661-79-9 CAPLUS
CN Phenol, 4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



IT 216661-42-6P 216661-44-8P 216661-45-9P
216661-46-0P 216661-48-2P 216661-49-3P
216661-50-6P 216661-51-7P 216661-53-9P
216661-54-0P 216661-55-1P 216661-58-4P
216661-59-5P 216661-60-8P 216661-61-9P
216661-63-1P 216661-64-2P 216661-65-3P
216661-66-4P 216661-68-6P 216661-70-0P
216661-72-2P 216661-76-6P 216661-80-2P

216661-82-4P 216661-83-5P 216661-84-6P
216661-85-7P 216661-86-8P 293298-44-9P
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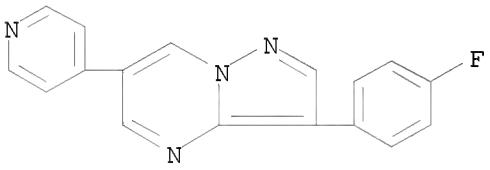
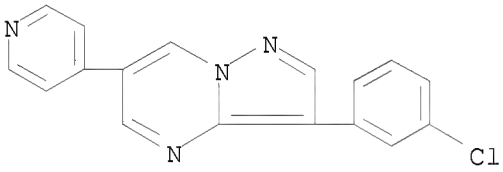
293298-51-8P 293298-52-9P 293298-53-0P
293298-54-1P 293298-55-2P 293298-56-3P
293298-57-4P 293298-58-5P 293298-59-6P
293298-60-9P 293298-61-0P 293298-62-1P
293298-63-2P 293298-64-3P 293298-66-5P
293298-67-6P 408501-94-0P 408502-01-2P
408502-02-3P 408502-08-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(treatment of cancer with a prostate specific antigen (PSA) conjugate and an inhibitor of angiogenesis)

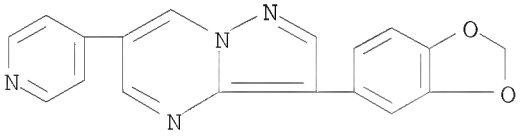
RN 216661-42-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-6-(4-pyridinyl)- (CA INDEX NAME)



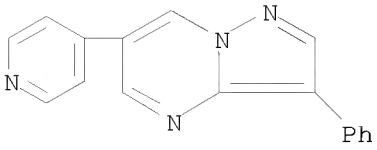
RN 216661-44-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-chlorophenyl)-6-(4-pyridinyl)- (CA INDEX NAME)



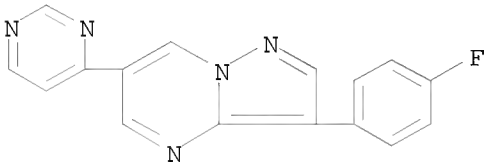
RN 216661-45-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(1,3-benzodioxol-5-yl)-6-(4-pyridinyl)- (CA INDEX NAME)



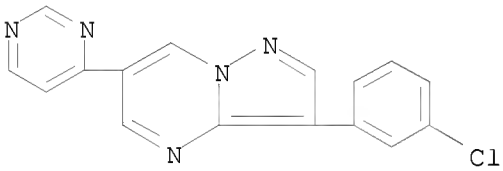
RN 216661-46-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-phenyl-6-(4-pyridinyl)- (CA INDEX NAME)



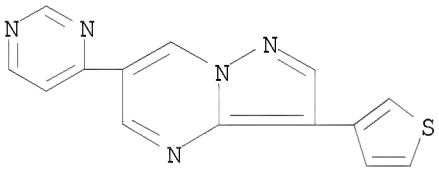
RN 216661-48-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-6-(4-pyrimidinyl)- (CA INDEX NAME)



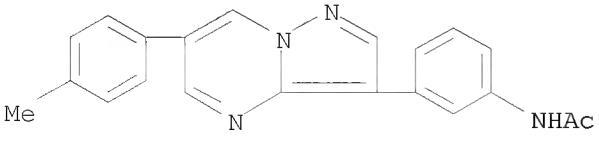
RN 216661-49-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-chlorophenyl)-6-(4-pyrimidinyl)- (CA INDEX NAME)



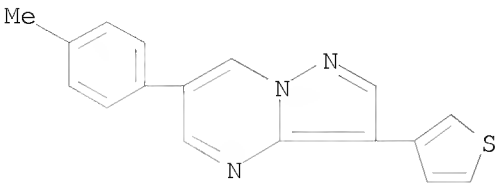
RN 216661-50-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-pyrimidinyl)-3-(3-thienyl)- (CA INDEX NAME)



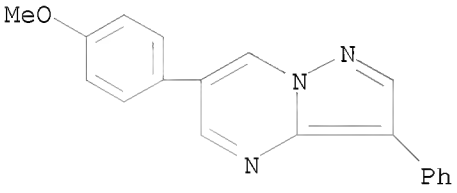
RN 216661-51-7 CAPLUS
CN Acetamide, N-[3-[6-(4-methylphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]phenyl]- (CA INDEX NAME)



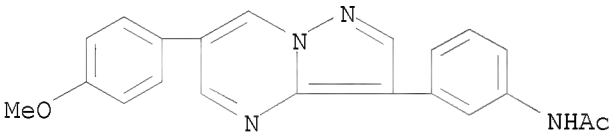
RN 216661-53-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methylphenyl)-3-(3-thienyl)- (CA INDEX NAME)



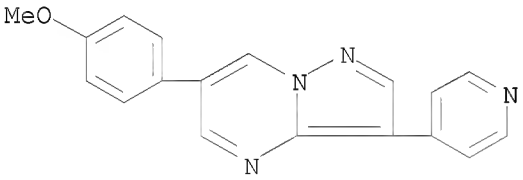
RN 216661-54-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-phenyl- (CA INDEX NAME)



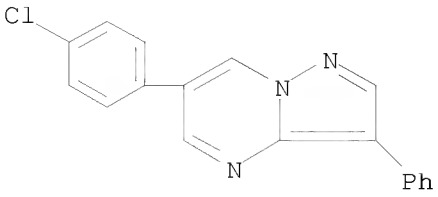
RN 216661-55-1 CAPLUS
CN Acetamide, N-[3-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]phenyl]- (CA INDEX NAME)



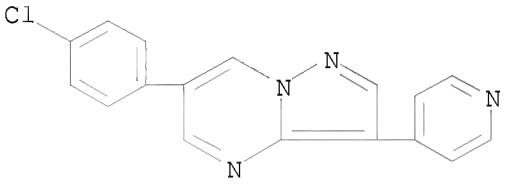
RN 216661-58-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-(4-pyridinyl)- (CA INDEX NAME)



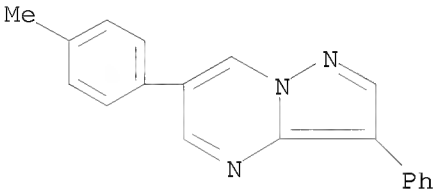
RN 216661-59-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-chlorophenyl)-3-phenyl- (CA INDEX NAME)



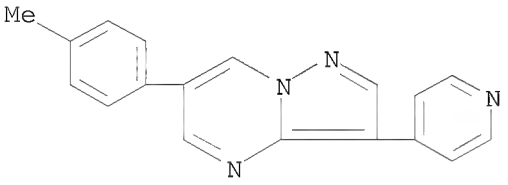
RN 216661-60-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-chlorophenyl)-3-(4-pyridinyl)- (CA INDEX NAME)



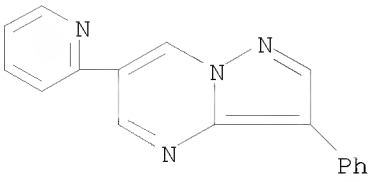
RN 216661-61-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methylphenyl)-3-phenyl- (CA INDEX NAME)



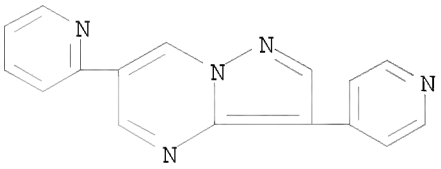
RN 216661-63-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methylphenyl)-3-(4-pyridinyl)- (CA INDEX NAME)



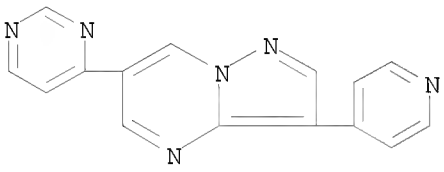
RN 216661-64-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-phenyl-6-(2-pyridinyl)- (CA INDEX NAME)



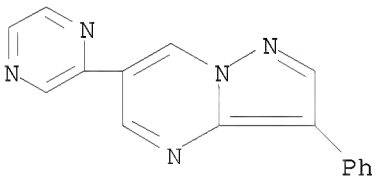
RN 216661-65-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(2-pyridinyl)-3-(4-pyridinyl)- (CA INDEX NAME)



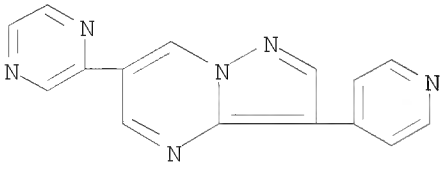
RN 216661-66-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-pyridinyl)-6-(4-pyrimidinyl)- (CA INDEX NAME)



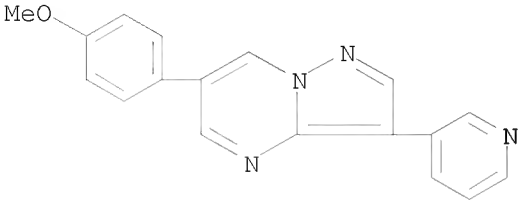
RN 216661-68-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-phenyl-6-pyrazinyl- (9CI) (CA INDEX NAME)



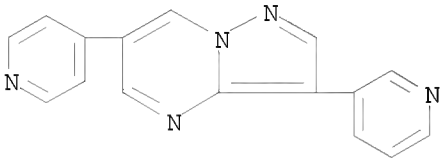
RN 216661-70-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-pyrazinyl-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



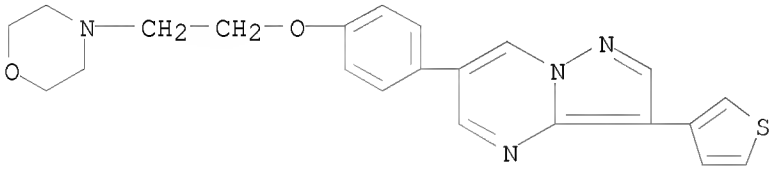
RN 216661-72-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-(3-pyridinyl)- (CA INDEX NAME)



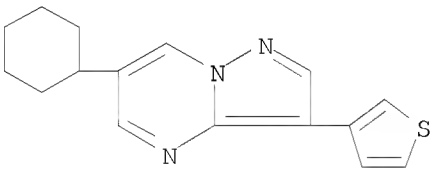
RN 216661-76-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-pyridinyl)-6-(4-pyridinyl)- (CA INDEX NAME)



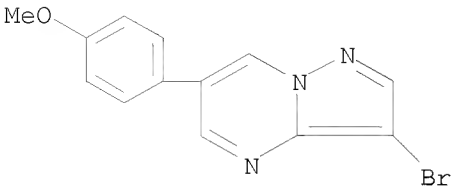
RN 216661-80-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-[4-[2-(4-morpholinyl)ethoxy]phenyl]-3-(3-thienyl)- (CA INDEX NAME)



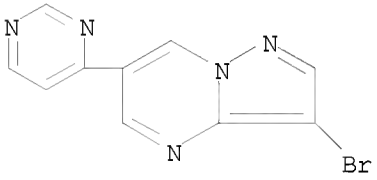
RN 216661-82-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-cyclohexyl-3-(3-thienyl)- (CA INDEX NAME)



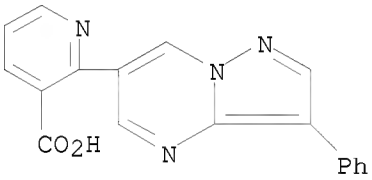
RN 216661-83-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-bromo-6-(4-methoxyphenyl)- (CA INDEX NAME)



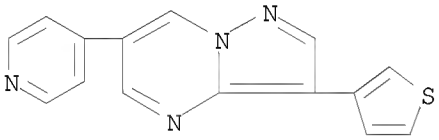
RN 216661-84-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-bromo-6-(4-pyrimidinyl)- (CA INDEX NAME)



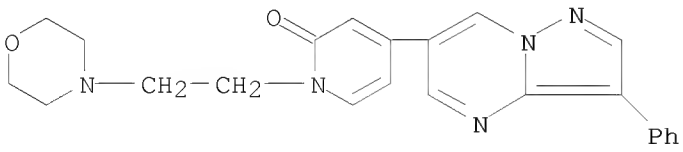
RN 216661-85-7 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



RN 216661-86-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-pyridinyl)-3-(3-thienyl)- (CA INDEX NAME)

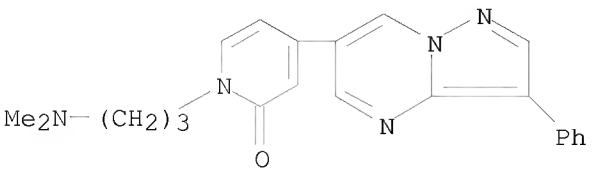


RN 293298-44-9 CAPLUS
CN 2(1H)-Pyridinone, 1-[2-(4-morpholinyl)ethyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)

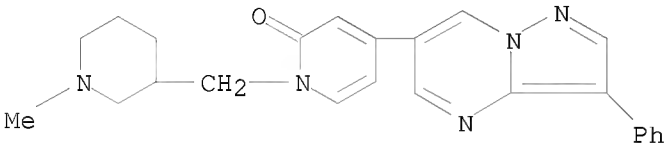


RN 293298-45-0 CAPLUS

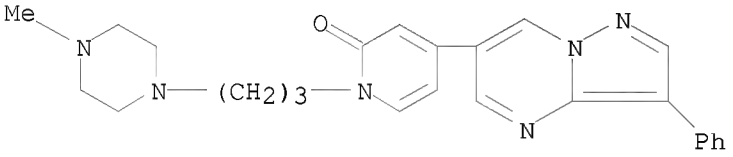
CN 2(1H)-Pyridinone, 1-[3-(dimethylamino)propyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



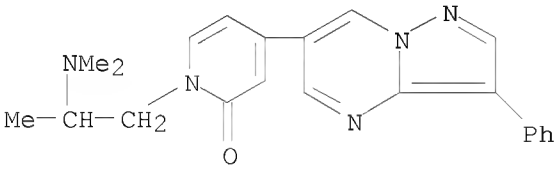
RN 293298-46-1 CAPLUS
CN 2(1H)-Pyridinone, 1-[(1-methyl-3-piperidinyl)methyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



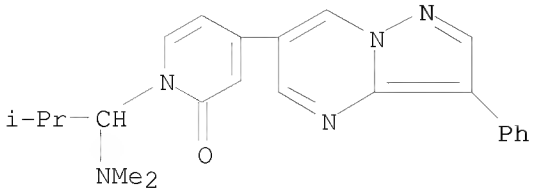
RN 293298-47-2 CAPLUS
CN 2(1H)-Pyridinone, 1-[3-(4-methyl-1-piperazinyl)propyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



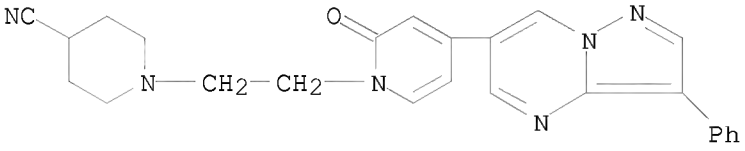
RN 293298-48-3 CAPLUS
CN 2(1H)-Pyridinone, 1-[2-(dimethylamino)propyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



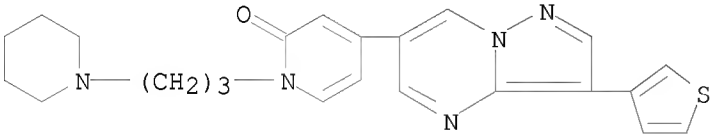
RN 293298-49-4 CAPLUS
CN 2(1H)-Pyridinone, 1-[1-(dimethylamino)-2-methylpropyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



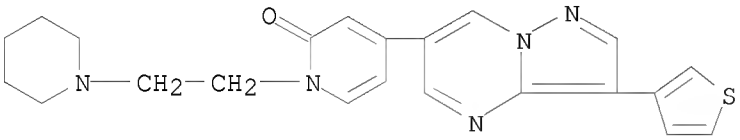
RN 293298-50-7 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[2-[2-oxo-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)-1(2H)-pyridinyl]ethyl]- (CA INDEX NAME)



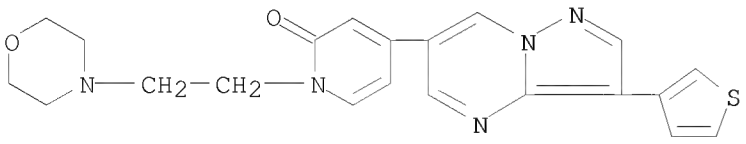
RN 293298-51-8 CAPLUS
CN 2(1H)-Pyridinone, 1-[3-(1-piperidinyl)propyl]-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



RN 293298-52-9 CAPLUS
CN 2(1H)-Pyridinone, 1-[2-(1-piperidinyl)ethyl]-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)

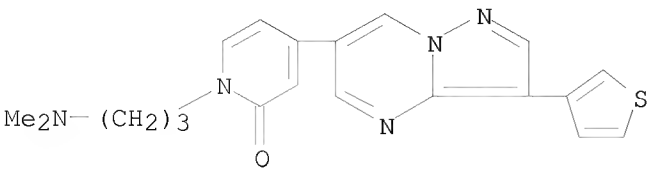


RN 293298-53-0 CAPLUS
CN 2(1H)-Pyridinone, 1-[2-(4-morpholinyl)ethyl]-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)

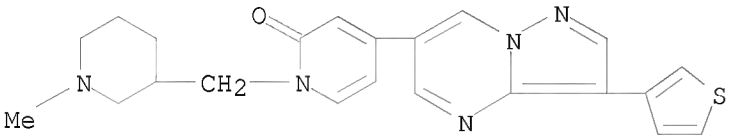


RN 293298-54-1 CAPLUS

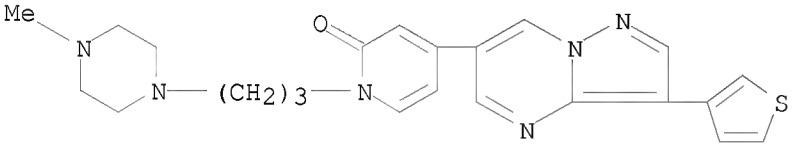
CN 2(1H)-Pyridinone, 1-[3-(dimethylamino)propyl]-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



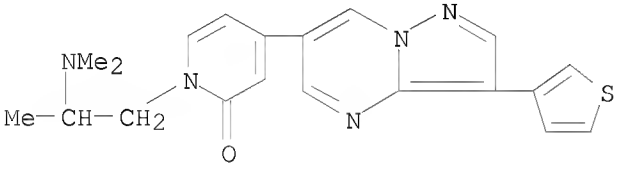
RN 293298-55-2 CAPLUS
CN 2(1H)-Pyridinone, 1-[(1-methyl-3-piperidinyl)methyl]-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



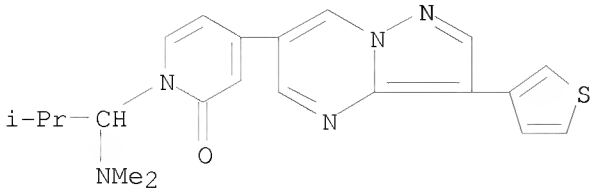
RN 293298-56-3 CAPLUS
CN 2(1H)-Pyridinone, 1-[3-(4-methyl-1-piperazinyl)propyl]-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



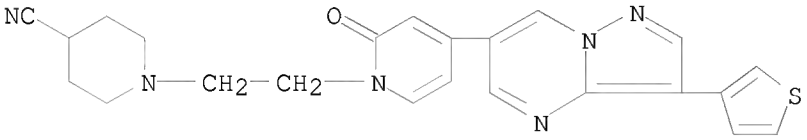
RN 293298-57-4 CAPLUS
CN 2(1H)-Pyridinone, 1-[2-(dimethylamino)propyl]-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



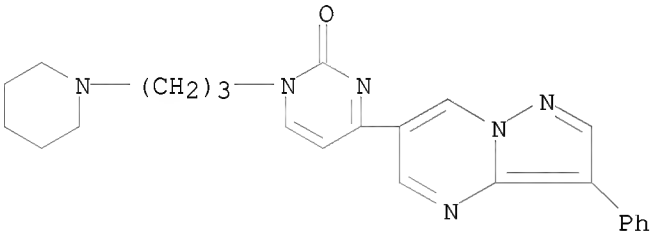
RN 293298-58-5 CAPLUS
CN 2(1H)-Pyridinone, 1-[1-(dimethylamino)-2-methylpropyl]-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



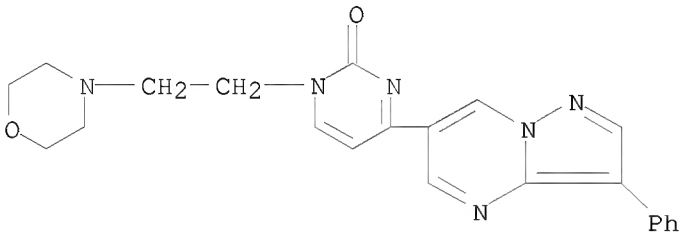
RN 293298-59-6 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[2-[2-oxo-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]-1(2H)-pyridinyl]ethyl]- (CA INDEX NAME)



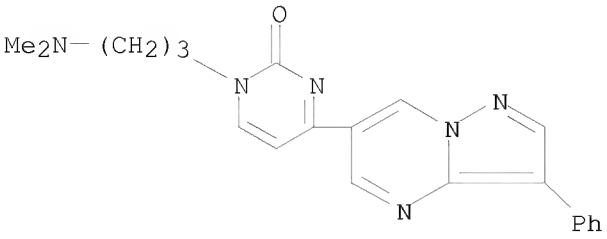
RN 293298-60-9 CAPLUS
CN 2(1H)-Pyrimidinone, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)-1-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)



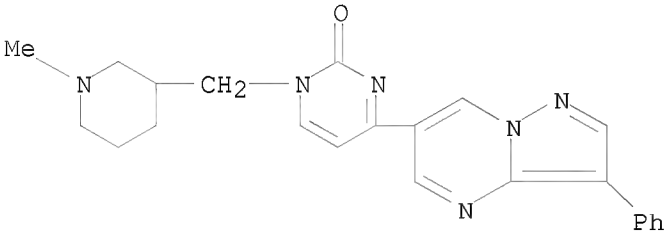
RN 293298-61-0 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[2-(4-morpholinyl)ethyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



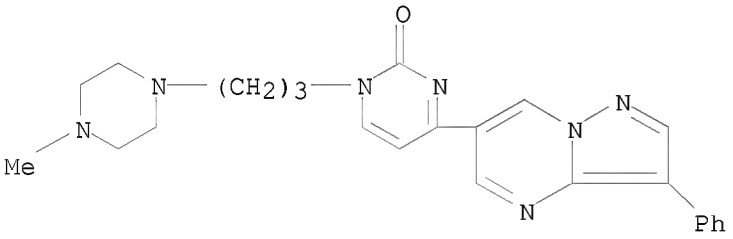
RN 293298-62-1 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[3-(dimethylamino)propyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



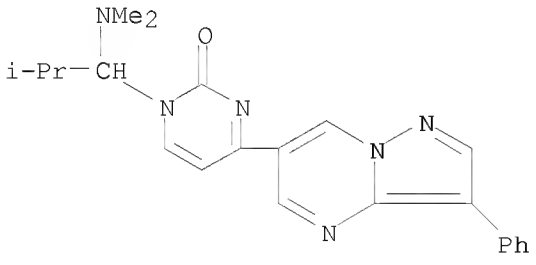
RN 293298-63-2 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[(1-methyl-3-piperidinyl)methyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



RN 293298-64-3 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[3-(4-methyl-1-piperazinyl)propyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)

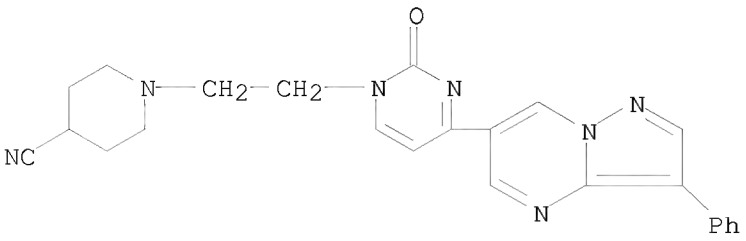


RN 293298-66-5 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[1-(dimethylamino)-2-methylpropyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)

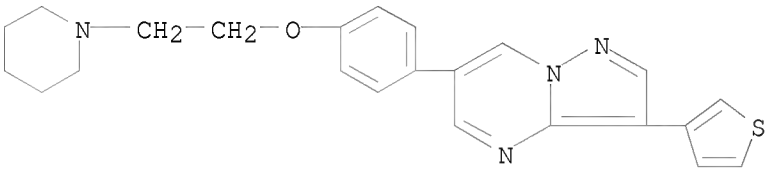


RN 293298-67-6 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[2-[2-oxo-4-(3-phenylpyrazolo[1,5-a]pyrimidin-

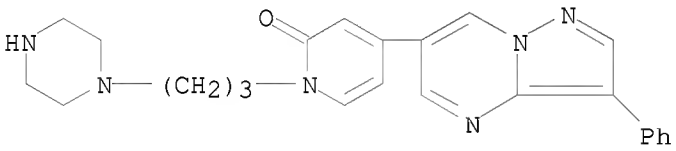
6-yl)-1(2H)-pyrimidinyl]ethyl]- (CA INDEX NAME)



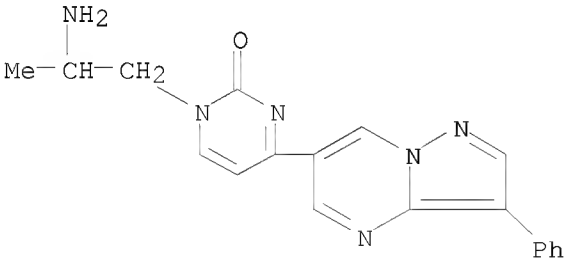
RN 408501-94-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-[4-[2-(1-piperidinyl)ethoxy]phenyl]-3-(3-thienyl)- (CA INDEX NAME)



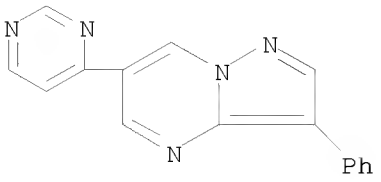
RN 408502-01-2 CAPLUS
CN 2(1H)-Pyridinone, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)-1-[3-(1-piperazinyl)propyl]- (CA INDEX NAME)



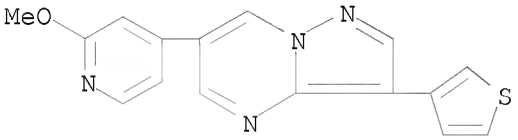
RN 408502-02-3 CAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-aminopropyl)-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



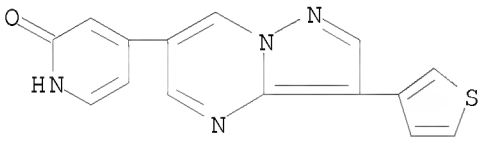
RN 408502-08-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-phenyl-6-(4-pyrimidinyl)- (CA INDEX NAME)



IT 408502-24-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(treatment of cancer with a prostate specific antigen (PSA) conjugate and an inhibitor of angiogenesis)
RN 408502-24-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(2-methoxy-4-pyridinyl)-3-(3-thienyl)- (CA INDEX NAME)

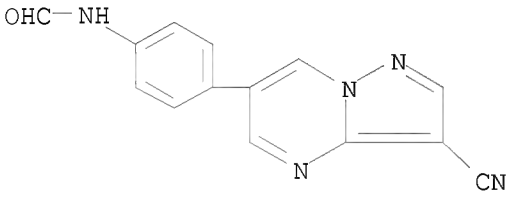


IT 408502-25-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(treatment of cancer with a prostate specific antigen (PSA) conjugate and an inhibitor of angiogenesis)
RN 408502-25-0 CAPLUS
CN 2(1H)-Pyridinone, 4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)

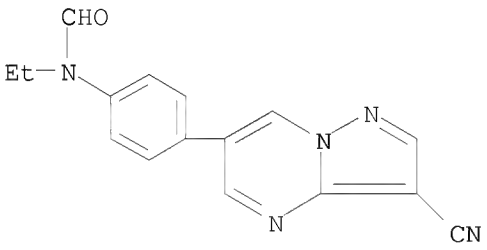


AB The invention relates to methods of treating cancer using a combination of a compound which is a PSA conjugate and a compound which is an inhibitor of angiogenesis and to methods of preparing such compns. The PSA conjugate comprises an oligopeptide that is selectively cleaved by PSA and a cytotoxic agents. An example of a PSA conjugate is N-Ac-(4-trans-L-Hyp)-Ala-Ser-Chg-Gln-Ser-Leu-Dox (Dox = doxorubicin, Hyp = hydroxyproline, Chg = cyclohexylglycine) and 3-(3-thienyl)-6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidine is an example of an angiogenesis inhibitor (syntheses given).

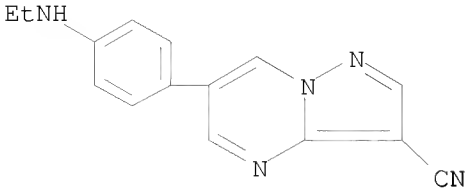
L5 ANSWER 23 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:779591 CAPLUS
DOCUMENT NUMBER: 136:200155
TITLE: Synthesis of pyrazolo[1,5-a]-, 1,2,4-triazolo[1,5-a]- and imidazo[1,2-a]pyrimidines related to zaleplon, a new drug for the treatment of insomnia
AUTHOR(S): Mustazza, Carlo; Del Giudice, Maria Rosaria; Borioni, Anna; Gatta, Franco
CORPORATE SOURCE: Laboratorio di Chimica del Farmaco, Istituto Superiore di Sanita, Rome, 00161, Italy
SOURCE: Journal of Heterocyclic Chemistry (2001), 38(5), 1119-1129
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:200155
IT 400759-64-0P 400759-66-2P 400759-67-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of pyrazolo[1,5-a]-, 1,2,4-triazolo[1,5-a]- and imidazo[1,2-a]pyrimidines and benzopyrazolo- and benzotriazoloquinazolines)
RN 400759-64-0 CAPLUS
CN Formamide, N-[4-(3-cyanopyrazolo[1,5-a]pyrimidin-6-yl)phenyl]- (CA INDEX NAME)



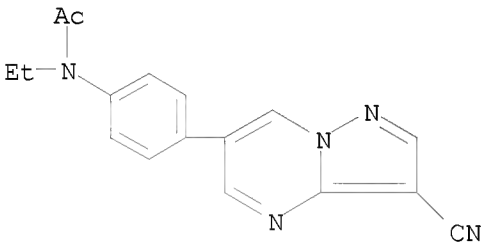
RN 400759-66-2 CAPLUS
CN Formamide, N-[4-(3-cyanopyrazolo[1,5-a]pyrimidin-6-yl)phenyl]-N-ethyl- (CA INDEX NAME)



RN 400759-67-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 6-[4-(ethylamino)phenyl]- (CA INDEX NAME)

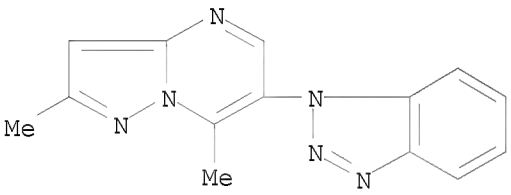


IT 400759-68-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of pyrazolo[1,5-a]-, 1,2,4-triazolo[1,5-a]- and imidazo[1,2-a]pyrimidines and benzopyrazolo- and benzotriazoloquinazolines)
RN 400759-68-4 CAPLUS
CN Acetamide, N-[4-(3-cyanopyrazolo[1,5-a]pyrimidin-6-yl)phenyl]-N-ethyl- (CA INDEX NAME)



AB The preparation of some pyrazolo[1,5-a]-, 1,2,4-triazolo[1,5-a]- and imidazo[1,2-a]-pyrimidines substituted on the pyrimidine moiety by a 4-[(N-acetyl-N-ethyl)amino]phenyl group is described. A new synthesis of related benzo[h]pyrazolo[1,5-a]-, benzo[h]pyrazolo[5,1-b]- and benzo[h]1,2,4-triazolo[1,5-a]-quinazolines is also reported.
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 24 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:825367 CAPLUS
DOCUMENT NUMBER: 134:131488
TITLE: Studies with 1-functionally substituted alkylbenzotriazoles: an efficient route for the synthesis of 1-azolylbenzotriazoles, benzotriazolylazines, and benzotriazolylazoloazines
AUTHOR(S): Al-Omran, Fatima
CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Kuwait University, Safat, 13060, Kuwait
SOURCE: Journal of Heterocyclic Chemistry (2000), 37(5), 1219-1223
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:131488
IT 321865-06-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of azolylbenzotriazoles, benzotriazolylazines, and benzotriazolylazoloazines)
RN 321865-06-9 CAPLUS
CN 1H-Benzotriazole, 1-(2,7-dimethylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)

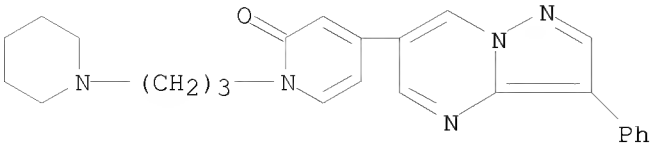


AB A new approach to the synthesis of pyrazole, isoxazoles, pyridines, and pyrazolo[1,5-a]pyrimidines is reported. The structures of the newly synthesized compds. were elucidated by elemental anal., IR and 1H NMR, and in some cases by 13C NMR.
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

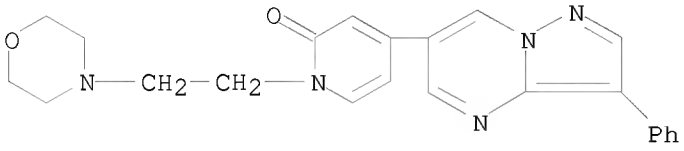
L5 ANSWER 25 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:646013 CAPLUS
DOCUMENT NUMBER: 133:238017
TITLE: Preparation of pyrazolo[1,5-a]pyrimidines as tyrosine kinase inhibitors
INVENTOR(S): Bilodeau, Mark T.; Fraley, Mark E.; Hungate, Randall W.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000053605	A1	20000914	WO 2000-US5903		20000308
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 6245759	B1	20010612	US 2000-519780		20000307
CA 2366644	A1	20000914	CA 2000-2366644		20000308
EP 1161433	A1	20011212	EP 2000-914843		20000308
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002539126	T	20021119	JP 2000-604041		20000308
US 6544988	B1	20030408	US 2001-914985		20010906
PRIORITY APPLN. INFO.:			US 1999-123902P	P	19990311
			WO 2000-US5903	W	20000308

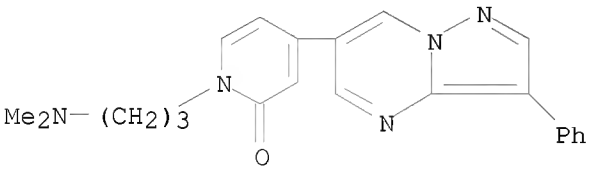
OTHER SOURCE(S): MARPAT 133:238017
IT 293298-43-8P 293298-44-9P 293298-45-0P
293298-46-1P 293298-47-2P 293298-48-3P
293298-49-4P 293298-50-7P 293298-51-8P
293298-52-9P 293298-53-0P 293298-54-1P
293298-55-2P 293298-56-3P 293298-57-4P
293298-58-5P 293298-59-6P 293298-60-9P
293298-61-0P 293298-62-1P 293298-63-2P
293298-64-3P 293298-65-4P 293298-66-5P
293298-67-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolo[1,5-a]pyrimidines as tyrosine kinase inhibitors)
RN 293298-43-8 CAPLUS
CN 2(1H)-Pyridinone, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)-1-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)



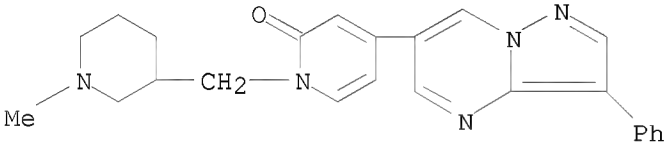
RN 293298-44-9 CAPLUS
CN 2(1H)-Pyridinone, 1-[2-(4-morpholinyl)ethyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



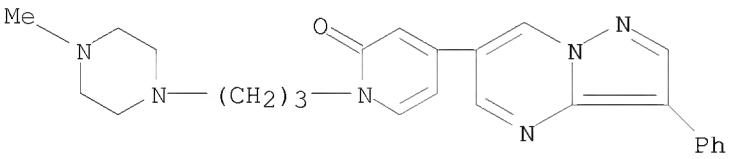
RN 293298-45-0 CAPLUS
CN 2(1H)-Pyridinone, 1-[3-(dimethylamino)propyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



RN 293298-46-1 CAPLUS
CN 2(1H)-Pyridinone, 1-[(1-methyl-3-piperidinyl)methyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)

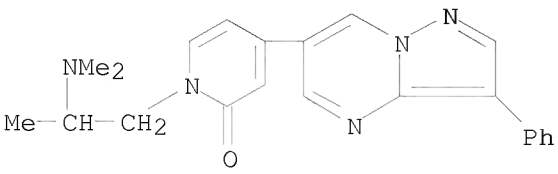


RN 293298-47-2 CAPLUS
CN 2(1H)-Pyridinone, 1-[3-(4-methyl-1-piperazinyl)propyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)

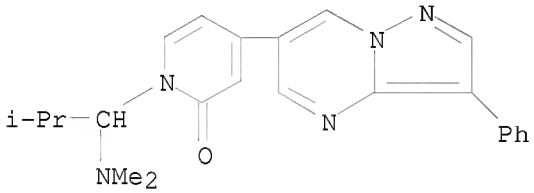


RN 293298-48-3 CAPLUS

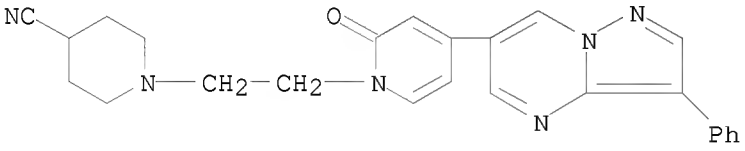
CN 2(1H)-Pyridinone, 1-[2-(dimethylamino)propyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



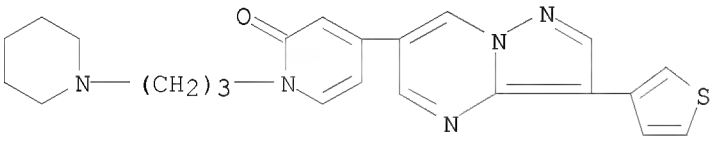
RN 293298-49-4 CAPLUS
CN 2(1H)-Pyridinone, 1-[1-(dimethylamino)-2-methylpropyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



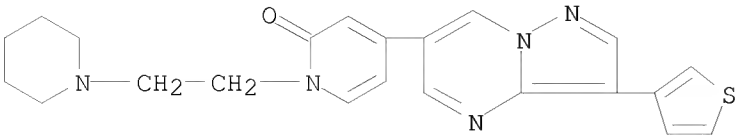
RN 293298-50-7 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[2-[2-oxo-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)-1(2H)-pyridinyl]ethyl]- (CA INDEX NAME)



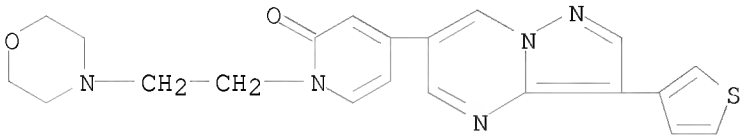
RN 293298-51-8 CAPLUS
CN 2(1H)-Pyridinone, 1-[3-(1-piperidinyl)propyl]-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



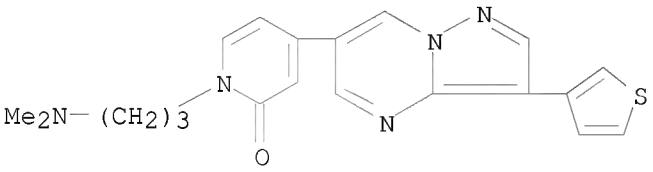
RN 293298-52-9 CAPLUS
CN 2(1H)-Pyridinone, 1-[2-(1-piperidinyl)ethyl]-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



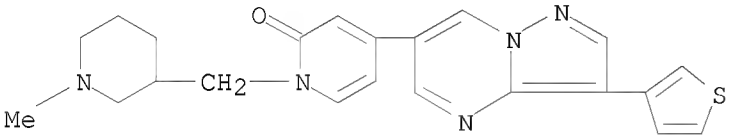
RN 293298-53-0 CAPLUS
CN 2(1H)-Pyridinone, 1-[2-(4-morpholinyl)ethyl]-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



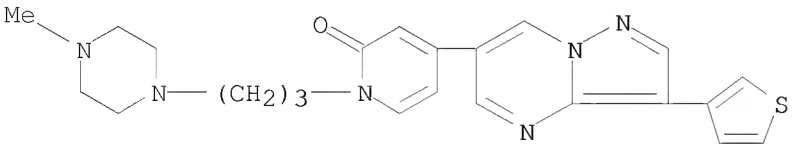
RN 293298-54-1 CAPLUS
CN 2(1H)-Pyridinone, 1-[3-(dimethylamino)propyl]-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



RN 293298-55-2 CAPLUS
CN 2(1H)-Pyridinone, 1-[(1-methyl-3-piperidinyl)methyl]-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)

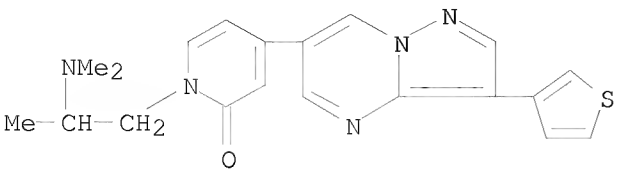


RN 293298-56-3 CAPLUS
CN 2(1H)-Pyridinone, 1-[3-(4-methyl-1-piperazinyl)propyl]-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)

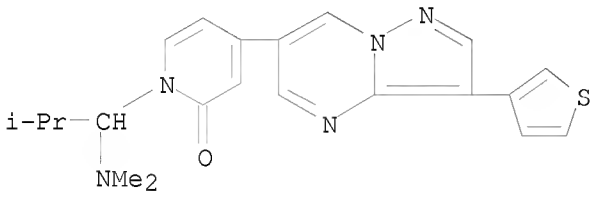


RN 293298-57-4 CAPLUS
CN 2(1H)-Pyridinone, 1-[2-(dimethylamino)propyl]-4-[3-(3-thienyl)pyrazolo[1,5-

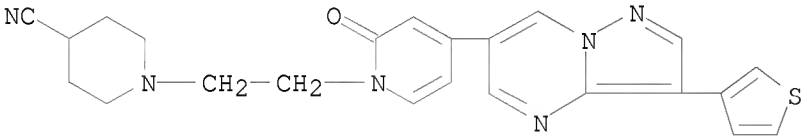
a]pyrimidin-6-yl]- (CA INDEX NAME)



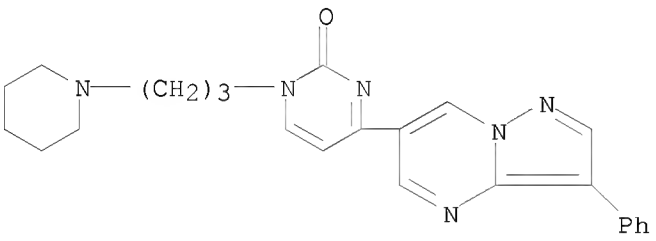
RN 293298-58-5 CAPLUS
CN 2(1H)-Pyridinone, 1-[1-(dimethylamino)-2-methylpropyl]-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)



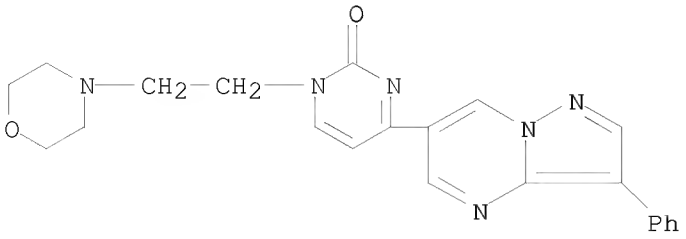
RN 293298-59-6 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[2-[2-oxo-4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]-1(2H)-pyridinyl]ethyl]- (CA INDEX NAME)



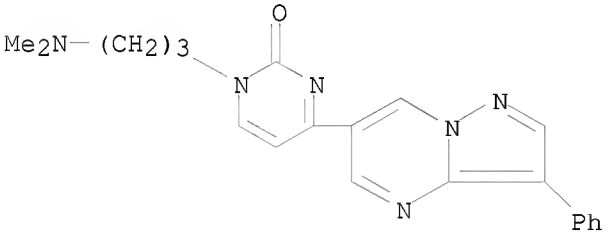
RN 293298-60-9 CAPLUS
CN 2(1H)-Pyrimidinone, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)-1-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)



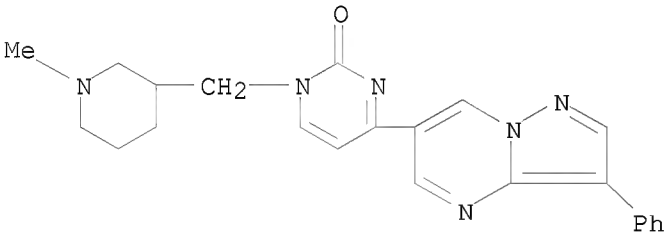
RN 293298-61-0 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[2-(4-morpholinyl)ethyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



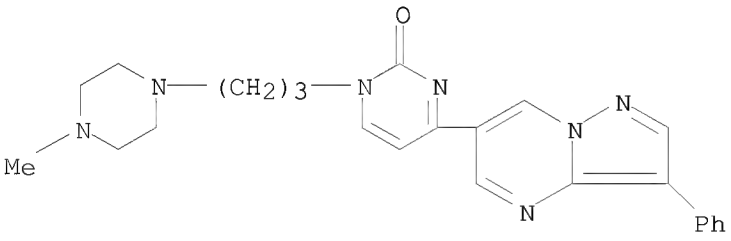
RN 293298-62-1 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[3-(dimethylamino)propyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



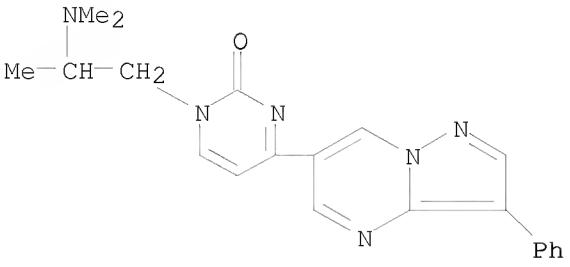
RN 293298-63-2 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[(1-methyl-3-piperidinyl)methyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



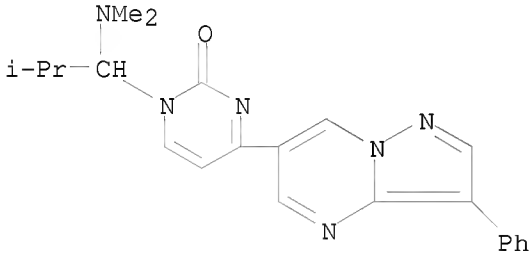
RN 293298-64-3 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[3-(4-methyl-1-piperazinyl)propyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



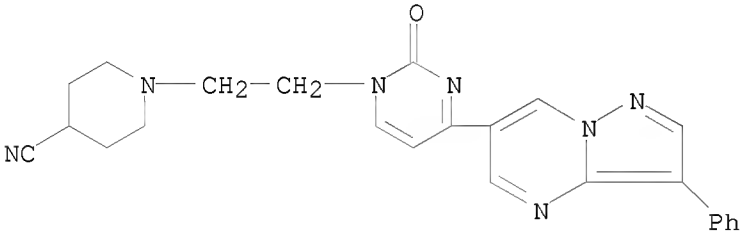
RN 293298-65-4 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[2-(dimethylamino)propyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



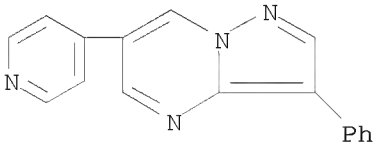
RN 293298-66-5 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[1-(dimethylamino)-2-methylpropyl]-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



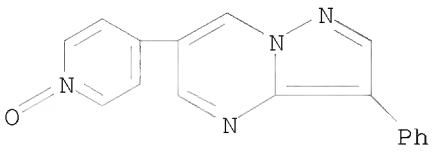
RN 293298-67-6 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[2-[2-oxo-4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)-1(2H)-pyrimidinyl]ethyl]- (CA INDEX NAME)



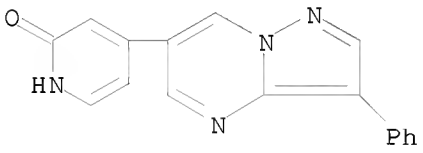
IT 216661-46-0P 293298-68-7P 293298-69-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolo[1,5-a]pyrimidines as tyrosine kinase inhibitors)
RN 216661-46-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-phenyl-6-(4-pyridinyl)- (CA INDEX NAME)



RN 293298-68-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(1-oxido-4-pyridinyl)-3-phenyl- (CA INDEX NAME)



RN 293298-69-8 CAPLUS
CN 2(1H)-Pyridinone, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



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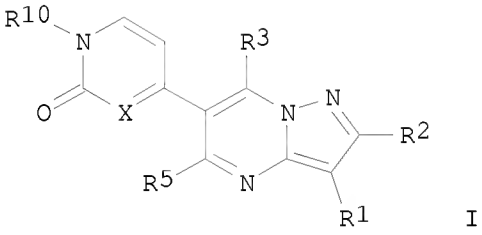
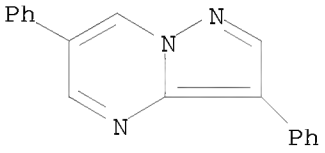


I

AB The title compds. [I; X = CH, N; R1, R3 = H, alkyl, alkenyl, etc.; R2 = H, alkyl, aryl, etc.; R5 = H, alkyl, OH, etc.; R10 = H, alkyl, NR7R8, etc.; R7, R8 = H, alkyl, aryl, etc.; NR7R8 = (un)saturated (un)substituted 5-10 membered heterocyclyl containing, in addition to the N atom, one to two addnl. heteroatoms selected from N, O, and S] which inhibit, regulate and/or modulate tyrosine kinase signal transduction, and therefore are useful in treating tyrosine kinase-dependent diseases and conditions, such as angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammatory diseases, and the like in mammals, were prepared E.g., a multi-step synthesis of I [X = CH; R1 = Ph; R2, R3, R5 = H; R10 = 3-(piperidin-1-yl)propyl] was given. Compds. I inhibit VEGF-stimulated mitogenesis of human vascular endothelial cells in culture with IC50 of 0.01-5.0 μM.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 26 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:71422 CAPLUS
DOCUMENT NUMBER: 132:207797
TITLE: Synthesis and BZR affinity of pyrazolo[1,5-a]pyrimidine derivatives. Part 1: Study of the structural features for BZR recognition
AUTHOR(S): Selleri, Silvia; Bruni, Fabrizio; Costagli, Camilla; Costanzo, Annarella; Guerrini, Gabriella; Ciciani, Giovanna; Costa, Barbara; Martini, Claudia
CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of Firenze, Florence, 50121, Italy
SOURCE: Bioorganic & Medicinal Chemistry (1999), 7(12), 2705-2711
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 79833-97-9P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(preparation and benzodiazepine receptor affinity of pyrazolopyrimidines and structure activity relationship)
RN 79833-97-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3,6-diphenyl- (CA INDEX NAME)

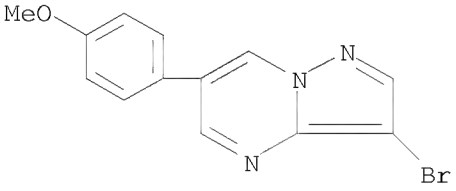


AB Examination of the earlier published pharmacophoric points of the pyrazolo[1,5-a]pyrimidine derivs. as ligands for benzodiazepine receptors (BZR) led to the design of a novel class of 3,6-diaryl-4,7-dihydropyrazolo[1,5-a]pyrimidin-7-ones and to the determination of structural features involved in the BZR recognition.
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 27 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:793092 CAPLUS
DOCUMENT NUMBER: 130:33028
TITLE: Tyrosine kinase-inhibiting pyrazolo[1,5-a]pyrimidine derivatives for angiogenesis inhibitors, preparation, and therapeutic use
INVENTOR(S): Bilodeau, Mark T.; Hungate, Randall W.; Kendall, Richard L.; Rutledge, Ruth; Thomas, Kenneth A., Jr.; Rubino, Robert; Fraley, Mark E.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Thomas, Kenneth A., Jr.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9854093	A1	19981203	WO 1998-US10590		19980526
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
CA 2291709	A1	19981203	CA 1998-2291709		19980526
AU 9875944	A	19981230	AU 1998-75944		19980526
EP 984692	A1	20000315	EP 1998-923719		19980526
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
JP 2002501532	T	20020115	JP 1999-500790		19980526
US 6235741	B1	20010522	US 1998-86152		19980528
US 6380203	B1	20020430	US 1999-424132		19991118
PRIORITY APPLN. INFO.:			US 1997-48076P	P	19970530
			GB 1998-681	A	19980114
			WO 1998-US10590	W	19980526

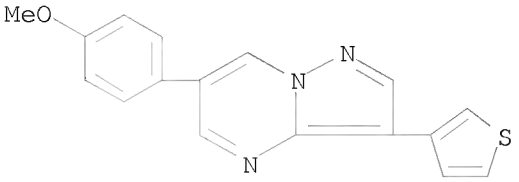
OTHER SOURCE(S): MARPAT 130:33028
IT 216661-83-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction; tyrosine kinase-inhibiting pyrazolopyrimidine derivs. for angiogenesis inhibitors, preparation, and therapeutic use)
RN 216661-83-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-bromo-6-(4-methoxyphenyl)- (CA INDEX NAME)



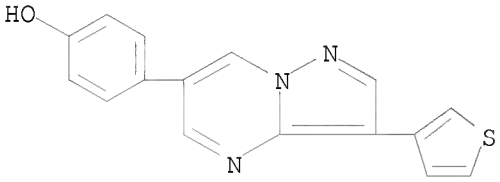
IT 216661-57-3P 216661-79-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(tyrosine kinase-inhibiting pyrazolopyrimidine derivs. for angiogenesis inhibitors, preparation, and therapeutic use)

RN 216661-57-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-(3-thienyl)- (CA INDEX NAME)

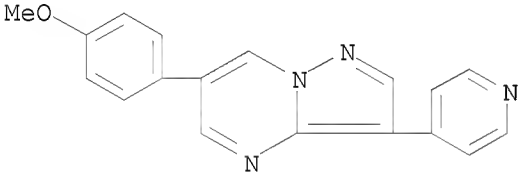


RN 216661-79-9 CAPLUS
CN Phenol, 4-[3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-6-yl]- (CA INDEX NAME)

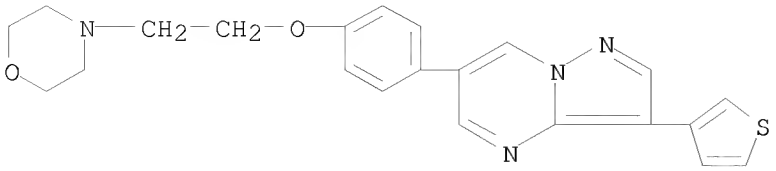


IT 216661-58-4P 216661-80-2P 216661-82-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tyrosine kinase-inhibiting pyrazolopyrimidine derivs. for angiogenesis inhibitors, preparation, and therapeutic use)

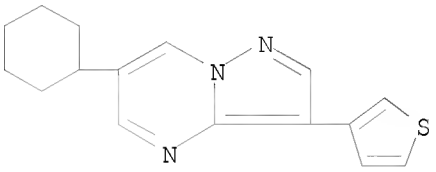
RN 216661-58-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-(4-pyridinyl)- (CA INDEX NAME)



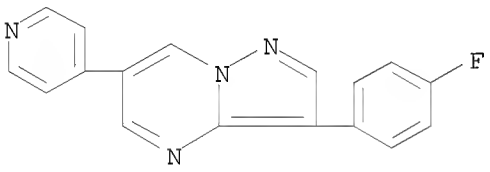
RN 216661-80-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-[4-[2-(4-morpholinyl)ethoxy]phenyl]-3-(3-thienyl)- (CA INDEX NAME)



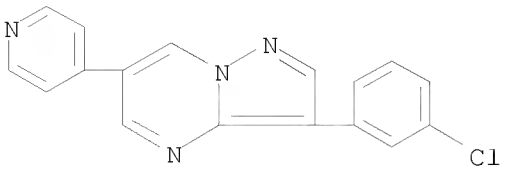
RN 216661-82-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-cyclohexyl-3-(3-thienyl)- (CA INDEX NAME)



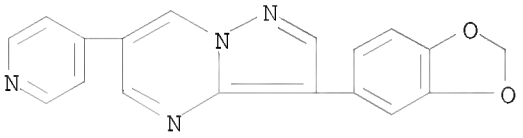
IT 216661-42-6 216661-44-8 216661-45-9
216661-46-0 216661-48-2 216661-49-3
216661-50-6 216661-51-7 216661-53-9
216661-54-0 216661-55-1 216661-59-5
216661-60-8 216661-61-9 216661-63-1
216661-64-2 216661-65-3 216661-66-4
216661-68-6 216661-70-0 216661-72-2
216661-76-6 216661-84-6 216661-85-7
216661-86-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tyrosine kinase-inhibiting pyrazolopyrimidine derivs. for angiogenesis inhibitors, preparation, and therapeutic use)
RN 216661-42-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-6-(4-pyridinyl)- (CA INDEX NAME)



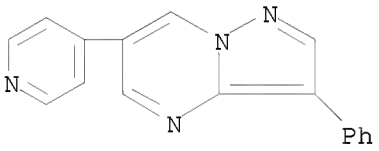
RN 216661-44-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-chlorophenyl)-6-(4-pyridinyl)- (CA INDEX NAME)



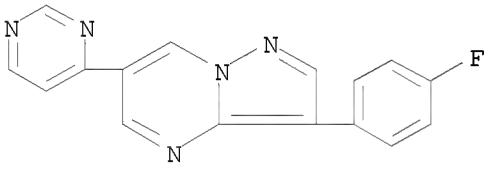
RN 216661-45-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(1,3-benzodioxol-5-yl)-6-(4-pyridinyl)- (CA INDEX NAME)



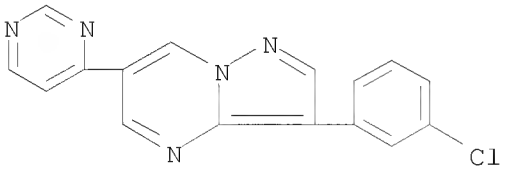
RN 216661-46-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-phenyl-6-(4-pyridinyl)- (CA INDEX NAME)



RN 216661-48-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-6-(4-pyrimidinyl)- (CA INDEX NAME)

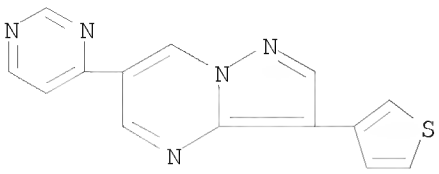


RN 216661-49-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-chlorophenyl)-6-(4-pyrimidinyl)- (CA INDEX NAME)

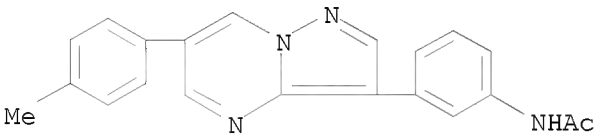


RN 216661-50-6 CAPLUS

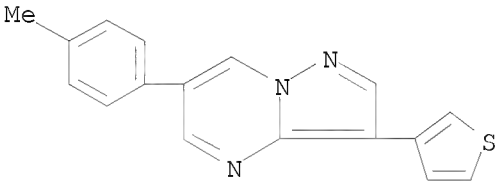
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-pyrimidinyl)-3-(3-thienyl)- (CA INDEX NAME)



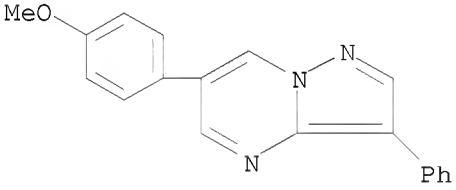
RN 216661-51-7 CAPLUS
CN Acetamide, N-[3-[6-(4-methylphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]phenyl]- (CA INDEX NAME)



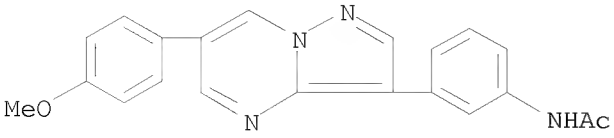
RN 216661-53-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methylphenyl)-3-(3-thienyl)- (CA INDEX NAME)



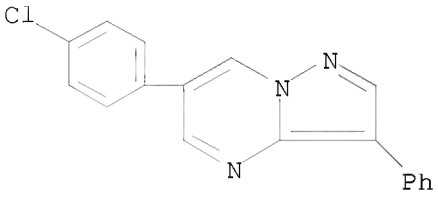
RN 216661-54-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-phenyl- (CA INDEX NAME)



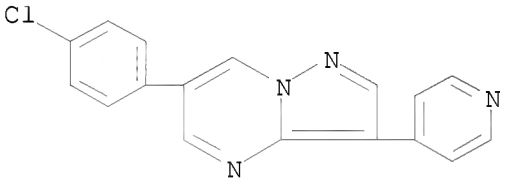
RN 216661-55-1 CAPLUS
CN Acetamide, N-[3-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]phenyl]- (CA INDEX NAME)



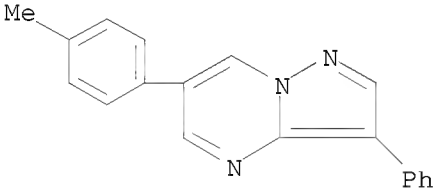
RN 216661-59-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-chlorophenyl)-3-phenyl- (CA INDEX NAME)



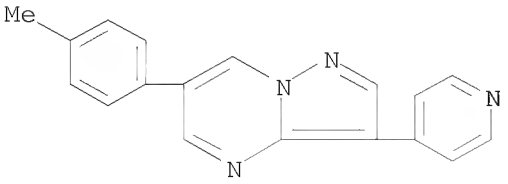
RN 216661-60-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-chlorophenyl)-3-(4-pyridinyl)- (CA INDEX NAME)



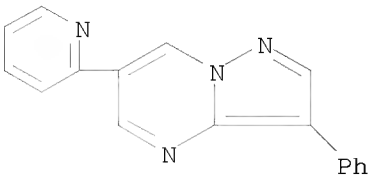
RN 216661-61-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methylphenyl)-3-phenyl- (CA INDEX NAME)



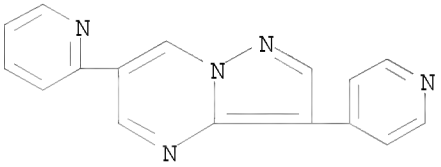
RN 216661-63-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methylphenyl)-3-(4-pyridinyl)- (CA INDEX NAME)



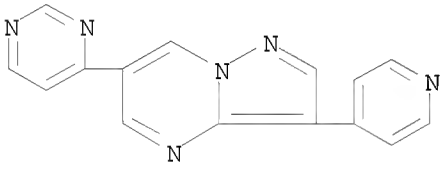
RN 216661-64-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-phenyl-6-(2-pyridinyl)- (CA INDEX NAME)



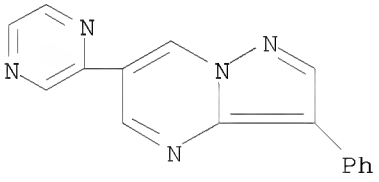
RN 216661-65-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(2-pyridinyl)-3-(4-pyridinyl)- (CA INDEX NAME)



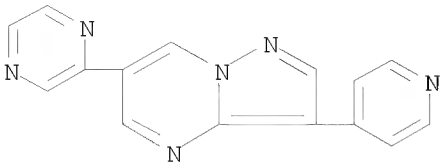
RN 216661-66-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-pyridinyl)-6-(4-pyrimidinyl)- (CA INDEX NAME)



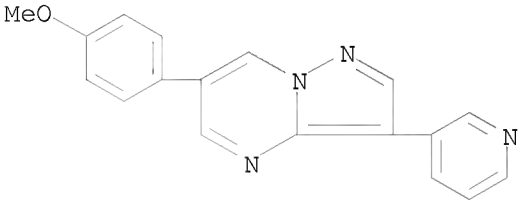
RN 216661-68-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-phenyl-6-pyrazinyl- (9CI) (CA INDEX NAME)



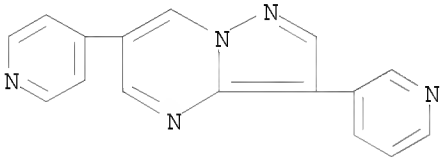
RN 216661-70-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-pyrazinyl-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



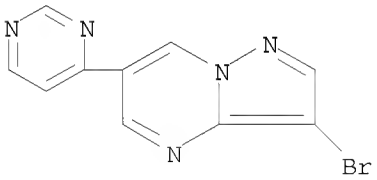
RN 216661-72-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-methoxyphenyl)-3-(3-pyridinyl)- (CA INDEX NAME)



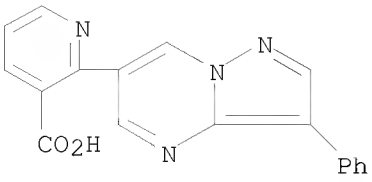
RN 216661-76-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-pyridinyl)-6-(4-pyridinyl)- (CA INDEX NAME)



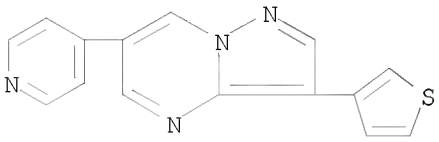
RN 216661-84-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-bromo-6-(4-pyrimidinyl)- (CA INDEX NAME)



RN 216661-85-7 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-(3-phenylpyrazolo[1,5-a]pyrimidin-6-yl)- (CA INDEX NAME)



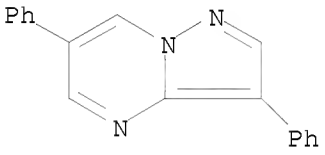
RN 216661-86-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(4-pyridinyl)-3-(3-thienyl)- (CA INDEX NAME)



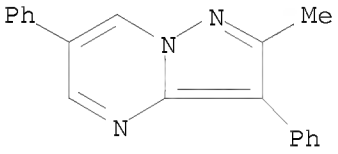
AB Pyrazolo[1,5-a]pyrimidine compds. are provided which inhibit tyrosine kinases. Also provided are compns. which contain the tyrosine kinase-inhibiting compds. and methods of using the tyrosine kinase inhibitors to treat tyrosine kinase-dependent diseases/conditions, e.g. angiogenesis, cancer, atherosclerosis, diabetic retinopathy or autoimmune diseases, in mammals. Preparation of selected pyrazolopyrimidine derivs. is included.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

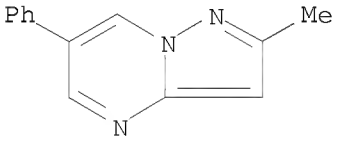
L5 ANSWER 28 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:38017 CAPLUS
DOCUMENT NUMBER: 124:202159
TITLE: Chemical and electrochemical reduction of some pyrazolo[1,5-a]pyrimidines
AUTHOR(S): Bellec, Christian; Lhommet, Gerard
CORPORATE SOURCE: Lab. Chimie Heterocycles, Univ. Marie Curie, Paris, 75252, Fr.
SOURCE: Journal of Heterocyclic Chemistry (1995), 32(6), 1793-800
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:202159
IT 79833-97-9P 79833-98-0P 79833-99-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(chemical and electrochem. reduction of pyrazolopyrimidines)
RN 79833-97-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3,6-diphenyl- (CA INDEX NAME)



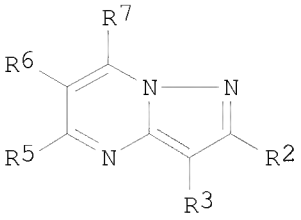
RN 79833-98-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-methyl-3,6-diphenyl- (CA INDEX NAME)



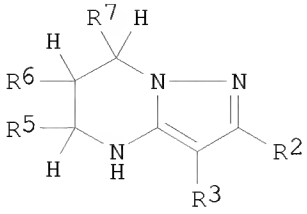
RN 79833-99-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-methyl-6-phenyl- (CA INDEX NAME)



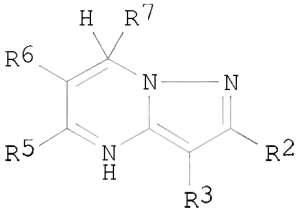
GI



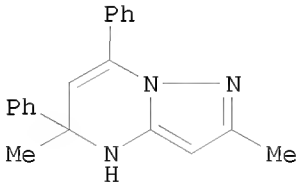
I



II



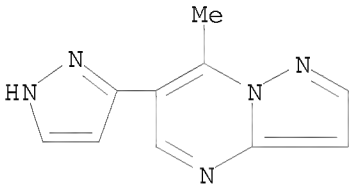
III



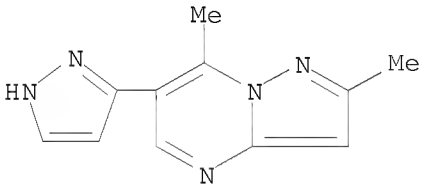
IV

AB Various pyrazolo[1,5-a]pyrimidines I (R2 = H, Me, Ph, R3 = Ph, H, R5 = H, Me, Ph, R6 = Ph, H, R7 = H, Me, Ph) are prepared by two different methods. Their chemical reduction by sodium borohydride leads generally to 4,5,6,7-tetrahydro compds. II, while lithium aluminum hydride yields 4,7-dihydro derivs. III at room temperature, and II in refluxing THF. A complex mixture of oxidizable hydrodimers is obtained by electrochem. reduction An electroredn. at a more neg. potential also gives 4,7-dihydro compds. III. A new 4,5-dihydropyrazolo[1,5-a]pyrimidine, IV, has been obtained by condensation of 5-amino-3-methyl-1H-pyrazole with acetophenone.

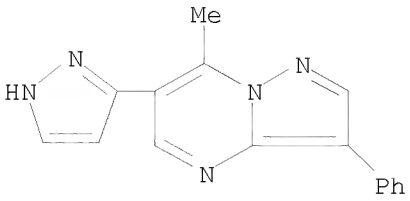
L5 ANSWER 29 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:981372 CAPLUS
DOCUMENT NUMBER: 124:175795
TITLE: New 2,3-substituted 4,7-dihydro-6-(1H-pyrazol-3-yl)pyrazolo[1,5-a]pyrimidin-7-ones and related compounds: synthesis and benzodiazepine receptor binding study
AUTHOR(S): Selleri, Silvia; Bruni, Fabrizio; Costanzo, Annarella; Guerrini, Gabriella; Casilli, Maria Lucia; Giusti, Laura; Lucacchini, Antonio; Martini, Claudia
CORPORATE SOURCE: Dip. Sci. Farm., Univ. Firenze, Florence, 50121, Italy
SOURCE: Farmaco (1995), 50(10), 679-87
CODEN: FRMCE8
PUBLISHER: Societa Chimica Italiana
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 157496-29-2P 157496-31-6P 173678-45-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and benzodiazepine receptor affinity of (pyrazolyl)pyrazolo[1,5-a]pyrimidinones)
RN 157496-29-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-methyl-6-(1H-pyrazol-3-yl)- (CA INDEX NAME)



RN 157496-31-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2,7-dimethyl-6-(1H-pyrazol-3-yl)- (CA INDEX NAME)



RN 173678-45-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-methyl-3-phenyl-6-(1H-pyrazol-3-yl)- (CA INDEX NAME)



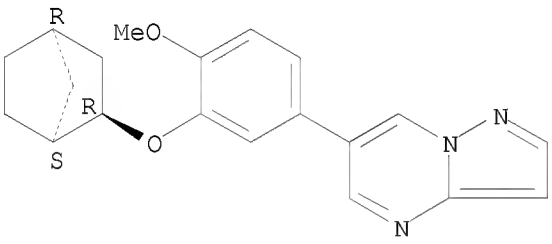
AB The reaction between 7-(dimethylaminovinyl)pyrazolo[1,5-a]pyrimidines and hydrazine in acetic acid was investigated. The structure of 4,7-dihydro-6-(1H-pyrazol-3-yl)pyrazolo[1,5-a]pyrimidin-7-ones and 7-methyl-6-(1H-pyrazol-3-yl)pyrazolo[1,5-a]pyrimidines were determined and a pathway of this reaction was suggested. The in vitro benzodiazepine receptor (BzR) affinity of the title compds. were determined by testing their ability to displace 3H-flunitrazepam from its specific binding in bovine brain membranes. The IC50 and GABA (γ-aminobutyric acid) ratio values give valuable indications about affinity and behavioral profile of these new BzR ligands. Included in this investigation are indicated several structure-affinity relationships of the title compds.

L5 ANSWER 30 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:931617 CAPLUS
DOCUMENT NUMBER: 124:146130
TITLE: [Alkoxy[(polycycloalkyl)oxy- and -amino]phenyl]heterocyclic calcium independent c-AMP phosphodiesterase inhibitor antidepressants
INVENTOR(S): Saccomano, Nicholas A.; Vinick, Fredric J.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 29 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5459145	A	19951017	US 1988-155932	19880119
US 5128358	A	19920707	US 1991-696690	19910530
US 5196426	A	19930323	US 1992-854136	19920319
US 5294730	A	19940315	US 1992-984190	19921120
US 5414127	A	19950509	US 1994-184092	19940119

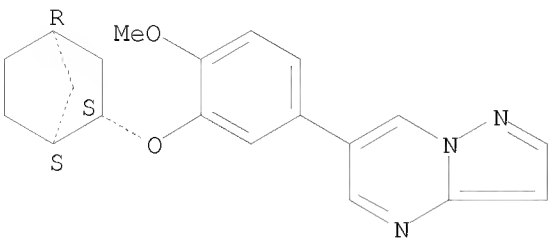
PRIORITY APPLN. INFO.: US 1988-155932 A3 19880119
US 1991-696690 A3 19910830
US 1992-854136 A3 19920319
US 1992-984190 A3 19921120
OTHER SOURCE(S): MARPAT 124:146130
IT 173253-01-5P 173253-02-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
([alkoxy[(polycycloalkyl)oxy- and -amino]phenyl]heterocyclic calcium independent c-AMP phosphodiesterase inhibitor antidepressants)
RN 173253-01-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-[3-(bicyclo[2.2.1]hept-2-yloxy)-4-methoxyphenyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

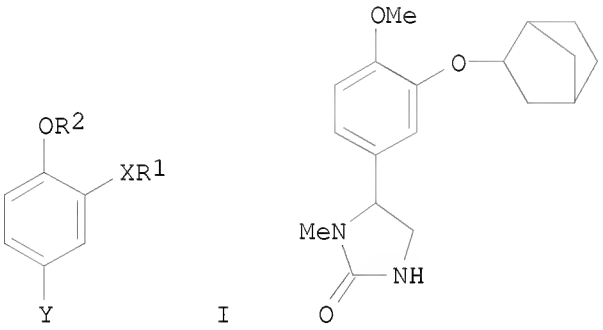


RN 173253-02-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-[3-(bicyclo[2.2.1]hept-2-yloxy)-4-methoxyphenyl]-, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



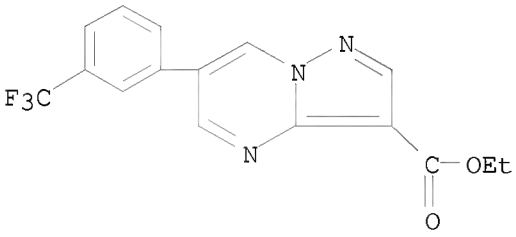
GI



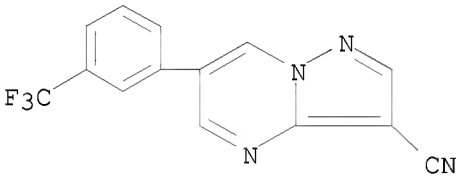
I II

AB Title compds. I wherein R1 is selected from the group consisting of bicyclo[2.2.1]heptyl, bicyclo[2.2.2]octyl, bicyclo[3.2.1]octyl, tricyclo[5.2.1.02,6]decyl, tricyclo[3.3.1.13,7]decyl and indanyl; R2 is Me or Et, X is O or NH; and Y comprises a 5- or 6-membered heterocyclic ring having one or two nitrogens; or fused bicyclic heterocyclic rings having a total of three nitrogen atoms, one in each ring and one angular nitrogen (no data for antidepressant activity) are prepared as antidepressant agents (no data). Thus, e.g., treatment of 3-(bicyclo[2.2.1]hept-2-yloxy)-4-methoxybenzaldehyde (7:3 endo:exo mixture, preparation given) with NaCN/methylamine hydrochloride afforded a 7:3 endo:exo mixture of cyanoamines; the latter were reduced to 2-methylamino-2-[3-(bicyclo[2.2.1]hept-2-yloxy)-4-methoxyphenyl]ethylamine as a 7:3 endo to exo mixture and cyclized to 1-methyl-5-[3-(bicyclo[2.2.1]hept-2-yloxy)-4-methoxyphenyl]-2-imidazolidinone (II; 17.8%).

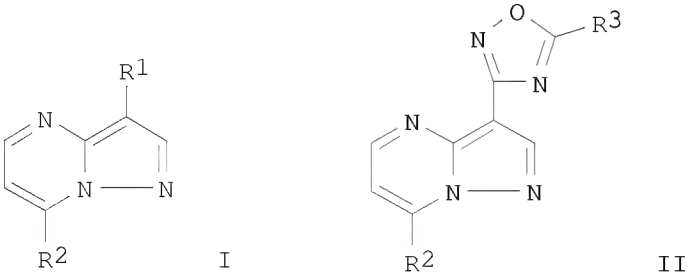
L5 ANSWER 31 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:700841 CAPLUS
DOCUMENT NUMBER: 121:300841
TITLE: Oxadiazoles as bioisosteric transformations of carboxylic functionalities. Part I
AUTHOR(S): Andersen, K. E.; Joergensen, A. S.; Braestrup, C.
CORPORATE SOURCE: Novo Nordisk, A/S, CNS Division, Maaloev, 2760, Den.
SOURCE: European Journal of Medicinal Chemistry (1994), 29(5), 393-9
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:300841
IT 159224-03-0P 159224-04-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and benzodiazepine receptor activity of)
RN 159224-03-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 6-[3-(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)



RN 159224-04-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 6-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



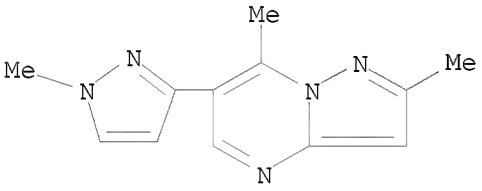
GI



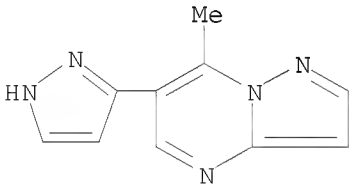
I II

AB Cyclocondensation of aminopyrazoles with appropriate 3-(dimethylamino)-1-aryl-2-propen-1-ones gave 51-86% pyrazolo[1,5-a]pyrimidines I (R1 = cyano, CO2Et, R2 = 4-F3CC6H4, Ph, 3-thienyl, etc.). Reaction of nitriles I with hydroxylamine in aqueous ethanol gave crude 56-93% amidoximes which on heating with an acid chloride or anhydride afforded 65-81% oxadiazole derivs. II (R3 = Me, cyclopropyl, CF3, R2 = same). Some pyrrolopyrimidines were also prepared and the prepared compds. were tested as benzodiazepine receptors.

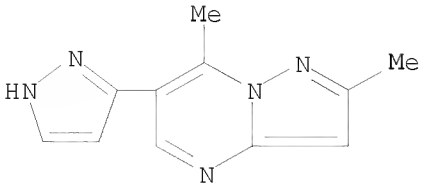
L5 ANSWER 32 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:557612 CAPLUS
DOCUMENT NUMBER: 121:157612
TITLE: Chemistry of substituted pyrazolo[1,5-a]pyrimidines. Part 4. Structural correction of a series of pyrazolo[5',1':2,3]pyrimido[5,4-d][1,2]diazepines on the basis of NMR spectroscopy and x-ray diffraction analysis
AUTHOR(S): Chimichi, Stefano; Cosimelli, Barbara; Bruni, Fabrizio; Selleri, Silvia; Costanzo, Annarella; Guerrini, Gabriella; Valle, Giovanni
CORPORATE SOURCE: Dip. Chim. Org., FLorence, I-50121, Italy
SOURCE: Journal of the Chemical Society, Perkin Transactions 2: Physical Organic Chemistry (1972-1999) (1994), (7), 1657-60
CODEN: JCPKBH; ISSN: 0300-9580
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 157496-30-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and mol. structure)
RN 157496-30-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2,7-dimethyl-6-(1-methyl-1H-pyrazol-3-yl)- (CA INDEX NAME)



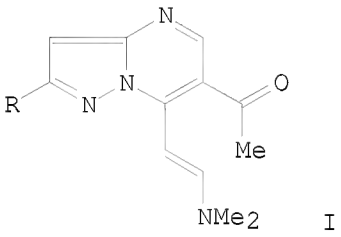
IT 157496-29-2P 157496-31-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, from acetyl[(2-dimethylamino)vinyl]pyrazolo[1,5-a]pyrimidine)
RN 157496-29-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-methyl-6-(1H-pyrazol-3-yl)- (CA INDEX NAME)



RN 157496-31-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2,7-dimethyl-6-(1H-pyrazol-3-yl)- (CA INDEX NAME)



GI



I

AB The reaction of 6-acetyl-7-(2-dimethylaminovinyl)pyrazolo[1,5-a]pyrimidines I (R = H, Me) with hydrazine hydrate were studied and the nature of the reaction product unambiguously established from both NMR spectroscopy and x-ray diffraction. Thus, 7-Methyl-6-(pyrazol-3'-yl)pyrazolo[1,5-a]pyrimidines and not, as formerly claimed, 6-methylpyrazolo[5',1':2,3]pyrimido[5,4-d][1,2]diazepines are the final products in the reaction I. The structures of compds. 7-Methyl-6-(pyrazol-3'-yl)pyrazolo[1,5-a]pyrimidines were derived from x-ray structure studies. The literature assignments for the quaternary C resonances were revised and the signals unambiguously attributed by 2-dimensional expts.

L5 ANSWER 33 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1988:529006 CAPLUS
DOCUMENT NUMBER: 109:129006
TITLE: Preparation of N-heterocyclic compounds as calcium-independent cAMP phosphodiesterase inhibitor antidepressants
INVENTOR(S): Saccomano, Nicholas A.; Vinick, Fredric J.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8706576	A1	19871105	WO 1986-US958	19860429
W: FI, HU, NO, SU, US				
HU 63150	A2	19930728	HU 1986-3111	19860429
HU 215433	B	20000528		
IN 167587	A1	19901117	IN 1987-DE107	19870211
EP 247725	A2	19871202	EP 1987-303563	19870423
EP 247725	A3	19900117		
EP 247725	B1	19940302		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 102189	T	19940315	AT 1987-303563	19870423
ES 2061492	T3	19941216	ES 1987-303563	19870423
JP 62281864	A	19871207	JP 1987-104168	19870427
JP 06045602	B	19940615		
PL 153225	B1	19910329	PL 1987-265397	19870427
IL 82342	A	19920329	IL 1987-82342	19870427
CA 1331606	C	19940823	CA 1987-535594	19870427
CZ 280146	B6	19951115	CZ 1987-2989	19870427
DK 8702150	A	19871030	DK 1987-2150	19870428
DK 172033	B1	19970922		
CN 87103225	A	19871111	CN 1987-103225	19870428
CN 1018827	B	19921028		
AU 8772142	A	19871210	AU 1987-72142	19870428
AU 576448	B2	19880825		
ZA 8703014	A	19881228	ZA 1987-3014	19870428
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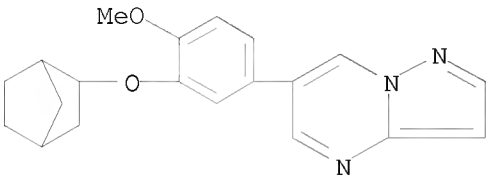
SU 1681725	A3	19910930	SU 1987-4203876	19871214
FI 8705660	A	19871222	FI 1987-5660	19871222
FI 94341	B	19950515		
FI 94341	C	19950825		
FI 8705724	A	19871228	FI 1987-5724	19871228
NO 8705440	A	19871228	NO 1987-5440	19871228
NO 173138	B	19930726		
NO 173138	C	19931103		
SU 1646488	A3	19910430	SU 1988-4613064	19881212
SU 1653542	A3	19910530	SU 1988-4613133	19881222
IN 167628	A1	19901124	IN 1989-DE214	19890308
IN 167629	A1	19901124	IN 1989-DE215	19890308
IN 167630	A1	19901124	IN 1989-DE216	19890308
PRIORITY APPLN. INFO.:			WO 1986-US958	19860429
			IN 1987-DE107 A	19870211

OTHER SOURCE(S): MARPAT 109:129006

IT 115898-15-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antidepressant)

RN 115898-15-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 6-[3-(bicyclo[2.2.1]hept-2-yloxy)-4-methoxyphenyl]- (CA INDEX NAME)



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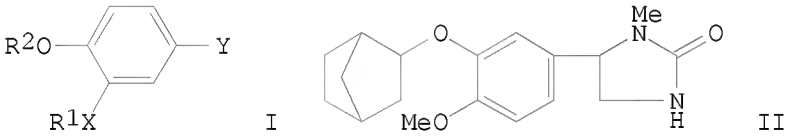
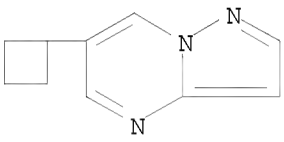
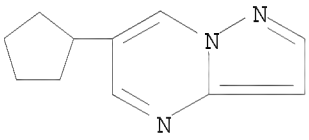


AB The title compds. [I; R1 = C7-11 polycycloalkyl; R2 = Me, Et; X = O, S; Y = (un)substituted 5- or 6-membered heterocyclyl containing 2 N atoms or a bicyclic heterocyclyl containing 3 N atoms, 1 in each ring and an angular N], their racemic-diastereomeric mixts., optical isomers, and their pharmaceutically acceptable salts were prepared as Ca-independent cAMP phosphodiesterase inhibitors (no data), useful as antidepressants. 3,4-HO(MeO)C6H3CHO was etherified with exo-2-bromonorbornane to give a mixture of endo- and exo-I (R1 = Me, R2 = 2-norbornyl, X = O, Y = CHO) which was treated with NaCN and MeNH2 in EtOH to give a mixture of endo- and exo-I [R2 = Me, R2 = 2-norbornyl, X = O, Y = CH(CN)NHMe]. The latter was reduced with (Me2CHCH2)2AlH to the diamine which was stirred with 1,1'-carbonyldiimidazole in THF to give [(norbornyloxy]phenyl]imidazolidin one II as a mixture of 2 pairs of diastereomers.

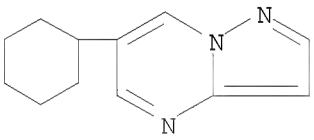
L5 ANSWER 34 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1984:209193 CAPLUS
DOCUMENT NUMBER: 100:209193
ORIGINAL REFERENCE NO.: 100:31751a,31754a
TITLE: Syntheses with aliphatic dialdehydes, XXXVIII. Synthesis and properties of cycloalkylmalonaldehydes
AUTHOR(S): Reichardt, Christian; Ferwanah, Abdel Rahman; Pressler, Wilfried; Yun, Kyeong Yeol
CORPORATE SOURCE: Fachber. Chem., Univ. Marburg, Marburg, D-3550, Fed. Rep. Ger.
SOURCE: Liebigs Annalen der Chemie (1984), (4), 649-79
CODEN: LACHDL; ISSN: 0170-2041
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 100:209193
IT 90253-53-5P 90253-54-6P 90253-55-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 90253-53-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-cyclobutyl- (CA INDEX NAME)



RN 90253-54-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-cyclopentyl- (CA INDEX NAME)



RN 90253-55-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-cyclohexyl- (CA INDEX NAME)



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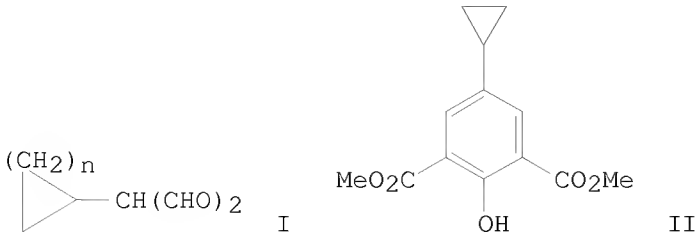
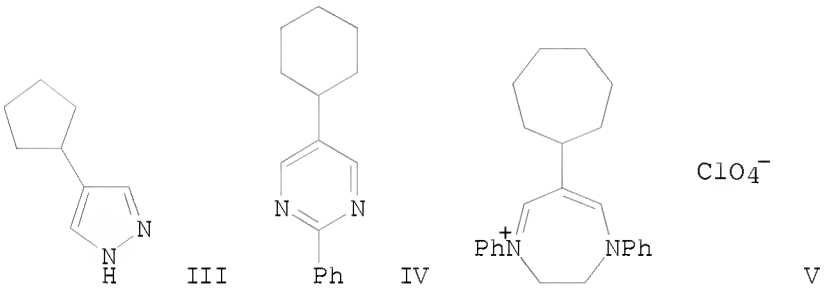
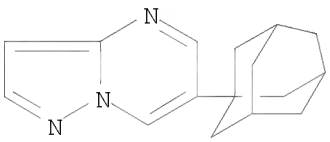




AB Vilsmeier formylation of cycloalkyl substituted enol ethers gave cycloalkylmalonaldehydes I (n = 1-5) for the first time. In solution I exist in the (E)-s-(E) enol form as vinylogous carboxylic acids. Reaction of I with electrophiles and nucleophiles gave cycloalkyl substituted open-chain, carbocyclic, e.g. II, and heterocyclic compds., e.g. III, IV, and V, with peculiar properties due to the presence of the lipophilic cycloalkyl group.

L5 ANSWER 35 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1983:71534 CAPLUS
DOCUMENT NUMBER: 98:71534
ORIGINAL REFERENCE NO.: 98:10935a,10938a
TITLE: Syntheses with aliphatic dialdehydes. XXXV. Syntheses with 1- and 2-adamantylmalonaldehyde
AUTHOR(S): Reichardt, Christian; Wuerthwein, Ernst Ulrich
CORPORATE SOURCE: Fachber. Chem., Univ. Marburg, Marburg, D-3550, Fed. Rep. Ger.
SOURCE: Zeitschrift fuer Naturforschung, Teil B: Anorganische Chemie, Organische Chemie (1982), 37B(9), 1187-95
CODEN: ZNBAD2; ISSN: 0340-5087
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 98:71534
IT 84396-70-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 84396-70-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



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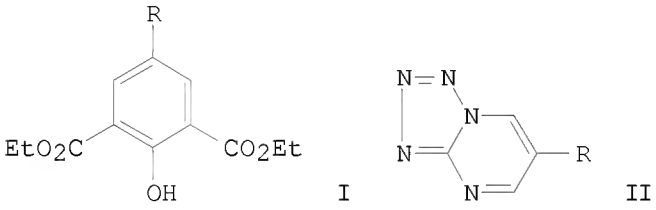
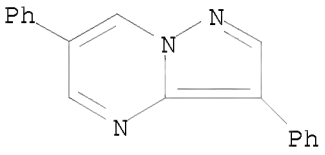


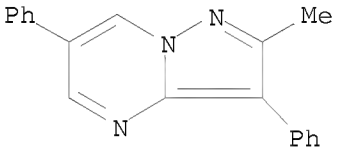
I II

AB The reaction of 1- and 2-adamantyl malonaldehyde with suitable electrophiles and nucleophiles yields adamantyl-substituted open-chain e.g. PhNHCH:CRCHO (R = 1- and 2-adamantyl) as well as heterocyclic compds., e.g. II (R = 2-adamantyl), with peculiar properties due to the presence of the lipophilic adamantyl group. The tetrazolo[1,5-a]pyrimidine II (R = 2-adamantyl) exhibits a solvent-dependent tetrazolo-azido valence isomerization reaction.

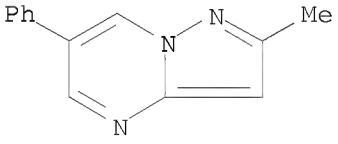
L5 ANSWER 36 OF 36 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1981:611886 CAPLUS
DOCUMENT NUMBER: 95:211886
ORIGINAL REFERENCE NO.: 95:35273a,35276a
TITLE: Deaminative electrochemical reduction of pyrazolo[1,5-a]pyrimidine-7-amines
AUTHOR(S): Bellec, Christian; Maitte, Pierre; Armand, Joseph; Pinson, Jean
CORPORATE SOURCE: Lab. Chim. Heterocycles, Univ. Pierre et Marie Curie, Paris, 75230/05, Fr.
SOURCE: Canadian Journal of Chemistry (1981), 59(19), 2826-32
CODEN: CJCHAG; ISSN: 0008-4042
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 79833-97-9P 79833-98-0P 79833-99-1P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and NMR of)
RN 79833-97-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3,6-diphenyl- (CA INDEX NAME)



RN 79833-98-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-methyl-3,6-diphenyl- (CA INDEX NAME)



RN 79833-99-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-methyl-6-phenyl- (CA INDEX NAME)



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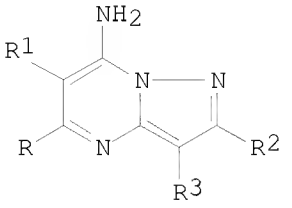
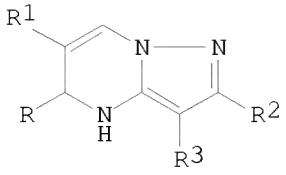
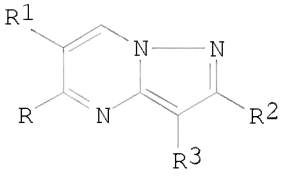


I



II



III

AB The pyrazolo[1,5-a]pyrimidine-7-amines I (R = H, Me; R1 = Ph; R2 = H, Me, Ph; R3 = H, Ph) are electrochem. reduced in hydroorg. medium at low pH into the corresponding 4,5-dihydro compds. II. II were aromatized to give III. Three reduction pathways were proposed. Two of them included III as an intermediate.

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	252.28	431.06

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-28.80	-28.80

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